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STRUCTURE FILE UPDATES: 26 NOV 2007 HIGHEST RN 955995-34-3 DICTIONARY FILE UPDATES: 26 NOV 2007 HIGHEST RN 955995-34-3

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http://www.cas.org/support/stngen/stndoc/properties.html

=> Uploading C:\Program Files\Stnexp\Queries\10-556,931a.str



chain nodes : 11 19 ring nodes : 1 2 3 4 5 6 7 8 9 10 12 13 14 15 16 17 20 25 26 27 28 29 30 31 32 chain bonds : 11-12 15-19 19-20 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 12-13 12-17 13-14 14-15 15-16 16-17 20-25 20-28 25-26 25-29 26-27 26-32 27-28 29-30 30-31 31-32 exact/norm bonds : 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 12-13 12-17 13-14 14-15 15-16 15-19 16-17 19-20 20-25 20-28 25-26 25-29 26-27 26-32 27-28 29-30 30-31 31-32

G1:C,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 19:CLASS 20:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 35:Atom

L7 STRUCTURE UPLOADED

=> d 17

L7 HAS NO ANSWERS

L7 STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> s 17 sss sam

SAMPLE SEARCH INITIATED 15:55:21 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 684 TO ITERATE

100.0% PROCESSED 684 ITERATIONS

9 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

12111 TO 15249

PROJECTED ANSWERS:

9 TO 360

L8

9 SEA SSS SAM L7

=> d scan

L8 9 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN IN 1,4-Benzodioxin-6-amine, 2-[[4-{5-fluoro-lH-indol-3-yl]-3,6-dihydro-1(2H)-pyridinyl]methyl-2,3-dihydro-MF C22 H22 F N3 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 9 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN IN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[4-(7-fluoro-1H-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl]-7,8-dihydro-2-methyl-MF C24 H22 F N3 03

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 9 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
1.4-Dloxino[2,3-f]quinoxaline, 2-[13,6-dihydro-4-(1H-indol-3-yl)-1(2H)pyridinyl]methyl]-8,9-diethyl-2,3-dihydro-, (2S)MF C28 H30 N4 02

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 9 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN IN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one, 2-[13,6-dihydro-4-(iH-indol-3-7-yl)- 1(2H)-pyridinyl]methyl]-2,3,8,9-tetrahydro-, (2S)-MF C25 R24 N2 O4

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s 17 sss full FULL SEARCH INITIATED 15:56:48 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 13401 TO ITERATE

100.0% PROCESSED 13401 ITERATIONS

222 ANSWERS

SEARCH TIME: 00.00.01

L9 222 SEA SSS FUL L7

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL

FULL ESTIMATED COST

ENTRY SESSION 174.35 367.93

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE 0.00

0.00 -1.56

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FILE COVERS 1907 - 27 Nov 2007 VOL 147 ISS 23 FILE LAST UPDATED: 26 Nov 2007 (20071126/ED)

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=> s 19

L10 25 L9

=> d ibib abs hitstr 1-YOU HAVE REQUESTED DATA FROM 25 ANSWERS - CONTINUE? Y/(N):y

Page 6

L10 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2004:1059361 CAPLUS
DOCUMENT NUMBER: 142:38264
TITLE: Preparation of indole 142:38264
Preparation of indole derivatives with an improved antipsychotic activity
Bartolome-Nebreda, Jose Manuel; Andres-Gil, Jose INVENTOR (S): Janssen Pharmaceutica N.V., Belg. PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 43 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent English 2 LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: MO 2004106346 A1 20041209 WO 2004-EF59922 20040526
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SK, SY, TJ, TM, TM, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: BW, GH, GM, KE, LS, NW, MZ, NA, SI, SZ, TZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
WC 2004106298 A1 20041209 WO 2003-EP305780 WO 2004106298 A1 20041209 WO 2003-EP305789 20030530 W: US
RM: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR
AU 2004242802 A1 20041209 AU 2004-242802 20040526 EP 1636239 A1 20061032 EP 2004-71649 20040526 EP 1636239 B1 20070718 R: AT, BE, CI, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, JP 2006528957 US 2007066608 PRIORITY APPLN. INFO.: JP 2006-530219 US 2005-556931 WO 2003-EP5789 20040526 20051116 A 20030530 20061228 20070322 WO 2003-EP305789 A 20030530 WO 2004-EP50922 W 20040526 OTHER SOURCE(S): MARPAT 142:38264 \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \* L10 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) CAPLUS 1,4-Dioxino[2,3-c]pyridine, 3-[{4-(4-fluoro-lH-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME) 1 CM CRN 805232-47-7 CMF C21 H20 F N3 O2 2 CM о о || || но- с- с- он 805232-50-2 CAPLUS
1,4-Dioxino[2,3-c]pyridine, 3-[[4-(5-bromo-lH-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME) CM ·1 CRN 805232-49-9 CMF C21 H20 Br N3 O2 CRN 144-62-7

L10 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB The present invention relates to a novel indole derivs. I {al:a2a3:a4 = N:CKCH:CH, CH.NCH:CH, CH.CHCH:CH, CH.CHCHCHO, Z122 o, CCL20, O(CK2)20, S(CK12)20, etc.; X = CR6, N; R1-R4, R6 = H, halo, CN, etc.; p = 0-3; R5 = H, alkyl; Y = NR8(CK2)n, II, III, etc.; m = 0-1; n = 0-6; R8 = H, halo, alkyl, etc.; with the proviso] and their pharmaceutically acceptable acid or base addition salts that exhibit a binding affinity towards dopamine receptors, in particular towards dopamine D2, D3 and D4 receptors, with selective serotonin reuptake inhibition properties and acting as 5-HTIA agonists or partial agonists. E.g., a multi-step synthesis of IV, starting from 2-chloro-3-pyridinamine, which showed pICSO of 6.7 and 7.1 against D2 and D3 receptor binding, resp., was given. The invention also relates to pharmaceutical compns. comprising the compds. I, the use thereof for the prevention and/or treatment of a range of psychiatric and neurol. disorders, in particular certain psychotic disorders, most in particular schizophrenia and processes for their production

17 47396-82-G8 0805230-14-27 805230-15-3P 805232-53-P8 805232-53-P8 805232-56-8P 805232-56-8P 805232-56-8P 805232-56-P8 805232-66-P8 805232-66-P8 805232-66-P8 805232-66-P8 805232-66-P8 805232-66-P8 805232-66-P8 805232-66-P8 805232-66-PP 8 (Uses)
(preparation of indole derivs. with an improved antipsychotic activity)
RN 473996-82-6 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-{{4-(5-fluoro-1H-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME) 805230-14-2 CAPLUS 1,4-Dioxino(2,3-C]pyridine, 3-[[4-(5-fluoro-lH-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl)-2,3-dihydro- (CA INDEX NAME) 805230-15-3 CAPLUS 1,4-Dioxino[2,3-c]pyridine, 3-[{4-(5-fluoro-lH-indol-3-yl)-l-piperidinyl]methyl]-2,3-dihydro- (CA INDEX NAME) L10 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN CMF C2 H2 O4 (Continued) но- с- с- он || || 0 0 805232-52-4 CAPLUS 1.4-Dioxino[2,3-c]pyridine, 3-[[3,6-dihydro-4-[5-nitro-1H-indol-3-yl]-1[2H]-pyridiny]|methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME) СМ CRN 805232-51-3 CMF C21 H20 N4 O4 CM 2 CRN 144-62-7 CMF C2 H2 O4 о о || || 0 о 805232-53-5 CAPLUS 1,4-Dioxino[2,3-c]pyridine, 3-[[3,6-dihydro-4-[5-nitro-1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (-)- (CA INDEX NAME) Rotation (-).

805232-54-6 CAPLUS 1,4-Dioxino[2,3-c]pyridine, 3-[(3,6-dihydro-4-(5-nitro-1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (+)- (CA INDEX NAME) L10 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

805232-56-8 CAPLUS 1,4-Dloxino[2,3-c]pyridine, 3-[[4-(7-fluoro-lH-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl]methyl)-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CRN 805232-55-7 CMF C21 H20 F N3 O2

CM 2

CRN 144-62-7 CMF C2 H2 O4

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805232-57-9 CAPLUS
1,4-Dioxino[2,3-c]pyridine, 3-{[4-{5-fluoro-1H-indol-3-y1}-1-piperidinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 805230-15-3 CMF C21 H22 F N3 O2

L10 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

805232-61-5 CAPLUS
1H-Indole-5-carbonitrile, 3-{1-{(2,3-dihydro-1,4-dioxino{2,3-c}pyridin-3-y1)methy1}-4-piperidiny1}- {CA INDEX NAME}

805232-62-6 CAPLUS IN-Indole-5-cathonitrile, 3-[1-[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-3-yl)methyl]-4-piperidinyl]-, (-)- (CA INDEX NAME)

805232-63-7 CAPLUS
1H-Indole-5-carbonitrile, 3-[1-[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-3-yl)methyl]-4-piperidinyl]-, (+)- (CA INDEX NAME)

Rotation (+).

805232-65-9 CAPLUS
1,4-Dioxino[2,3-c]pyridine, 3-[(4-(6-fluoro-lH-indol-3-yl)-l-piperidinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME) CM 1

Page 7

L10 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 144-62-7 CMF C2 H2 O4

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805232-59-1 CAPLUS
1,4-Dioxino[2,3-c]pyridine, 3-[[4-(5-chloro-lH-indol-3-yl)-1-piperidinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CRN 805232-58-0 CMF C21 H22 C1 N3 O2

CM 2

CRN 144-62-7 CMF C2 H2 O4

о о || || но- с- с- он

RN 805232-60-4 CAPLUS CN 1H-Indol-5-ol, 3-{1-{2,3-dihydro-1,4-dioxino{2,3-c}pyridin-3-y1}methyl}-4-piperidinyl}- (CA INDEX NAME)

L10 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN CRN 805232-64-8 CMF C21 H22 F N3 O2 (Continued)

CM 2

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805232-66-0 CAPLUS
1,4-Dioxino[2,3-c]pyridine, 3-[[4-(7-fluoro-1H-indol-3-yl)-1-piperidinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

805232-69-3 CAPLUS
1,4-Dioxino[2,3-c]pyridine, 3-[[4-[(5-fluoro-lH-indol-3-y1)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) [CA INDEX NAME)

CM 1

CRN 805232-68-2 CMF C22 H24 F N3 O2

СМ 2

CRN 144-62-7 CMF C2 H2 O4

L10 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT: THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L10 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ANSWER 2 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
The present invention relates to a novel indole derivs. I [a1:a2a3:a4 = N:CHCH:CH, CH:CHCH:H, CH:CHCH:H, Z122 = OCHZO, O(CH2)2O, S(CH2)ZO, etc.; X = CR6, N; R1-R4, R6 = H, halo, CN, etc.; p = 0-3; R5 = H, alkyl; Y = NR8(CHZ)n, II, III, etc.; m = 0-1; n = 0-6; R8 = H, halo, alkyl, etc.; with the proviso) and their pharmaceutically acceptable acid or base addition salts that exhibit a binding affinity towards dopamine receptors, in particular towards dopamine D2, D3 and D4 receptors, with selective serotonin reuptake inhibition properties and acting as 5-HTIA agonists or partial agonists. E.g., a multi-step synthesis of IV, starting from 2-chloro-3-pyridinamine, which showed pIC50 of 6.7 and 7.1 against D2 and D3 receptor binding, resp., was given. The invention also relates to pharmaceutical compns. comprising the compds. I, the use thereof for the prevention and/or treatment of a range of psychiatric and neurol. disorders, in particular certain psychotic disorders, most in particular schizophrenia and processes for their production 805230-14-2P 805230-15-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic user); BIOL (Blological study); PREP (Preparation); USES (USES)

(preparation of indole derivs, with an improved antipsychotic

activity)
RN 805230-14-2 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(5-fluoro-lH-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

$$\bigcap_{N} \bigcap_{O} \operatorname{CH}_2 - \bigcap_{N} \bigcap_{M} \operatorname{F}$$

805230-15-3 CAPLUS
1,4-Dioxino[2,3-c]pyridine, 3-[[4-{5-fluoro-1H-indol-3-yl}-1-piperidinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2004:1059319 CAPLUS
DOCUMENT NUMBER: 142:38263
TITLE: Prenaration - - -142:38263 Preparation of indole derivatives with an improved antipsychotic activity Bartolome-Nebreda, Jose Manuel; Andres-Gil, Jose INVENTOR (S): Battolome-Nebreda, Jose Manuel, Al Ignacio Janssen Pharmaceutica N.V., Belg. PCT Int. Appl., 40 pp. CODEN: PIXXD2 Patent English 2 PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE WO 2004106298 A1 20041209 WO 2003-EP5789 20030530 W: US RW: AT, BE, BG, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, MC, NL, PT, RO, SE, SI, SK, TR
A1 20041209 AU 2004-242802 20040526
A1 20041209 CA 2004-252582 20040526
A1 20041209 WO 2004-EP50922 20040526 IT, LU, AU 2004242802 CA 2525282 WO 2004106346 2004106346 A1 20041209 NO 22004-EP50922 20040526
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, II, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, AX, AN, TI,
MO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW,
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AX,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG
1636239 A1 20060322 EP 2004-741648 SN, TG
EP 1636239
A1 20060322
EP 2004-741649
20040526
EP 1636239
B1 20070718
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, JP 2006528957 AT 367392 US 2007066608 20061228 20070815 20070322 JP 2006-530219 AT 2004-741649 US 2005-556931 WO 2003-EP305789 T T 20040526

OTHER SOURCE(S): MARPAT 142:38263

PRIORITY APPLN. INFO.:

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

WO 2003-EP5789

WO 2004-EP50922

A 20030530

A 20030530

W 20040526

L10 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2004:331786 CAPLUS
DOCUMENT NUMBER: 140:357375
TITLE: Predaration of access Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-

INVENTOR (S):

I;quinoxaline
Gross, Jonathan L.: Stack, Gary P.
Wyeth, John, and Brother Ltd., USA
U.S. Pat. Appl. Publ., 12 pp., Cont.-in-part of U.S.
Ser. No. 128, 722.
CODEN: USXXCO
Patent PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent English 2

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 2004077652 US 7008944 US 2002183329 US 6617327 PRIORITY APPLN. INFO.: 20040422 20060307 20021205 20030909 US 2003-618947 20030714 US 2002-128722 20020423 US 2001-286438P P 20010426 US 2002-128722 A2 20020423

OTHER SOURCE(S): MARPAT 140:357375

The title compds. [I; R1, R4-R6, R8 = H, OH, halo, etc.; R2, R3 = H, alkyl, halo, OH, CN, NH2: R7 = H, alkyl; Z = CR8, N; n = 0-2], useful for the treatment of depression and other diseases such as obsessive

L10 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) compulsive disorder, panic attacks, generalized anxiety disorder, sexual dysfunction, eating disorders, obesity,

anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepd. Thus, reacting (2R)-2,3-dihydro[1,4]dioxin(2,3-f]quinoxalin-2-ylmethyl 4-methylbenzenesulfonate [multi-step synthesis given) with 5-fluoro-3-(1,2,3,6-tetrahydro-4-pyridinyl)-lH-indole afforded 74% (S)-II which showed Ki of 17.72 nH against 5-HTIA receptor binding.

IT 474607-96-0P 474607-97-1P 474607-98-2P 474607-99-3P 474608-00-9P RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of antidepressant azaheterocyclylmethyl derivs. of

(Uses)

(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino[2,3-f]quinoxaline)

RN 474607-96-0 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoxaline,
2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

### Absolute stereochemistry.

474607-97-1 CAPLUS 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

1,4-Dioxino[2,3-f]quinoxaline, 2-[{3,6-dihydro-4-(lH-indol-3-yl)-1(2H)-pyridinyl]methyl}-8,9-diethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 474608-01-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
8,9-diethyl-2-[[4-(5-fluoro-lH-indol-3-yl)3,6-dihydro-1(2H)-pyridinyl)methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474607-98-2 CAPLUS
1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-{lH-indol-3-yl}-1(2H)-pyridinyl]methyl]-2,3-dihydro-8,9-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

474607-99-3 CAPLUS
1.4-Dioxino[2,3-f]quinoxellne,
4-(5-f]uoro-lH-indol-3-yl]-3.6-dihydro1(2H)-pyridinyl]methyl]-2,3-dihydro-8,9-dimethyl-, (2S)- (CA INDEX NAME)

L10 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT: THIS

FORMAT

THERE ARE 23 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:41125 CAPLUS
DOCUMENT NUMBER: 140:94051
TITLE: 2004:41125 CAPLUS
derivatives of 7,8-dihydro-3H-6,9-dioxa-1,3-diazevelopente(a)naphthalene
Stack, Gary P.
PATENT ASSIGNEE(S): Stack, Gary P.
SOURCE: Weyth, John, and Brother Ltd., USA
U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U.S.
Pat. Appl. 2002 183,351.
CODEN: USXKCO
Patent
FAMILY ACC. NUM. COUNT: English
FAMILY ACC. NUM. COUNT: PATENT INFORMATION: 1 DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004010006	A1	20040115	US 2003-420333	20030422
US 6927226	B2	20050809		
US 2002183351	Al	20021205	US 2002-128762	20020423
US 6573283	B2	20030603		
PRIORITY APPLN. INFO.:			US 2001-286579P P	20010426
			US 2002-128762 A	2 20020423
			03 2002-120102 A	2 20020423

OTHER SOURCE(S):

MARPAT 140:94051

L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

11

CM 1

CRN 474623-47-7 CMF C24 H20 F4 N4 O2

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

 $\begin{array}{lll} 474623-51-3 & CAPLUS \\ 1H-\{1,4\}Dioxino\{2,3-e\}benzimidazole, & 8-\{\{3,6-dihydro-4-\{1H-indol-3-yl\}-1(2H)-pyridinyl]methyl\}-7, & 8-dihydro-2-\{trifluoromethyl\}-, & 85)- & (CA & (CA - (CA$ INDEX

Absolute stereochemistry.

L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB The title compds. [I: R1-R5, R8 = H, halo, CN, etc.: R6, R7 = H, alkyl: Z = CR8, N: n = 0-2], useful for the treatment of depression and other diseases such as obsessive compulsive disorder, panic attacks, generalized

relized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine

disorders, obesity, addictive disorders caused by ethanol or cocaine
abuse
and related illnesses, were prepared Thus, reacting
[(8R)-2-trifluoromethyl7.8-dihydro-3H-6.9-dioxa-1,3-diaza-cyclopenta[a]naphthalen-8-yl]methyl
4-methylbenzenesulfonate (multi-step synthesis given) with
5-fluoro-3-(1,2,3.6-tetrahydro-4-pyridinyl)-IH-indole in OMSO afforded
[S]-II which showed Ki of 3.07 nN against 5-HTIA receptor binding.

If 474623-43-59 474623-45-89 474623-51-2P
474623-61-5P 474623-46-8P 474623-57-1P
474623-69-3P 474623-73-9P 474623-77-3P
474623-69-3P 474623-73-9P 474623-77-3P
474623-99-9P
RL: PRC (Pharmacological activity); SPN (Synthetic preparation); USES
(Uses)
(Uses)
(preparation of antidepressant azaheterocyclyhethyl derivs. of
7.8-dihydro-3H-6.9-dioxa-1,3-diazecyclopenta[a]naphthalene)
RN 474623-47-7 CAPLUS

RN 474623-47-7 CAPLUS

Absolute stereochemistry.

Absolute stereochemistry.

474623-48-8 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[{4-(5-fluoro-lH-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474623-53-5 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate [1:1] (9CI) (CA INDEX NAME)

CM 1

CRN 474623-51-3 CMF C24 H21 F3 N4 O2

Absolute stereochemistry.

CM

Double bond geometry as shown.

L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) но2с Е со2н

474623-56-8 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-55-7 CMF C24 H20 F4 N4 O2

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

474623-59-1 CAPLUS 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (85)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-58-0

L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474623-64-8 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-1,2-dimethyl-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CRN 474623-61-5 CMF C25 H26 N4 O2

Absolute stereochemistry.

CM 2

Double bond geometry as shown.

Page 11

L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN CMF C24 H24 N4 O2 (Continued)

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

474623-61-5 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[{3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-1,2-dimethyl-, {8S}- (CA INDEX NAME)

L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) но2С СО2Н

474623-67-1 CAPLUS | H-[1,4]Dicxino[2,3-e]benzimidazole, 8-[(3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2-ethyl-7,8-dihydro-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

474623-69-3 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl)-2-ethyl-7,8-dihydro-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

)

CRN 474623-67-1 CMF C25 H26 N4 O2

L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

CRN 474623-72-8 CMF C24 H20 F4 N4 O2

Absolute stereochemistry.

СМ 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

REFERENCE COUNT: THIS

THERE ARE 19 CITED REFERENCES AVAILABLE FOR

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L10 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

но2с Е со2Н

474623-77-3 CAPLUS
1H-[1,4]Dloxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(pentafluoroethyl)-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CRN 474623-76-2 CMF C25 H21 F5 N4 O2

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 Q4

Double bond geometry as shown.

474623-99-9 CAPLUS
1H-[1,4]Dioxino(2,3-e|benzimidazole, 8-[|3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl)methyl)-7,8-dihydro-2-methyl- (CA INDEX NAME)

L10 ANSWER 5 OF 25
ACCESSION NUMBER:
DOCUMENT NUMBER:
171TLE:
170TER
170TER
170TER
2003:1007854 CAPLUS
140:42186
Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-benzodioxane
Husbands, George E. M.; Stack, Gery P.; Mewshaw, Richard E.; Cliffe, Ian A.
ROURCE:
400TER
170TER
170

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 2003236241 US 7041683 US 2002193400 US 6559169 PRIORITY APPLN. INFO.: 20031225 20060509 20021219 20030506 US 2003-390478 20030317 US 2002-128447 20020423 US 2001-286056P P 20010424

> US 2002-128447 A1 20020423 US 2002-128477 A2 20020423

OTHER SOURCE(S): MARPAT 140:42186

The title compds. (I; R1, R2 = H, halo, CN, etc.; R3-R5, R7 = H, halo,

etc.: R6 = H, alkyl: X = CR7, N: n = 0-2) and/or their pharmaceutically acceptable salts, useful for the treatment of depression and other conditions such as obsessive compulsive disorder, panic attacks,

L10 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) generalized anxiety disorder, sexual dysfunction, eating disorders, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepd. Thus, reacting 2,3-dihydro-benzol1,4|dioxin-2-ylmethyl 4-methylbenzenesulfonate with 5-methoxy-3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole in the presence of NaHCO3 in DMF/THF afforded II which showed Ki of 27.18 nM against 5-HTIA receptor binding.

IT 473993-89-2P 473993-80-5P 473993-81-6P 473993-82-9P 473993-88-3P 473993-86-1P 473993-87-2P 473993-88-3P 473993-86-1P 473993-87-2P 473993-89-3P 473993-89-3P 473993-97-P 473993-91-P 473994-01-9P 473993-91-P 473994-01-9P RD 473993-91-P 473993-91-P 473994-01-9P RD 473993-91-P 473994-01-9P RD 473993-91-P 473994-01-9P RD 473993-91-P 473993-91-P 473994-01-9P RD 473993-91-P 473994-01-9P RD 473993-91-P 473994-01-9P RD 473993-91-P 473993-91-P 473994-01-9P RD 473993-91-P 4739994-01-9P RD 473993-91-P 473999-01-P RD 4739

(Uses)
 (preparation of antidepressant azaheterocyclylmethyl derivs. of
 2,3-dihydro-1,4-benzodioxane)
473993-79-2 CAPLUS
HH-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-methoxy- (CA INDEX NAME)

473993-80-5 CAPLUS

IH-Indole, 3-[1-(2,3-dihydro-1,4-benzodioxin-2-yl)methyli-1,2,3,6-tetrahydro-4-pyridinyl)-5-fluoro- (CA INDEX NAME)

473993-81-6 CAPLUS
1,4-Benzodioxin-6-amine, 3-{[3,6-dihydro-4-{1H-indol-3-yl}-1{2H}-pyridinyl]methyl]-2,3-dihydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

473993-85-0 CAPLUS
1H-Indole-5-carbonitrile, 3-[1-[{[28]-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl}-,
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

473993-86-1 CAPLUS
1H-Pyrrolo[2,3-b]pyridine, 3-[1-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-y1]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX

Absolute stereochemistry.

473993-87-2 CAPLUS 1H-Indole.

RN 473993-87-2 CAPLUS CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-

Page 13

L10 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

473993-82-7 CAPLUS

1,4-Benzodioxin-6-amine, 2-[[3,6-dihydro-4-[1H-indol-3-y1)-1(2H)-pyridinyl]methyl)-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

473993-83-8 CAPLUS

Absolute stereochemistry.

RN 473993-84-9 CAPLUS CN 1,4-Benzodioxin-6-amine, 2-[[4-(5-fluoro-1H-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 1,2,3,6-tetrahydro-4-pyridinyl)-6-fluoro- (CA INDEX NAME)

Absolute stereochemistry.

473993-88-3 CAPLUS

1,4-Benzodioxin-5-carboxamide, 2-[{3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl)methyl}-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 473993-89-4 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide,
2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl)-2,3-dihydro(CA INDEX NAME)

Absolute stereochemistry.

473993-90-7 CAPLUS
1H-Indole, 3-[1-[[{2S}]-8-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]1,2,3,6-tetrahydro-4-pyridinyl}- (CA INDEX NAME)

L10 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

473993-91-8 CAPLUS

RN 4/393-3-2- CON 1H-Indole,
3-(1-[([23]-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyl]1,2,3,6-tetrahydro-4-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.

473993-92-9 CAPLUS
1H-Indole, 3-[1-[[(ZS)-2,3-dihydro-8-methyl-1,4-benzodioxin-2-yl}methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)

Absolute stereochemistry.

473993-93-0 CAPLUS
IH-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6tetrahydro-4-pyridinyl]-5-methoxy-, ethanedioate [1:1) (CA INDEX NAME)

L10 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

473994-01-3 CAPLUS
1H-Indole, 3-[1-[(28)-2,3-dihydro-8-methyl-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 473993-92-9 CMF C23 H23 F N2 O2

Absolute stereochemistry.

CM 2

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473993-95-2P 473993-96-3P 473993-97-4P
RL: RCT (Reactant): SPM (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent) (preparation of antidepressant azaheterocyclylmethyl derivs. of 2.3-dihydro-1,4-benzodioxane) 473993-95-2 CAPLUS
1H-Indole, 3-[1-[(2S)-2,3-dihydro-7-nitro-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

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L10 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN CM  $\,$  1 (Continued)

CM 2

CRN 144-62-7 CMF C2 H2 O4

0 0 || || HO- C- C- OH

473993-94-1 CAPLUS
1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6tetrahydro-4-pyridinyl]-5-fluoro-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 473993-80-5 CMF C22 H21 F N2 O2

CM 2

CRN 144-62-7 CMF C2 H2 O4

HO-C-C-O

L10 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

473993-96-3 CAPLUS
1H-Indole, 3-[1-{[(2S}-2,3-dihydro-6-mitro-1,4-benzodioxim-2-yl]methyl]1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

473993-97-4 CAPLUS 1H-Indole, 3-[1-[(23)-2,3-dihydro-6-nitro-1,4-benzodioxin-2-yl]methyl}-1,2,3,6-tetahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:1002001 CAPLUS
DOCUMENT NUMBER: 140:314412
Modulation of selective serotonin reuptake inhibitor and 5-HTIA antagonist activity in 8-azabicyclo[3.2.1]octane derivatives of 2,3-dihydro-1,4-benzodioxane
AUTHOR(S): Gilbert Adam N. Stark Carv R. Nilakantan 2,3-quinyaro-1,4-benzodioxane Gilbert, Adam M.; Stack, Gary P.; Nilakantan, Ramaswamy; Kodah, Jason: Tran, Megan; Scerni, Rosemary; Shi, Xiaojie; Smith, Deborah L.; Andree, AUTHOR (S): Chemical and Screening Sciences, Wyeth Research. CORPORATE SOURCE: River, NY, 10945, USA Bioorganic & Medicinal Chemistry Letters (2004), 14(2), 515-518 CODEN: BMCLES; ISSN: 0960-894X Elsevier Science B.V. SOURCE: PUBLISHER: DOCUMENT TYPE: LANGUAGE: MENT TYPE: Journal MAGE: English R SOURCE(S): English R SOURCE(S): CASREACT 140:314412 
2,3-Dihydro-1,4-benzodioxanes with aryl 8-aza-bicyclo[3.2.1]oct-3-ene attachments produce compds. with potent 5-HT-T affinity, and weak 5-HTIA affinity and d affinity and d affinity. This compares with 2,3-dihydro-1,4-benzodioxanes containing 8-aza-bicyclo[3.2.1] octan-3-ol attachments h OTHER SOURCE(S): possess potent 5-HTIA affinity, moderate to good selectivity over al and little 5-HT-T affinity. A 3-benzothiophene analog was synthesized which possesses potent 5-HTIA affinity and especially good selectivity over both al and 5-HT-T. 678992-73-9P IT 678992-73-9P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (modulation of selective serotonin reuptake inhibitor and 5-HT1A antagonist activity in 8-aza-bicyclo[3.2.1]octane derivs. of 2,3-dihydro-1,4-benzodioxane) 678992-73-9 CAPLUS 8-Azabicyclo[3.2.1]oct-2-ene, 8-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-3-(5-fluoro-1H-indol-3-yl)- (CA INDEX NAME) Absolute stereochemistry. REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR L10 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2003:950068 CAPLUS DOCUMENT NUMBER: 140:5054 ACCESSION NUMBER: DOCUMENT NUMBER: TITLE: 140:5054
Preparation of antidepressant azaheterocyclylmethyl derivatives of 1,4,5-trioxa-phenanthrene derivatives of 1,4,5-trioxa-phenanthrene
Tran, Megan; Stack, Gary P.
Wyeth, John, and Brother Ltd., USA
U.S. Pat. Appl. Publ., 9 pp., Cont.-in-part of U.S.
Ser. No. 132,238.
CODEN: USXXCO INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: Patent English 2 LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE US 2003225157 US 6906206 US 2002193401 US 6555560 US 2005004209 20031204 20050614 20021219 20030429 US 2003-377850 20030303 A1 B2 A1 B2 20020425 US 2002-132238 US 2004-881102 20050106 20040630 US 6943178 PRIORITY APPLN. INFO.: US 2001-287448P P 20010430 US 2002-132238 A2 20020425 US 2003-377850 A3 20030303 OTHER SOURCE(S): MARPAT 140:5054 \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \* The title compds. [I; R1, R3-R5, R7 = H, halo, CN, etc.; R2, R6 = H, alkyl: Z = CR7, N: X = O, S, H2, F2; n = O-2], useful for the treatment of diseases such as depression (including but not limited to major depressive

disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder,

post-traumatic stress disorder, premenstrum dysphotic disorder, attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder (including trichotillomania), social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared Novel

intermediates

If R1, R2, X as above; Y = OH, halo, alkylsulfonate,
tifluoromethanesulfonate, (un)substituted benzenesulfonate) were also
prepared and claimed. Thus, reacting [(2R)-7-oxo-2,3,8,9-tetrahydro-7H[1,4]dloxino[2,3-h]chromen-2-yl]methyl 4-methylbenzenesulfonate

(preparation given) with 3-(1,2,3,6-tetrahydro-4-pyridinyl)-IH-indole afforded 18% (3)-III which showed K1 of 2.74 mM in test for 5-HT transporter affinity. IT 474551-68-38 474551-71-8P 474551-73-0P 474551-76-3P

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L10 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RI: PAC (Pharmacological activity): SPN (Synthetic preparation): THU
(Therapeutic use): BIOL (Biological study): PREP (Preparation): USES

(Usea)

[prepn. of antidepressant azaheterocyclylmethyl derivs. of
1,4,5-trioxa-phenanthrene)

RN 474551-68-3 CAPLUS

CN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one,
2-[(4-(5-fluoro-1H-indol-3-yl)-3,6dhydro-1(2H)-pyridinyl|methyl)-2,3-dihydro-, (2S)-, (2E)-2-butenedioate
(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474551-67-2 CMF C25 H21 F N2 O4

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

474551-71-8 CAPLUS
1H-Indole, 5-fluoro-3-[1,2,3,6-tetrahydro-1-[[{2S}]-2,3,8,9-tetrahydro-7H-pyrano[2,3-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]-, ethanedioate
[1:1] (CA INDEX NAME)

L10 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS ON STN CRN 474551-70-7 CMF C25 H25 F N2 O3 (Continued)

Absolute stereochemistry.

CM 2

CRN 144-62-7 CMF C2 H2 O4

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RN 474551-73-0 CAPLUS
CN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one,
2-{[3,6-dihydro-4-(1H-indo1-3-y1)1(2H)-pyridinyl]methyl}-2,3,8,9-tetrahydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 144-62-7 CMF C2 H2 O4

HO- C- C-

REFERENCE COUNT: THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474551-76-3 CAPLUS
CN 1H-Indole,
3-[1,2,3,6-tetrahydro-1-[{(2S)-2,3,8,9-tetrahydro-7H-pyrano[2,3-f]-1,-4-benzodioxin-2-yl]methyl]-4-pyridinyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 474551-75-2 CMF C25 H26 N2 O3

Absolute stereochemistry.

L10 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:678510 CAPLUS
TITLE: 139:214473
Preparation of antidepressant azaheterocyclylmethyl derivatives of
Oxaheterocycle-fused-[1, 4]-benzodioxans
INVENTOR(S): Stack, Gary P.; Gao, Hong; Gildersleeve, Elizabeth S.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U.S.
SOURCE: USXXCO
Patent TYPE:
LANGUAGE: English
FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
**********				
US 2003162805	A1	20030828	US 2003-377901	20030303
US 6706736	B2	20040316		
US 2002183353	A1	20021205	US 2002-131340	20020424
US 6552049	B2	20030422		
PRIORITY APPLN. INFO.:			US 2001-286569P P	20010426
			HE 2002-121240 N	20020424

OTHER SOURCE(S): MARPAT 139:214473

The title compds. [I; R1, R3-R5, R7 = H, halo, CN, etc.; Y = CO, C(R2)2 and Z = CHZ, (CHZ)2, CH:CH, NRZ; or Y and Z, taken together, form CR2:CH, N:CR2, CR2:N, R2, R6 = H, alkyl; X = CR7, N; n = O-2], useful for the treatment of depression such as obsessive compulsive disorder, panle AB

L10 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepd. E.g., a 5-step synthesis of (5)-11, starting from (28)-(7-hydroxy-2,3-dihydro-1,4-benzodioxin-2-yl]methanol and 2,3-dichloro-1-propene, which showed Ki of 14,07 nM against 5-HTLA receptor binding, was given.

11 474621-95-99 474621-96-0P 474621-97-1P 474621-95-0P 474621-99-3P 474622-00-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic usel; BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of antidepressant azaheterocyclylmethyl derive of

(Uses)
 (preparation of antidepressant azaheterocyclylmethyl derivs. of
 oxaheterocycle-fused-(1,4)-benzodioxans)
474621-95-9 CAPLUS
HH-Indole, 3-[1-[(2S)-2,3-dihydro-8-methylfuro[3,2-f]-1,4-benzodioxin-2-yl|methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

474621-96-0 CAPLUS
1H-Indole, 3-[1,2,3,6-tetrahydro-1-[[(25)-2,3,8,9-tetrahydrofuro[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474621-99-3 CAPLUS
CN 1H-Indole,
5-fluoro-3-(1,2,3,6-tetrahydro-1-[{(2S)-2,3,9,10-tetrahydro-8Hpyrano[3,2-f]-1,4-benzodioxin-2-yl]methyl)-4-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 474622-00-9 CAPLUS
CN 1H-Indole,
5-fluoro-3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]-,
(2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 474621-99-3 CMF C25 H25 F N2 O3

Page 17

L10 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474621-97-1 CAPLUS

RN 4/4527-7 4 4/4527-7 4 4/4527-7 4 4/4527-7 4 4/4527-7 4 4/4527-7 4 4/4527-7 4 4/4527-7 4 4/4527-7 4 4/4527-7 4 4/4527-7 4 4/4527-7 4 4/4527-7 4 4/4527-7 4 4/4527-7 4 4/4527-7 4 4/4527-7 4/4527-7 4

Absolute stereochemistry.

474621-98-2 CAPLUS
1H-Indole, 3-[1,2,3,6-tetrahydro-1-{{(2S)-2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl]methyl}-4-pyridinyl}- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN Absolute stereochemistry. (Continued)

CM 2

Double bond geometry as shown.

L10 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2003:551188 CAPLUS
DOCUMENT NUMBER: 139:117429
TITLE: Preparation of indolyldihydropyridinylmethyltrioxaszac
inhibitors yclopentanaphthalenes as serotonin reuptake

inhibitors

INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

and 5-HTIA antagonists.
Tran, Megan; Stack, Gary P.
Wyeth, John, and Brother Ltd., USA
U.S. Pat. Appl. Publ., 12 pp., Cont.-in-part of U.S.
Ser. No. 131,987.
CODEN: USXXCO
Patent
English
2

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2003134871	A1	20030717	US 2003-340424		20030110
US 6617334	B2	20030909			
US 2002183354	A1	20021205	US 2002-131987		20020425
US 6525075	B2	20030225			
US 2003109562	A1	20030612	US 2003-340413		20030110
US 6613913	B2	20030902			
PRIORITY APPLN. INFO.:			US 2001-287449P	₽	20010430
			US 2002-131987	A2	20020425

OTHER SOURCE(S):

MARPAT 139:117429

AB A method of treating posttraumatic stress disorder, premenstrual dyaphoric disorder, attention deficit disorder, obesity, eating disorders, vasomotor flushing, cocaine and alc. addiction, and sexual dyafunction, comprises providing title compds. (I; R1, R2, R3, R4, R5, R7 = H , halo, cyano,

L10 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474622-50-9 CAPLUS
[1,4]Dioxino[2,3-g]benzoxazole, 8-[[3,6-dihydro-4-(1H-indol-3-y1)-1{2H}-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (88)- (CA INDEX NAME)

Absolute stereochemistry.

RN 474622-51-0 CAPLUS
CN H-Indole-5-carbonitrile,
3-[1-[[83:7-],8-dihydro-2-methyl[1,4]dioxino{2,3g|benzoxarol-8-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl}- (CA INDEX

Absolute stereochemistry

L10 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) carboxamido, carboalkoxy, CF3, alkyl, alkoxy, alkanoyloxy, amino, monoor dialkylamino, alkanamido, alkanamido; R6 = H, alkyl; dotted

= optional double bond; 2 = CR7, N; n = 0, 1, 2). Thus,
[(8R)-2-methyl-7,8-dihydro[1,4]dloxino[2,3-g][1,3]benzoxazol-8-yl]methyl
4-methylbenzenesulfonate (prepn. given) and 3-(1,2,3,6-tetrahydro-4pyridinyl)-1H-indol-5-carbonitrile were heated in DMSO at 75-80\*
to give (S)-3-[1-{2-methyl-7,8-dihydro-1,6,9-trioxa-3-

to give (s)-3-11-[2-methyl-], 8-dhydro-1, 6, 9-trioxa-3
azacyclopenta[a]naphthalen-8-ylmethyl]-1, 2, 3, 6-tetrahydropyridin-4-yl]-1Hindole-5-carbonitrile. The latter showed 5-HT transporter affinity and
5-HT1A receptor affinity with Ki = 1.68 nM and 9.56 nM, resp.

14 74622-48-59 474622-45-69 747622-50-9P
474622-51-0P 474622-52-1P 474622-53-2P
474622-51-0P 474622-55-4P 474622-56-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of
indolyldihydropyridinylmethyltrioxaszacyclopentanaphthalenes
as serotonin reuptake inhibitors and 5-HT1A antagonists)

RN 474622-48-5 CAPBUS
CN [1,4]Dioxino[2,3-g]benzoxazole, 8-{[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)pyridinyl]methyl]-7,8-dihydro-, (83)- (CA INDEX NAME)

RN 474622-49-6 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole,
8-{[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl}methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474622-52-1 CAPLUS
CN 1H-Indole-5-carbonitrile,
3[1-[[[83]-7,8-dinydro-2-methyl]1,4]dioxino[2,3-\*
g|benzoxazol-8-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-,
(ZE)-2-butenedioate (1:2] (CA INDEX NAME)

CM 1

CRN 474622-51-0 CMF C25 H22 N4 O3

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

L10 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

HO2C E CO2H

RN 474622-53-2 CAPLUS
CN [1,4]Dloxino[2,3-g]benzoxezole,
8-{[4-(7-fluora-lH-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

474622-54-3 CAPLUS
[1,4]Dioxino[2,3-g]benzoxezole,
4-{6-fluoro-1H-indol-3-yl}-3,6-dihydro1(2H)-pyridinyl}methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN CMF C24 H22 C1 N3 O3 (Continued)

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

L10 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474622-55-4 CAPLUS
CN [1,4]Dloxino[2,3-g]benzoxezole,
8-[[4-[5-chloro-ll-indol-3-yl]-3,6-dihydro1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 474622-56-5 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole,
8-[{4-(5-chloro-1H-indol-3-y})-3,6-dihydro1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)-, (2E)-2-butenedioate
(2:1) [9CI) (CA INDEX NAME)

CM 1

CRN 474622-55-4

L10 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2003:551187 CAPLUS DOCUMENT NUMBER: 139:117428 Preparation of Preparation of

TITLE:

INVENTOR(S):

STACK, Gary P.; Tran, Megan; Bravo, Byron A.

Wyeth, John, and Brother Ltd., USA

U.S. Pat. Appl. Publ., 11 pp., Cont.-in-part of U.S.

Ser. No. 131,339.

DOCUMENT TYPE:

LANGUAGE:

DOCUMENT TYPE:

LANGUAGE:

PAMILY ACC. NUM. COUNT:

PAMILY ACC. NUM. COUNT:

PAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003134870	A1	20030717	US 2003-339511	20030109
US 6627639	82	20030930		
US 2002183352	A1	20021205	US 2002-131339	20020424
US 6593350	B2	20030715		
PRIORITY APPLN. INFO.:			US 2001-286575P P	20010426
			US 2002-131339 A	2 20020424

OTHER SOURCE(S): MARPAT 139:117428

 $\ensuremath{\mathsf{AB}}$   $\ensuremath{\mathsf{A}}$  method of treating posttraumatic stress disorder, premenstrual dysphoric

disorder, attention deficit disorder, obesity, eating disorders,

vasomotor

notor
flushing, cocaine and alc. addiction, and sexual dysfunction, comprises
provision of title compds. (1; Rl. R3, R4, R5, R7 = H, halo, cyano,
carboxamido, carboalkoxy, CF3, alkyl, alkoxy, alkanoyloxy, amino, mono-,
d

I

,
alkyl; Z = CR7, N). Thus,
{(2R)-8-methyl-2,3-dihydro-7H-[1,4]dioxino[2,3-e]indol-2-y]methyl 4-methylbenzenesulfonate (preparation given) and 3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole in DMSO were heated at

ANSWER 10 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 65-67° for 4 h to give (S)-2-[(4-(1H-indol-3-y1)-3,6-dihydropyridin-1(2H)-y1]methyl]-8-methyl-2,3-dihydro-7H-[1,4]dioxino[2,3-e]indole. 474544-34-8P 474544-36-0P 474544-38-2P 474544-39-3P 474544-41-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological atudy); PREP (Preparation); USES (Uses)

(Uses)
(preparation of indolyldihydropyridinylmethyldihydrodioxinoindoles as serotonin reuptake inhibitors and 5-HTIA antagonists)
474544-34-8 CAPLUS
7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-y1)-1[2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

474544-36-0 CAPLUS
7H-1.4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridiny]]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474544-41-7 CAPLUS
7H-1,4-Dioxino[2,3-e]indole, B-ethyl-2-[[4-{5-fluoro-1H-indol-3-yl}-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, {2S}- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474544-38-2 CAPLUS
7H-1,4-Dioxino[2,3-e]indole, 2-[[4-(5-fluoro-1H-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

474544-39-3 CAPLUS
7H-1,4-Dioxino[2,3-e]indole, 2-[{3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridiny1|methy1]-8-ethy1-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 11 OF 25
ACCESSION NUMBER:
DOCUMENT NUMBER:
137:38482
TITLE:
137:38486
Process for preparation of indolylpyridinylmethyldioxinoquinolines and related compounds
INVENTOR(S):
Chan, Anita Wai-Yin; Curran, Timothy Thomas; Iera, Silvio; Chew, Warren; Sellstedt, John Hamilton; Vid, Galina; Feigelson, Gregg; Ding, Zhixian
Wyeth, John and Brother Ltd., USA
PCT Int. Appl., 59 pp.
COORN: PIXXD2
DOCUMENT TYPE:
LANGUAGE:
English

English

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT				NT:	1												
PA	TENT	NO.			KIN	D	DATE									DATE	
	2002				A2		2002 2003	1121									
	W:															, CH,	
																, LK,	
																, OM,	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK	, SL	TJ,	TM,	TN,	TR	, TT,	TZ,
							ZA,										
	RW:															, BE,	
																, SE,	
•		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ	, GW	ML,	MR,	NE,	SN	, TD,	TG
CA	2447 2002 2002	150			Al		2002	1121		CA	2002	-2447	150			20020	514
AU	2002	3097	69		Al		2002	1125		ΑU	2002	-3097	69			20020	514
US	2002	1879	83		AI		2002	1212		US	2002	-1453	69			20020	514
US ED	6693 1387	275			B2		2004	0211		P 0	2002	7267	00			20020	
	R:	TA	Q.P	CH	DE	DK	2004	PD .	CB	C.D	2002	7.7	711	MT	e r	. MC.	214
		TE	e T	7 10	111	27	РΔ.	w	CV.	70.1	mn						
CN	1509 2002 2004 2003 2004 7038	290	,	٠.,	A,	,	2004	0630	٠.,	CN	2002	-8100	67			20020	514
BR	2002	0099	01		A		2004	0713		BR	2002	-9901	•			20020	514
JP	2004	5306	93		T		2004	1007		JΡ	2002	-5894	86			20020	514
MX	2003	PA10	524		Α		2005	0307		MX	2003	-PA10	524			20031	117
บร	2004	1861	23		A1		2004	0923		US	2003	-734B	67			20031	212
US	7038	052			В2		2006	0502									
US	2006	0142	40		Al		2006	0406		us	2005	-2822	02			20051	118
US US PRIORIT	7166	723			B2		2007	0123									
US	2007	1237	05		AI		2007	0531		US	2006	-5665	28		_	20061	204
PRIORIT	I APP	LN.	INFO	. :						US	2001	-2915	47P		P	20010	51/
										US	2002	-1453	69		A3	20020	514
										WO	2002	-US15	097		W	20020	514
										US	2003	-734B	67		A3	20031	212
										US	2005	-2822	02		A3	20051	118

OTHER SOURCE(S):

CASREACT 137:384846; MARPAT 137:384846

L10 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB Title compds. [I; Rl = H, OH, halo, cyano, carboxamido, carboalkoxy, alkyl, alkanoyloxy, amino, mono- or dialkylamino, alkanamido, alkanesulfonamido; R2, R3, R4, R6 = H, OH, halo, cyano, carboxamido, carboalkoxy, CF3, alkyl, alkoxy, alkanoyloxy, amino, mono- or dialkylamino, alkanamido, alkanesulfonamido; R5 = H, alkyl; dotted line = optional double bond; A, D = CR1, N; provided that ≥ lof A and D = N; E, G = CR1; Z = N, CR6), were prepared by a 7-step process. Thus, [(ZR)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl 4-methylbenzenesulfonate (preparation given),
3-1,2,3,6-tetrahydropyridin-4-yl]-H-indole (preparation given) and K2CO3 were heated in THF:DMF at 80-83 for 10 h to give 72% (28)-2-[4-(1H-indol-3-yl)-3,6-dihydro-2H-pyridin-1-ylmethyl]-8-methyl-2,3-dihydro-1,4-dioxino[2,3-f]quinoline.
IT 460353-65-5P
RL: MMF (Industrial manufacture); SPN (Synthetic preparation); PREP

(Synthetic preparation); PREP (Preparation); PREP (Preparation) (process for preparation of indolylpyridinylmethyldioxinoquinolines

and

related compds.)
4(353-65-5 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 2-[(3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2002:849647 CAPLUS COPYRIGHT 2007 ACS ON STN 137:353044
TITLE: Preparation of April 137:353044 indoletetrahydropyridine derivatives of 2,3-dihydro-7H-[1,4]dioxino[2,3delivatives of 2,3-dinguity-n-[1,4]diolino[2,3-e]indole Stack, Gary Paul; Tran, Megan; Bravo, Byron Abel Wyeth, John, and Brother Ltd., USA PCT Int. Appl., 30 pp. CODEN: PIXXD2 INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English 2 PATENT NO. KIND DATE APPLICATION NO. DATE WO 2002-US13118 W 20020425

MARPAT 137:353044

L10 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L10 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)

The title compds. [I; R1, R3-R5, R7 = H, halo, CN, etc.; R2 = H, halo, alkyl, CF3; R6 = H, alkyl; R6 = H, alkyl; Z = CR7, N], useful in the treatment of central nervous system disorders including depression, obsessive compulsive disorder, panic attacks, generalized anxiety disorder, sexual dysfunction, esting disorders, and addictive disorders caused by ethanol or cocaine abuse, were prepared E.g., a 8-step heasis

caused by ethanol or cocaine abuse, and allyl bromide, which showed of (S)-II, starting from 5-nitroguaiacol and allyl bromide, which showed Ki of 3.44 nM when tested for 5-HT transporter affinity, was given.

IT 474544-39-8P 474544-36-0P 474544-38-2P 474544-39-3P 474544-39-3P 474544-59-3P 474544-59-3P 474544-59-3P 474544-59-3P 474544-60-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU 474544-60-09 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of antidepressant indoletetrahydropyridine derivs. of 2,3-dihydro-7H-[1,4]dioxino[2,3-e]indole)
474544-34-8 CAPLUS
7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

OTHER SOURCE(S):

L10 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474544-36-0 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-{1H-indol-3-yl}-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry

RN 474544-38-2 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[4-(5-fluoro-1H-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474544-53-1 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-{1H-indol-3-yl}-1{2H}-pyridinyl]methyl]-2,3-dihydro-8-methyl- (CA INDEX NAME)

RN 474544-55-3 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

L10 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474544-39-3 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[{3,6-dihydro-4-(lH-indol-3-yl)-1(2H)-pyridinyl]methyl]-8-ethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 474544-41-7 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 8-ethyl-2-[{4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl)methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474544-57-5 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[4-(5-fluoro-1H-indol-3-y1)-3,6-dihydro1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

RN 474544-59-7 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-8-ethyl-2,3-dihydro- (CA INDEX NAME)

L10 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474544-60-0 CAPLUS 7H-1,4-Dioxino[2,3-e]indole, 8-ethyl-2-[[4-(5-fluoro-1H-indol-3-y1)-3,6-dhydro-1(2H)-pyridinyl]methyl]-2,3-dhydro- (CA INDEX NAME)

L10 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

$$R^{1}$$
 $R^{2}$ 
 $R^{3}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{6}$ 
 $R^{7}$ 

The title compds. [I; R1, R4-R6, R8 = H, OH, halo, etc.; R2, R3 = H, alkyl, halo, OH, CN, NH2; R7 = H, alkyl; Z = CR8, N; n = 0-2), useful for the treatment of depression and other diseases such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, letive disorders caused by ethanol or coccaine abuse and related illnesses, were prepared Thus, reacting (2R)-2,3-dihydro[1,4]dioxino[2,3-c]quinoxalin-2-ylmethyl 4-methylbenzenesulfonate (multi-atep synthesis given) with 5-fluoro-3-(1,2,3,6-tetrahydro-4-pyridinyl)-Hi-indole afforded 74% (S)-II which showed Ki of 17.72 M against 5-HTIA receptor binding. 474607-99-29 474608-00-99 474608-01-0p 474608-50-49 474608-06-59 474608-01-0p 474608-03-49 474608-06-59 474608-01-0p 474608-03-79 474608-09-89 474608-01-1p RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)

(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino[2,3-f]quinoxaline)

RN 474607-96-0 CAPPUS

CN 1,4-Dioxino[2,3-f]quinoxaline,
2-[[4-(5-f]uoro-1h-indol-3-yl]-3,6-dihydro1(2H)-pyridinyl]methyl]-2,3-dihydro-, (23)- (CA INDEX NAME)

L10 ANSWER 13 OF 25
ACCESSION NUMBER:
DOCUMENT NUMBER:
137:353067

ITTLE:
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

CAPLUS COPYRIGHT 2007 ACS on STN
2002:849645 CAPLUS
137:353067
Preparation of antidepressant exaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-f]quinoxaline
Gross, Jonathan Laird; Stack, Gary Paul
Wyeth, John, and Brother Ltd., USA
PCT Int. Appl., 33 pp.
COODEN: PIXXD2
Patent Information:
English
2
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT; PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE			APP	LICAT	ION	NO.		D.	ATE	
	2002									WO :	2002~	US 12	859		2	0020	423
WO	2002	0881	44		A3		2002	1219									
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	, BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ.	DE,	DK,	DM,	DZ.	EC.	, EE,	ES.	FI.	GB,	GD.	GE.	GH.
											KG,						
											, MW,						
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							ZA.				,	,	,	,	****	,	,
	RW:	GH,	GM.	KE.	LS.	MW,	MZ.	SD.	SL,	SZ.	, TZ,	UG,	ZM.	ZW.	AT.	BE.	CH,
											IT,						
											, GW,						
CA	2445																
AU	2002	2563	34		A1		2002	1111		AU 2	2002-	2563	34		2	0020	423
EP	1381	614			A2		2004	0121		EP 2	2002-	7257	87		2	0020	423
EP	1381	614			В1		2006	0802									
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR.	, IT,	LI.	LU.	NL.	SE.	MC.	PT.
		IE,	SI,	LT,	LV.	FI.	RO.	MK.	CY.	AL.	. TR						
CN	1503 2002 2004 3349	801			A		2004	0609		CN 2	2002-	8086	79		2	0020	423
BR	2002	0093	42		A		2004	0615		BR 2	2002~	9342			2	0020	423
JP	2004	5275	63		т		2004	0909		JP :	2002-	5854	42		2	0020	423
AT	3349	89			T		2006	0815		AT 2	2002-	7257	87		2	0020	423
ES	2269	678			Т3		2007	0401		ES 2	2002-	2725	787		2	0020	423
MX	2003	PA09	826		А		2005	0307		MX 2	2003-	PA98	26			0031	
PRIORIT	Y APP	LN.	INFO	.:					-	US 2	2001~	2864	38P	1	P 2	0010	426
									. 1	WU 2	2002-	US 12	827	1	n Z	<b>UU2</b> 0	923

OTHER SOURCE(S):

MARPAT 137:353067

L10 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

474607-98-2 CAPLUS
1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1{2H}-pyridinyl|methyl]-2,3-dihydro-8,9-dimethyl-, (2S)- (CA INDEX NAME)

L10 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

RN 474607-99-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
2-[[4-(5-f]uoco-1H-indol-3-yl)-3,6-dihydro1[2H]-pyridinyl]methyl]-2,3-dihydro-8,9-dimethyl-, [2S]- (CA INDEX NAME)

474608-00-9 CAPLUS

1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-[1H-indol-3-yl]-1(2H)-pyridinyl]methyl]-8,9-diethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474608-06-5 CAPLUS
1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(lH-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

474608-07-6 CAPLUS
1,4-Dioxino[2,3-f]quinoxaline, 2-[{3,6-dihydro-4-(lH-indol-3-yl)-1(2H)-pyridinyl|methyl}-2,3-dihydro-8,9-dimethyl- (CA INDEX NAME)

L10 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

RN 474608-01-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
8,9-diethyl-2-[[4-[5-fluoro-lH-indol-3-yl]3,6-dihydro-1[2H]-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 474608-05-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
2-[[4-(5-f]uoro-1H-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl]-2,3-dihydro(CA INDEX NAME)

L10 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)

(Continued)

RN 474608-08-7 CAPLUS
CN 1,4-bioxino1(2,3-f)quinoxaline,
2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl}mathyl]-2,3-dihydro-8,9-dimethyl- (CA INDEX NAME)

474608-09-8 CAPLUS 1,4-Dloxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(lH-indol-3-yl)-1(2H)-pyridinyl]methyll-8,9-diethyl-2,3-dihydro- (CA INDEX NAME)

L10 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474608-10-1 CAPLUS CN 1,4-Dioxino[2,3-f]quinoxaline, 8,9-diethyl-2-f[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl)methyl]-2,3-dihydro- (CA INDEX NAME)

ANSWER 14 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) induced psychoses and dyskinesias, Tourette's syndrome and hyperprolactinemia and in the treatment of drug addiction such as the addiction to ethanol, nicotine or cocaine and related illnesses, were prepd. Thus, hydrogenation of (88)-8-(azidomethyl)-7,8- dihydro[1,4]dioxino[2,3-g][1,3]benzoxazol-2[3H)-one (multi-step synthesis given) afforded 68% (S)-I.HCl [RI = H; Z = NH2] which showed IC50 of 3.7 nN against D2 receptor binding. 474391-26-99 474391-38-3P
RL: PAC (Pharmacological activity); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(preparation of antipsychotic aminomethyl derivs. of 7,8-dihydro-3H-1,6,9trioxa-3-aza-cyclopenta(a)naphthalen-2-one)
RN 474391-26-9 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazol-2(3H)-one, 8-[3,6-dihydro-4-(1H-indol-3-y1)1(2H)-pyridinyl]methyl]-7,8-dihydro-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 474391-38-3 CAPLUS
CN [1,4|Dloxino[2,3-q]benzoxezol-2(3H)-one,
8-[[3,6-dihydro-4-(1H-indol-3-yl)1(2H)-pyridinyl|methyl]-7,8-dihydro- (CA INDEX NAME)

L10 ANSWER 14 OF 25
ACCESSION NUMBER:
DOCUMENT NUMBER:
171:353042
Preparation of antipsychotic aminomethyl derivatives of 7,8-dhydro-3H-1,6,9-trioxa-3-aza-cyclopenta[a]naphthalen-2-one
SUNCES:
PATENT ASSIGNEE(S):
STACK, Gary Paul; Tran, Megan
Wyeth, John, and Brother Ltd., USA
PCT Int. Appl., 36 pp.
CODEN: PIXXD2

DOCUMENT TYPE:
Patent

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English 1

PATENT	NO.	KIN	DATE		APP	LICAT	ION P	ю.		D.	ATE	
										-		
WO 200	2088142	A1	20021	107	WO	2002-	US134	119		2	0020	426
W:	AE, AG, A	AL, AM,	AT, AU,	AZ,	BA, BB	, BG,	BR,	BY,	BZ,	CA,	CH,	CN.
	CO, CR, C	CU, CZ,	DE, DK,	DM,	DZ, EC	, EE,	ES,	FI,	GB,	GD,	GE,	GH.
	GM, HR, I	HU, ID,	IL, IN,	IS,	JP, KE	, KG,	KP,	KR,	KZ,	LC,	LK,	LR.
	LS, LT,	LU, LV,	MA, MD,	MG,	MK, MN	, MW,	MX,	MZ,	NO,	NZ,	OM,	PH.
	PL, PT, I	RO, RU,	SD, SE,	SG,	SI, SK	, SL,	TJ,	TM,	TN,	TR,	TT,	TZ
	UA, UG, U	UZ, VN,	YU, ZA,	ZM,	ZW							
RW.	: GH, GM, I	KE, LS,	MW, MZ,	SD,	SL, S2	, TZ,	υG,	ZM,	ZW,	AT,	BE,	CH.
	CY, DE, I	DK, ES,	FI, FR,	GB,	GR, IE	, IT,	LU,	MC,	NL,	PT,	SE,	TR.
	BF, BJ, (	CF, CG,	CI, CM,	GA,	GN, GQ	, GW,	ML,	MR,	NE,	SN,	TD,	TG
US 200	3073697	A1	20030	417	ŲS	2002-	13399	94		2	0020	425
US 680	0648	B2	20041	005								
AU 200	2259054	A1	20021	111	ΑU	2002-	25905	54		2	0020	426
PRIORITY AP	PLN. INFO.:	:			US	2001-	28656	55 P	1	P 2	0010	426
	WO 200: W: RW US 200: US 680: AU 200:	WC 2002088142 W: AE, AG, CO, CR, GM, HR, LS, LT, PL, PT, UA, UG, RW: GH, GM, CY, DE, BF, BJ, US 2003073697 US 6800648 AU 2002259054	WO 2002088142 A1  W: AE, AG, AL, AM, CO, CR, CU, CZ, GM, HR, HU, ID, LS, LT, LU, LV, PL, PT, RO, RU, UA, UG, UZ, VN, RW: GH, GM, KE, LS, CY, DE, DK, ES, BF, BJ, CF, CG, US 2003073697 A1 US 6800648 B2	WC 2002088142 Al 20021 W: AE, AG, AL, AM, AT, AU, CO, CR, CU, CZ, DE, DK, GM, HR, HU, ID, IL, IN, LS, LT, LU, LV, MA, MD, PL, PT, RO, RU, SD, SE, URA, UG, UZ, VN, YU, ZA, RW: GH, GM, KE, LS, MW, MZ, CY, DE, DK, ES, FI, FR, BF, BJ, CF, CG, CI, CM, US 2003073697 Al 20032 US 6806648 B2 20041 AU 2002259054 Al 20022	WO 2002088142 Al 20021107 W: AE, AG, AL, AM, AT, AU, AZ, CO, CR, CU, CZ, DE, DK, DM, GM, HR, HU, ID, IL, IN, 1S, LS, LT, LU, LV, MA, MD, MG, PL, PT, RO, RU, SD, SE, SG, UA, UG, UZ, VN, YU, ZA, ZM, RW: CH, GM, KE, LS, MW, MZ, SD, CY, DE, DK, ES, FI, FR, GB, BF, BJ, CF, CG, CI, CM, GA, US 2003073697 Al 20030417 US 6800648 B2 20041005 AU 2002259054 Al 2002111	WC 2002088142 A1 20021107 WO W: AE, AG, AL, AM, AT, AU, AZ, BA, BB CO, CR, CU, CZ, DE, DK, DM, DZ, EC GM, HR, HU, ID, IL, IN, IS, JP, KE LS, LT, LU, LV, MA, MD, MG, MK, MN PL, PT, RO, RU, SD, SE, SG, SI, SK UA, UG, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ CY, DE, DK, ES, FI, FR, GB, GR, IE BF, BJ, CF, CG, CI, CM, GA, GN, CG US 2003073697 A1 20030417 US S800648 B2 20041005 AU 20022259054 A1 20021111 AU	WO 2002088142 A1 20021107 WO 2002- W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, GM, HR, HU, ID, IL, IN, 1S, JP, KE, KG, LS, LT, LU, LV, MA, MD, MG, MK, MN, MN, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, UA, UG, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, CY, DE, DK, ES, FI, FR, GB, GR, 1E, IT, BF, BJ, CF, CG, C1, CM, GA, GN, GQ, GW, US 2003073697 A1 20030417 US 2002- US 6800648 B2 20041005 AU 2002259054 A1 20021111 AU 2002-	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, PL, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, UA, UG, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, BF, BJ, CF, CG, CI, CM, GA, GN, GG, GW, ML, US 2003073697 Al 2003041005 AU 2002259054 Al 20021111 AU 2002-25905	WC 2002088142 Al 20021107 WC 2002-US13419 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LS, LT, LU, LV, MA, MD, MG, MK, NN, MW, MX, MZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, LT, LTJ, TM, UA, UG, UZ, VN, YU, ZA, ZM, ZW RM: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, BF, BJ, CF, CG, CI, CM, GA, GN, CG, GW, ML, MR, US 2003073657 Al 2003041005 AU 2002259054 Al 20021111 AU 2002-259054	WC 2002088142 Al 20021107 WC 2002-US13419 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LS, LT, LU, LV, MA, MD, MG, MK, MN, MN, MX, MZ, NO, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, UA, UG, UZ, VN, YU, ZA, ZM, ZW RM: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, BF, BJ, CF, CG, C1, CM, GA, GN, GG, GW, ML, MR, NE, US 2003073697 Al 20030417 US 2002-133994 AU 20022259054 Al 20021111 AU 2002-259054	W0 2002088142 Al 20021107 W0 2002-US13419 2:  W1: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GM, HR, HU, ID, II, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LS, LT, LU, LV, MA, MD, MG, MX, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, UA, UG, UZ, VN, YU, ZA, ZM, ZW RW1: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZM, AT, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, US 2003073697 Al 20030417 US 2002-133994 20 2004005 AU 2002259054 Al 20021111 AU 2002-259054 2:	W0 2002088142 Al 20021107 W0 2002-US13419 20020 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GG, GE, GM, HR, HU, ID, II, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, XX, MZ, NO, NZ, OM, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, UA, UG, UZ, VN, YU, ZA, ZM, ZW RW: CH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GY, GM, ML, MR, NE, SN, TD, US 2003073697 Al 20030417 US 2002-133994 20020 US 6800648 B2 20041005 AU 2002259054 Al 20021111 AU 2002-259054 20020

WO 2002-US13419

W 20020426

OTHER SOURCE(S): MARPAT 137:353042

The title compds. [I; Rl = H, halo, CN, etc.; Z = (un)substituted piperazino, piperidino, 3,6-dihydro-2H-pyridin-1-yl, etc.], useful for treatment of disorders of the dopaminergic system, such as achizophrenia, schizoaffective disorder, bipolar disorder, Parkinson's disease, L-DOPA

L10 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT: THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:849642 CAPLUS

TITLE: 137:353040 Preparation of antidepressant azaheterocyclylmethyl derivatives of 7,8-dihydro-1,6,9-trioxa-3-aza-cyclopenta(s)naphthelene

INVENTOR(S): Tran, Megan, Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
PCT Int. Appl., 37 pp.

CODEM: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Patent
English
FAMILY ACC. NUM. COUNT: 2

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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										002-					0020	425
	<b>#</b> U									BG,						
		٠.								EE,						
										KG,						
										MW,						
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							ZA,									
		KW:								TZ,						
										IT,						
										GW,						
	CA	2445	859	••		AI	2002	110/	CA 2	002-	2445	859		2	0020	425
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									EP 2	002-	1668	16		2	0020	425
	ΕP	1392														
		R:								ΙT,	LI,	LU,	NL,	SE,	MC,	PT,
							RO,									
	CN	1503	799			А	2004	0609	CN 2	002-	8086	77		2	0020	425
	BR	2002	0094	07		А	2004	0706	BR 2	002-	9407			2	0020	425
	JΡ	2004	5283	52		T	2004	0916	JP 2	002-	5854	38		2	0020	425
	ΑŢ	2779	34			T										
	PT	1392	700			Ť	2004	1231	PT 2	002-	7668	16		2	0020	425
	ES	2225	798			Т3				002-					0020	425
	MX	2003	PA09	829		А	2005	0307	MX 2	003-	PA98	29		2	0031	024
PRIOR	IT	APP	LN.	INFO	. :				US 2	001-	2874	49P		P 2	0010	430
														_		
									WO 2	002-	US 13	117		₩ 2	0020	425

MARPAT 137:353040 OTHER SOURCE(S):

L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

474622-49-6 CAPLUS
[1,4]Dioxino[2,3-g]benzoxazole,
4-(5-fluoro-lH-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

474622-50-9 CAPLUS
[1,4]Dioxino[2,3-g]benzoxezole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

The title compds. [I: R1-R5, R7 = H, halo, CN, etc.; R6 = H, alkyl; Z = CR7, N; n = 0-2], useful for the treatment of depression and other diseases such as obseasive compulsive disorder, panic attacks, AB

ralized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine

and related illnesses, were prepared E.g., a multi-atep synthesis of (S)-II, starting from 5-nitrogualacol and allyl bromide, which showed Ki of 4.00 nM in test on 5-MT transporter affinity, was given.

474622-49-59 474622-49-69 474622-50-99 474622-51-99 474622-51-90 474622-52-99 474622-51-90 474622-55-49 474622-55-49 474622-55-49 474622-51-90 474622-51-90 474622-51-90 474622-65-

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
 (preparation of antidepressant azaheterocyclylmethyl derivs. of
 7,8-dihydro-1,6,9-trioxa-3-aza-cyclopenta[a]naphthalene)
474622-48-5 CAPLUS
[1,4]Dioxino[2,3-g]benzoxazole, 8-{(3,6-dihydro-4-{1H-indol-3-yl})-1{2H}-pyridinyl]methyl}-7,8-dihydro-, {8S}- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474622-51-0 CAPLUS
1H-Indole-5-carbonitrile,
[[(88)-7]-8-dihydro-2-methyl[1,4]dioxino[2,3g]benzoxazol-8-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 474622-52-1 CAPLUS
CN 1H-Indole-5-cerbonitrile,
3-[1-[(183)-7,8-dihydro-2-methyl[1,4]dioxino[2,3g|benzoxazol-8-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-,
(2E)-2-butenedioate (1:2) (CA INDEX NAME)

CM 1

CRN 474622-51-0 CMF C25 H22 N4 O3

L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

HO2C E CO2H

RN 474622-53-2 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole,
8-[[4-(7-fluoro-ll+indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, [8S}- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474622-56-5 CAPLUS
CN [1,4]Dloxino[2,3-g]benzoxazole,
8-[{4-(5-chloro-lH-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S}-, (2E)-2-butenedioate
(2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474622-55-4 CMF C24 H22 C1 N3 O3

Absolute stereochemistry.

CM 2

Double bond geometry as shown.

Page 27

L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474622-54-3 CAPLUS
CN {1,4|Dioxino(2,3-g|benzoxazole, '
8-{{4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl}methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 474622-55-4 CAPLUS
CN [1,4]Dloxino[2,3-g]benzoxazole,
8-[{4-(5-chloro-11-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) HO2C E CO2H

474622-59-8 CAPLUS
[1,4]Dioxino[2,3-g]benzoxazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro- (CA INDEX NAME)

RN 474622-60-1 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole,
8-[[4-(5-fluoro-lH-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

474622-61-2 CAPLUS
[1,4]Dioxino[2,3-g]benzoxazole, 8-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474622-62-3 CAPLUS 1H-Indole-5-carbonitrile, 3-{1-{(7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl}- (CA INDEX NAME)

RN 474622-63-4 CAPLUS
CN [1,4]Dioxino{2,3-g|benzoxazole,
8-[[4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT: THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474622-64-5 CAPLUS CN [1,4]Dioxino[2,3-q]benzoxezole, 8-[{4-(6-fluoro-1H-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

RN 474622-65-6 CAPLUS CN [1,4|Dioxino[2,3-q]benzoxazole, 8-[4-(5-chloro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]mathyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

L10 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:
2002:849639 CAPLUS
137:353039
TITLE:
PATENT ASSIGNEE(S):
SOURCE:
TEAN, Megan: Stack, Gazy Paul
Wyeth, John, and Brother Ltd., USA
PCT Int. Appl., 27 pp.
CODEN: PIXXD2
Patent
Pa

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.					KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
						-									-		
WO	2002	0881	36		A2		2002	1107		WO 2	002-	US 13	447		2	0020	429
WO	2002	0881	36		A3		2003	0320									
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	Hυ,	ID,	IL,	IN,	15,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW.	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PΤ,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	UZ,	VN,	YU,	ZA,	ZM,	ZW								
	RW:	GH,	GM,	KE,	LŞ,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,	MR,	NE,	SN,	TD,	TG
	5893						2004									0020	426
AU	2002	3035	29		A1		2002	1111		AU 2	002-	3035	29		2	0020	429
RIORIT	APP	LN.	INFO	.:						US 2	001-	2874	48P		P 2	0010	430
										WO 2							400
										WU 2	002-	0212	44/		* 2	0020	429

MARPAT 137:353039 OTHER SOURCE(S):

L10 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB The title compds. [I: R1, R3-R5, R7 = H, halo, CN, etc.; R2, R6 = H, alkyl; Z = CR7, N: X = O, S, H2, F2; n = O-2], useful for the treatment of

11

diseases such as depression (including but not limited to major

diseases such as depression (including but not limited disorder, disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder (including trichotillomania), social anxiety disorder; generalized anxiety disorder; obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared E.g., a

sexual dysfunction and related illnesses, were prepared E.g., a multi-step synthesis of (S)-II, starting from 2',3',4'-trihydroxyacetophenone and (R)-qlycidyl tosylate, which showed Ki of 2.74 nM in test for 5-HT transporter affinity, was given.

IT 474551-68-3P 474551-71-BP 474551-73-0P 474551-76-3P 474551-93-P 474551-92-P 474551-92-3P 474551-97-BP RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of antidepressant azaheterocyclylmethyl derivs. of 1,4,5-trioxa-phenanthrene)

RN 474551-68-3 CAPLUS

CN 7H-Pyrano(2,3-f)-1,4-benzodioxin-7-one, 2-[(4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-, (2S)-, (2E)-2-butenedioate

L10 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 144-62-7 CMF C2 H2 O4

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RN 474551-73-0 CAPLUS
CN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one,
2-[(3,6-dihydro-4-(1H-indo1-3-yl)1(2H)-pyridinyl]methyl]-2,3,8,9-tetrahydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

Page 29

L10 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (1:1) (9CI) (CA INDEX NAME) (Continued)

CM 1

CRN 474551-67-2 CMF C25 H21 F N2 O4

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

474551-71-8 CAPLUS
1H-Indole, 5-fluoro-3-[1,2,3,6-tetrahydro-1-[[{2S}-2,3,8,9-tetrahydro-7H-pyrano[2,3-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]-, ethanedioate
[1:1] (CA INDEX NAME)

CRN 474551-70-7 CMF C25 H25 F N2 O3

Absolute stereochemistry.

L10 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474551-76-3 CAPLUS

NN 1H-Indole,
3-[1,2,3,6-tetrahydro-1-[{(2S)-2,3,8,9-tetrahydro-7H-pyrano[2,3[]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]-, ethanedioate (1:1) (CA
INDEX NAME)

CM 1

CRN 474551-75-2 CMF C25 H26 N2 O3

Absolute stereochemistry.

CM 2

CRN 144-62-7 CMF C2 H2 O4

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RN 474551-89-8 CAPLUS
CN 7H-Pyrano[2,3-f]-1,-benzodioxin-7-one,
2-[[4-(5-fluoro-1H-indol-3-y1)-3,6dihydro-1(2H)-pyridinyl]methyl)-2,3-dihydro- (CA INDEX NAME)

L10 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

474551-91-2 CAPLUS
1H-Indole, 5-fluoro-3-[1,2,3,6-tetrahydro-1-[(2,3,8,9-tetrahydro-7Hpyrano[2,3-f]-1,4-benzodioxin-2-yl)methyl)-4-pyridinyl)- (CA INDEX NAME)

RN 474551-92-3 CAPLUS
TH-Pyrano[2, 3-f]-1,4-benzodioxin-7-one,
2-{[3,6-dihydro-4-(1H-indol-3-y1)1(2H)-pyridinyl]methyl]-2,3,8,9-tetrahydro- (CA INDEX NAME)

L10 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

474551-97-8 CAPLUS
1H-Indole, 3-[1,2,3,6-tetrahydro-1-[(2,3,8,9-tetrahydro-7H-pyrano[2,3-f]-1,4-benzodioxin-2-yl)methyl]-4-pyridinyl]- (CA INDEX NAME)

L10 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2002:849638 CAPLUS
137:353038
1TITLE: Preparation of antidepressant azaheterocyclylmethyl derivatives of oxaheterocycle-fused-[1,4]-benzodioxans
INVENTOR(S): Stack, Gary Paul; Gao, Hong; Gildersleeve, Elizabeth Suzanne
PATENT ASSIGNEE(S): Weth, John, and Brother Ltd., USA
POCUMENT TYPE: PLANGUAGE: PROPERTY ASPIRATION COUNT: PLANGUAGE: English
FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

P.	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
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WC	2002	0881	35		A1		2002	1107		WO 2	002-	US12	<b>B31</b>		2	0020	424
	W:										BG,						
		co,	CR,	cu,	CZ.	DE,	DK.	DM.	DZ.	EC.	EE,	ES.	FI.	GB.	GD.	GE.	GH.
											KG.						
											MW,						
											SL,						
								ZM.				,					
	RW:									SZ.	TZ,	UG.	ZM.	ZW.	AT.	BE.	CH.
											IT,						
											GW,						
C.F	2445										002-						
AL	2002	2589	68		Al		2002	1111		AU 2	002-	2589	68		- 2	0020	424
E	1381	613			A1		2004	0121		EP 2	002-	7289	47			0020	424
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	2002															0020	
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	2004							1021			002-					0020	
	2229										002-						
	2003										003-					0031	
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FKIOKI	1 AFF	ы.	INFO	• •						US 2	.001-	2005	09P		P 2	0010	420

WO 2002-US12831

W 20020424

OTHER SOURCE(S): MARPAT 137:353038 L10 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

The title compds. [I; R1, R3-R5, R7 = H, halo, CN, etc.; Y = CO, C(R2)2 and Z = CH2, (CH2)2, CH:CH, NRZ; or Y and Z, taken together, form CR2:CH, N:CR2, CR2:N; R2, R6 = H, alky!; X = CR7, N; n = 0-2], useful for the treatment of depression such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepared E.g., a 5-step synthesis of (S1-II, starting from -(7-hydroxy-2,3-dihydro-1,4-benzodioxin-2-y1)methanol and 2,3-dichloro-1-propene, which showed Ki of 14.07 nM against 5-HT1A receptor binding, was given. 474621-95-99 474621-96-09 474621-97-19 474621-95-97 474621-56-07 474622-15-69 474622-15-69 474622-15-69 474622-15-69 474622-15-69 474622-15-69 474622-15-69 474622-15-69 474622-15-69 474622-15-69 474622-15-69 474622-15-69 474622-15-69 474622-15-69 474622-15-69 474622-15-69 474622-15-69 474622-16-99 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

11

(Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of oxaheterocycle-fused-[1,4]-benzodioxans)
474621-95-9 CAPLUS
HH-Indole, 3-[1-[1(28)-2,3-dihydro-8-methylfuro[3,2-f]-1,4-benzodioxin-2-yl]methyl)-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

L10 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

 $\begin{array}{lll} 474621-96-0 & CAPLUS \\ 1H-Indole, & 3-\{1,2,3,6-tetrahydro-1-\{\{(2S)-2,3,8,9-tetrahydrofuro\{3,2-f\}-1,4-benzodioxin-2-y1\}methyl\}-4-pyridinyl\}- & (CA INDEX NAME) \\ \end{array}$ 

Absolute stereochemistry.

RN 474621-97-1 CAPLUS
CN 1H-Indole,
3-[1-{{(28)-2,3-dihydrofuro{3,2-f}-1,4-benzodioxin-2-y1}methyl}1,2,3,6-tetrahydro-4-pyridinyl}- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474622-00-9 CAPLUS CN 1H-Indole, 5-fluoro-3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl|methyl|-4-pyridinyl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 474621-99-3 CMF C25 H25 F N2 O3

Absolute stereochemistry.

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L10 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

474621-98-2 CAPLUS
1H-Indole, 3-[1,2,3,6-tetrahydro-1-[{(2S)-2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 474621-99-3 CAPLUS
CN 1H-Indole,
5-fluoro-3-(1,2,3,6-tetrahydro-1-[[(2S)-2,3,9,10-tetrahydro-8Hpyrano[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Double bond geometry as shown.

474622-14-5 CAPLUS 1H-Indole, 3-[-[-1, 2, 3-dihydro-8-methylfuro[3, 2-f]-1, 4-benzodioxin-2-ylmethyl]-1, 2, 3, 6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

474622-15-6 CAPLUS
1H-Indole, 3-[1,2,3,6-tetrahydro-1-[(2,3,8,9-tetrahydrofuro[3,2-f]-1,4-benzodioxin-2-yl)methyl]-4-pyrldinyl]- (CA INDEX NAME)

474622-16-7 CAPLUS
1H-Indole, 3-[1-1(2,3-dihydrofuro[3,2-f]-1,4-benzodioxin-2-yl)methyl]1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

L10 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474622-17-8 CAPLUS CN 1H-Indole, 3-[1,2,3,6-tetrahydro-1-[(2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl)methyl]-4-pyridinyl]- (CA INDEX NAME)

474622-18-9 CAPLUS
1H-Indole, 5-fluoro-3-[1,2,3,6-tetrahydro-1-[(2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl}methyl]-4-pyridinyl]- (CA INDEX NAME)

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND DATE APPLICATION NO. DATE

AN AT AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PM, CS, SC, SI, SK, SL, TJ, TM, TM, TR, TT, TZ, VN, YU, ZA, ZM, ZW
LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TK, CG, CI, CM, GA, GN, GG, GW, ML, MR, NS, NT, DT, TG
A1 20021205
B2 20041005
A1 20021111
AU 2002-308491
US 2001-285568P
P 20010426 PATENT NO. WO 2002088133

W: AE, AG, AL,
CO, CR, CU,
GM, HR, HU,
LS, LT, LU,
PL, PT, RO,
UA, UG, UZ,
RW: GH, GM, CY, DE, DK,
US 200218331
US 6800641
AU 2002308491
PRIORITY APPLN. INFO:: AU 2002-308491 US 2001-286568P 20020426 P 20010426 WO 2002-US13284 W 20020426

OTHER SOURCE(S): MARPAT 137:353036

AB The title compds. [I; Rl = H, helo, CN, etc.; R2 = H, OH, halo, etc.; Z = (un) substituted piperarino, piperidino, etc.], useful for treatment of disorders of the dopaminergic system, such as schizophrenia, schizoffective disorder, bipolar disorder, Parkinson's disease, L-DOPA induced psychoses and dyskinesis, Tourette's syndrome and hyperprolectinemia and in the treatment of drug addiction such as the addiction to ethanol, nicotline or cocaine and related illnesses, were prepared Thus, reacting Page 32-dihydro-7H-[1,4]dioxino[2,3-e]indazol-2-

L10 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) ANSMER 18 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
ylmethyl 4-methylbenzenesulfonate (multi-step prepn. given) with PhCH2NH2
in DMSO afforded 841 (8)-I [R], R2 = H; Z = NHCH2Ph] which showed IC50 of
0.45 nM against D2 receptor binding.
474383-10-3P 474383-12-5P 474383-13-6P
474383-14-7P 474383-23-8P 474383-24-9P
RE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(Uses)
(Uses)
(Ureparation of antipsychotic aminomethyl derivs. of
7,8-dihydro-3H-6,9dioxa-2,3-diaza-cyclopenta[a]naphthalene)
RN 474383-10-3 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indazole, 2-{[3,6-dihydro-4-(lH-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

474383-12-5 CAPLUS
7H-1,4-Dioxino[2,3-e]indazole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl)-2,3-dihydro-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

L10 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

● HCl

474383-13-6 CAPLUS
7H-1,4-Dioxino[2,3-e]indezole, 2-{[4-[(5-fluoro-1H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, {2S}- {CA INDEX NAME}

#### Absolute stereochemistry.

474383-14-7 CAPLUS
7H-1,4-Dioxino[2,3-e]indazole, 2-[[4-[(5-fluoro-lH-indol-2-y1)methy1]-1-piperidinyl]methy1]-2,3-dihydro-, (2S)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474383-13-6

L10 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

474383-24-9 CAPLUS
7H-1,4-Dioxino[2,3-e]indazole, 2-[[4-[(5-fluoro-lK-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

REFERENCE COUNT:

FORMAT

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L10 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN CMF C24 H25 F N4 O2 (Continued)

Absolute stereochemistry.

СМ

CRN 144-62-7 CMF C2 H2 O4

но- с- с- он

474383-23-8 CAPLUS
7H-1,4-Dioxino[2,3-e]indazole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

L10 ANSWER 19 OF 25
ACCESSION NUMBER:
DOCUMENT NUMBER:
137:353034
Preparation of antidepressant (SSRI)
azaheterocyclymethyl derivatives of
7,8-dhydro-31-6,9-dioxa-1,3diazacyclopenta[a]naphthalene
Stack, Gary Paul
Wyeth, John, and Brother Ltd., USA
POCUMENT TYPE:
LANGUAGE:
PAMILY ACC. NUM. COUNT:
PAMELY ACC. NUM. CO DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.																		
						-									-			
WO	2002	20881	31		A1		2002	1107		WO 2	002-	US12	993		2	0020	423	
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	вв,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI.	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG.	KP,	KR,	KZ,	LC,	LK,	LR,	
		LS.	LT.	LU.	LV.	MA.	MD.	MG,	MK.	MN.	MW.	MX.	MZ.	NO.	NZ.	OM.	PH.	
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								ZM.								•		
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CA	2445	5552																
AU	2002	2589	88		Al		2002	1111		AU 2	002-	2589	88		2	0020	423	
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JP	2004	15275	61		r		2004	0909		JP 2	002-	5854	30		5	0020	423	
CN	1535	15275 5274 016			Ā		2004	1006		CN 2	002-	ROBR	17		5	0020	423	
AT	3046	116			Ť		2005	0915		AT 2	002-	7289	68		5	0020	423	
ES	224	1327			т3		2006	0301		ES 2	002-	272B	968		5	0020	423	
MX	200	7327 3PA09	R2A		ă .		2005	0307		MY 2	002	PAGR	28		,	0020	024	
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				• •							001	2003				0010	120	
										WO 2	002-	US12	993		W 2	0020	423	

OTHER SOURCE(S): MARPAT 137:353034 L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

The title compds. [I: Rl-R5, R8 = H, halo, CN, etc.; R6, R7 = H, alkyl; Z = CR8, N; n = 0-Z], useful for the treatment of depression and other diseases such as obsessive compulsive disorder, panic attacks,

TT

calized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse

and related illnesses, were prepared Thus, reacting

and related illnesses, were prepared Thus, reacting
[(8R)-2-trifluoromethy]7,8-dihydro-3H-6,9-dioxa-1,3-diaza-cyclopenta[a]naphthalen-8-yl]methyl
4-methylbenzenesulfonate (multi-step synthesis given) with
5-fluoro-3-(1,2,3,6-tet-hydro-4-pyridinyl)-Hi-indole in DMSO afforded
(S)-II which showed Ki of 3.07 nM against 5-HTlA receptor binding.
I 474623-47-P 474623-48-P 474623-51-3P
474623-53-5P 474623-68-P 474623-59-1P
474623-55-5P 474623-68-P 474623-59-1P
474623-69-3P 474623-37-3P 474623-77-3P
474623-99-9P 474624-03-2P
474623-99-9P 474624-07-2P
474624-06-1P 474624-07-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

RT: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of antidepressant (SSRI) azaheterocyclylmethyl derivs. of 7,8-dihydro-3H-6,9-dioxa-1,3-diazacyclopenta[a]naphthalene)
474623-47-7 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl)-7,8-dihydro-2-(trifluoromethyl)-, (8S)-

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Double bond geometry as shown.

но2с СО2Н

474623-51-3 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl)-7,8-dihydro-2-(trifluoromethyl)-, (8S)- (CA

INDEX

Absolute stereochemistry,

474623-53-5 CAPLUS
1H-[1,4]Dloxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (88)-, (2E)-2-butenedioate (1:1) [9CI] (CA INDEX NAME)

CRN 474623-51-3 CMF C24 H21 F3 N4 O2

Absolute stereochemistry.

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (CA INDEX NAME) (Continued)

Absolute stereochemistry.

CRN 474623-47-7 CMF C24 H20 F4 N4 O2

Absolute stereochemistry.

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

HO2C E CO2H

474623-56-8 CAPLUS

1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[(4-(6-fluoro-lH-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl)-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CRN 474623-55-7 CMF C24 H20 F4 N4 O2

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

но2С СО2Н

474623-59-1 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[{3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)-, (2E)-2-butenedioate
(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-58-0 CMF C24 H24 N4 O2

Absolute stereochemistry.

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

474623-61-5 CAPLUS
1H-{1,4|Dioxino{2,3-e|benzimidazole, 8-|{3,6-dihydro-4-{1H-indol-3-yl}-1(2H)-pyridinyl|methyl|-7,8-dihydro-1,2-dimethyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474623-64-8 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-1,2-dimethyl-, (8S)-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CRN 474623-61-5 CMF C25 H26 N4 O2

Absolute stereochemistry.

CM 2

Double bond geometry as shown.

Page 35

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) HO2C E CO2H

474623-67-1 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[(3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl)-2-ethyl-7,8-dihydro-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

474623-69-3 CAPLUS

1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[{3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-2-ethyl-7,8-dihydro-, (8S}-, (2E)-2-butenedioate (1:1) (9CI) (CA IMDEX NAME)

CM 1

CRN 474623-67-1 CMF C25 H26 N4 O2

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

но2С СО2Н

474623-73-9 CAPLUS
1H-[1,4]Dloxino[2,3-e]benzimidazole, 8-[[4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedloate (1:1) [9CI] (CA INDEX NAME)

CM 1

CRN 474623-72-8 CMF C24 H20 F4 N4 O2

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474623-93-3 CAPLUS
IH-[1,4]Dloxino[2,3-e]benzimidazole, 8-[(3,6-dihydro-4-(1H-indol-3-yl)1(2H)-pyridinyl)methyl]-7,8-dihydro-2-(trifluoromethyl)- (CA INDEX NAME)

 $\begin{array}{lll} 474623-96-6 & CAPLUS \\ 1H-[1,4]Dioxino[2,3-e]benzimidazole, & 8-[[4-(6-fluoro-1H-indol-3-y1)-3,6-dihydro-12(H)-pyridinyl]methyl]-7, & 8-dihydro-2-(trifluoromethyl)- & (CAINDEX NAME) \\ \end{array}$ 

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

HO2C E CO2H

474623-77-3 CAPLUS
1H-[1,4|Dioxino[2,3-e]benzimidazole, 8-{[3,6-dihydro-4-{1H-indol-3-yl}-1(2H)-pyridinyl|methyl]-7,8-dihydro-2-(pentafluoroethyl)-, (85)-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-76-2 CMF C25 H21 F5 N4 O2

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

но2с Е со2н

474623-90-0 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[(4-{5-fluoro-1H-indol-3-yl}-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)- (CA INDEX NAME)

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474623-99-9 CAPLUS
1H-[1,4]Dloxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

474624-02-7 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[{3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl}-7,8-dihydro-1,2-dimethyl- (CA INDEX NAME)

L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

474624-05-0 CAPLUS lH-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(lH-indol-3-y1)-1(2H)-pyridinyl]methyl]-2-ethyl-7,8-dihydro- (CA INDEX NAME)

474624-06-1 CAPLUS HH-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(7-fluoro-lH-indol-3-yl)-3,6-dlhydro-1(2H)-pyridinyl]methyl]-7,8-dlhydro-2-(trifluoromethyl)- (CA INDEX NAME)

L10 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:849632 CAPLUS
137:353058
TITLE: 137:353058
Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-dioxid

INVENTOR (S):

Telulnazoline (2,3-uniyuto-1,4-unixino[2,3-f]quinazoline (1,3-uniyuto-1,4-unixino) (1,3-uniyuto-1,4-unixino) (1,3-uniyuto-1,4-unixino) (1,4-unixino) (1,4-un PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. DATE KIND APPLICATION NO. DATE PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2002088129 A1 20021107 WO 2002-US12738 20020423

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CG, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MK, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZM

RW: GH, GM, KE, LS, MM, MZ, SD, SI, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GM, GQ, GW, MI, MR, NE, SN, TD, TG

AU 2002252709 A1 20021205 US 2002-127926 20020423

US 6656947 B2 20031202

EP 1381612 A1 20040121 EP 2002-721799 20020423

LE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO::

WO 2002-US12738

W 20020423

OTHER SOURCE(S): MARPAT 137:353058 L10 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474624-07-2 CAPLUS HH-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(HH-indol-3-y1)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(pentafluoroethyl)- (9CI) (CA INDEX

NAME)

REFERENCE COUNT: THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

The title compds. [I; R1, R3-R5, R7 = H, OH, halo, etc.; R2 = H, OH, AB halo,

The title compds. [I; R1, R3-R5, R7 = H, OH, halo, etc.; R2 = H, OH, , etc.; R6 = H, alkyl; Z = N, N-oxide; X = CR7, N; n = 0-2], useful for the treatment of depression and other diseases such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, excual dysfunction, eating disorders, obseity, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepared Thus, reacting (2R)-2,3-dihydro-1,4-dioxino(2,3-f)quinazolin-2-ylmethyl 4-methylbenzenesulfonate (multi-step synthesis given) with 5-fluoro-3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole in the presence of NaRCO3 in DMF/THF afforded 35% (S)-II which showed Ki of 51.53 nM against 5-HTIA receptor binding.
474607-77-79 474607-88-80 474607-89-89
474607-80-2P 474607-88-0P 474607-89-1P
474607-90-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); TMU

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino[2,3-f]quinazoline)

RN 474607-77-7 CAPLUS

CN 1,4-Dioxino[2,3-f]quinazoline,
2-[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

L10 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued

RN 474607-78-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(lH-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 474607-79-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-[1H-indol-3-yl)-1{2H}-pyridinyl]methyl]-2,3-dihydro-8-methyl-, 9-oxide, (25)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474607-86-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline,
2-[{4-(5-fluoro-ll+indol-3-yl)-3,6-dihydro1(2H)-pyridinyl)methyl)-2,3-dihydro(CA INDEX NAME)

RN 474607-87-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(lH-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

L10 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued

RN 474607-80-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[(3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pytidinyllmethyl)-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 474607-81-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-8-ethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474607-88-0 CAPLUS CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)pyridinyl]methyl]-2,3-dihydro-8-methyl-, 9-oxide (CA INDEX NAME)

RN 474607-89-1 CAPLUS CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)pyridinyl]methyl]-2,3-dihydro-8-methyl- (CA INDEX NAME) L10 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474607-90-4 CAPLUS
1,4-Dioxino[2,3-f]quinazoline, 2-{[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-8-ethyl-2,3-dihydro- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

(Continued)

FORMAT

L10 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

The title compds. [I; Rl = H, OH, halo, etc.; R2-R4, R6 = H, halo, CN, etc.; R5 = H, alkyl; X = CR6, N; n = 0-2; Y = N, N-oxidel, useful for the treatment of depression, obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual unrison.

Absolute stereochemistry.

Page 39

L10 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2002:832806 CAPLUS
DOCUMENT NUMBER: 137:337898
Predaration of

137:337898
Proparation of antidepressant azaheterocyclylmethyl derivatives of 1,4-dioxino[2,3-b]pyridine
Tran, Megan; Stack, Gary Paul
Wyoth, John, and Brother Ltd., USA
PCT Int. Appl., 30 pp.
COOEN: PIXXD2
Patent
PIXXD2
Patent
PIXXD2

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.																	
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	WO	2002	0859	11		A1		2002	1031		WO 2	002-	<b>US12</b>	847		2	0020	424
		W:	AE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			co.	CR,	cu,	CZ.	DE,	DK,	DM,	DZ.	EC.	EE,	ES,	FI.	GB,	GD.	GE.	GH,
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											WO 2	2002-	US 12	847		W 2	20020	424

OTHER SOURCE(S):

MARPAT 137:337898

L10 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 473996-69-9 CAPLUS
CN 1,4-0-ioxino[2,3-b]pyridine, 3-[[4-(5-fluoro-1H-indol-3-y1)-3,6-dihydro1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S) (CA INDEX NAME)

Absolute stereochemistry.

473996-70-2 CAPLUS

Hi-Indole-5-carbonitrile, 3-[1-[(3s)-2,3-dihydro-1,4-dioxino[2,3-b]pyridin-3-y1]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

473996-71-3 CAPLUS

1,4-Dioxino[2,3-b]pyridine, 3-{[4-(6-fluoro-lH-indol-3-yl)-3,6-dihydro-l(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 473996-72-4 CAPLUS CN 1,4-Dioxino[2,3-b]pyridine, 3-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl)methyl]-2,3-dihydro-, (3S)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

L10 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CRN 473996-60-0
CMF C21 H21 N3 O2

Absolute stereochemistry.

CM 2

HO- C- C- OH

RN 473996-73-5 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[4-(5-fluoro-lH-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)-, ethanedioate (2:1) [9CI]

INDEX NAME)

CM 1

CRN 473996-69-9 CMF C21 H20 F N3 O

Absolute stereochemistry.

CM 2

L10 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) Absolute stereochemistry.

CM 2

CRN 144-62-7 CMF C2 H2 O4

но- с- с- он

RN 473996-81-5 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

H N CH2 O N

RN 473996-82-6 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[4-(5-fluoro-lH-indol-3-yl)-3,6-dihydro-l(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

N-CH2-0 N

RN 473996-83-7 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[(2,3-dihydro-1,4-dioxino[2,3-b]pyridin-3-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

L10 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CRN 144-62-7
CMF C2 H2 O4

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RN 473996-74-6 CAPLUS
IH-Indole-5-carbonitrile, 3-[1-{[{3S}-2,3-dihydro-1,4-dioxino[2,3-b]pyridinn-3-y.]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-, ethanedioate
(5:7) (CA INDEX NAME)

CM

CRN 473996-70-2 CMF C22 H20 N4 O2

Absolute stereochemistry.

CM 2

CRN '144-62-7

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RN 473996-75-7 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[4-(6-fluoro-lH-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)-, ethanedioate (1:2) (9CI)
(CA

INDEX NAME)

CM 1

CRN 473996-71-3 CMF C21 H20 F N3 O2

L10 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 473996-84-8 CAPLUS
CN 1,4-Dioxino(2,3-b)pyridine, 3-[[4-(6-fluoro-1H-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl)methyl]-2,3-dihydro- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 22 OF 25
ACCESSION NUMBER:
ACCESSION NUMBER:
DOCUMENT NUMBER:
137:337896
Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-benzodioxane
Husbands, George Edward Morrie; Stack, Gary Paul;
Mewahaw, Richard Eric; Cliffe, Ian Anthony
Wyeth, John, and Brother Ltd., USA
POURCE:
DOCUMENT TYPE:

CODEN: PIXXD2
Patent

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English 2

						KIND DATE												
	WO	2002	0858	96		A1		2002	1031		WO 2	002-	US 12	843		2	0020	423
	WO	2002	0858	96		A8		2002	1128									
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	ÇN,
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR.	KZ,	LC.	LK.	LR.
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
			UA,	UG,	UZ,	VN,	YU,	ZA,	ZM,	2W								
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR.
			BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
	ΑU	2002	2589	71		A1		2002	1105		AU 2	002-	2589	71		2	0020	423
	EP	1381	600			A1		2004	0121		EP 2	002-	7289	50		2	0020	423
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT.
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR			-			
P	RIORIT	( APP	LN.	INFO	. :						US 2	001-	2860	56P		P 2	0010	424

WO 2002-US12843 W 20020423

OTHER SOURCE(S): MARPAT 137:337896

L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

473993-80-5 CAPLUS
IH-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)

473993-81-6 CAPLUS
1,4-Benzodioxin-6-amine, 3-{[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

473993-82-7 CAPLUS
1,4-Benzodioxin-6-amine, 2-{[3,6-dihydro-4-(1H-indo1-3-y1)-1(2H)-pyridinyl|methyl}-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 473993-83-8 CAPLUS CN 1H-Indole, 3-[1-{[(28)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-y1]methy1]-

Page 41

L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

The title compds. [I; R1, R2 = H, halo, CN, etc.; R3-R5, R7 = H, halo,

The title compds. [I: R1, R2 = H, halo, CN, etc.; R3-R5, R7 = H, halo, etc.; R6 = H, alkyl; X = CR7, N; n = 0-2], useful for the treatment of depression and other conditions such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, sexual dysfunction, eating disorders, addictive disorders caused by ethanol or cocaine abuse and related; addictive disorders caused by ethanol or cocaine abuse and related; addictive disorders reacting 2,3-dihydrobenzo[1,4]dioxin-2-ylmethyl 4-methylbenzenesulfonate with 5-methoxy-3-(1,2,3,6-tetrahydro-4-pyridinyl)-IH-indole in the presence of NaRCO3 in DMF/THF afforded II which showed Ki of 27.18 nM against 5-HTIA receptor binding.
473993-9-2P 473993-80-5P 473993-81-6P
473993-89-2P 473993-88-8P 473993-81-6P
473993-88-3P 473993-88-8P 473993-93-PP
473993-88-3P 473993-89-4P 473993-93-PP
473993-89-1P 473994-01-3P 473994-07-PP
473994-05-3P 473994-01-4P 473994-07-PP
473994-06-3P 473994-01-4P 473994-07-PP
473994-12-6P 473994-10-4P 473994-11-5P
471994-12-6P 473994-10-4P 473994-11-5P
471994-11-6P 473994-10-4P 473994-11-5P
471994-11-6P 473994-11-4P
47194-11-6P 474994-11-4P 4

(Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-benzodioxane)
4393-79-2 CAPLUS
1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-methoxy- (CA INDEX NAME)

L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS ON STN 1,2,3,6-tetrahydro-4-pyridinyl)- (CA INDEX NAME) (Continued)

Absolute stereochemistry.

473993-84-9 CAPLUS

Absolute stereochemistry.

Absolute stereochemistry.

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L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 473993-86-1 CAPLUS
CN 1H-Pytrole(2, 3-blpyridine, 3-[1-[[(2s)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-y1]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX

Absolute stereochemistry.

RN 473993-87-2 CAPLUS CN 1H-Indole, 3-[1-[([25]-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-6-fluoro- (CA INDEX NAME)

Absolute stereochemistry.

473993-88-3 CAPLUS 1,4-Benzodioxin-5-carboxamide, 2-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

473993-92-9 CAPLUS
1H-Indole, 3-[1-[{(2S)-2,3-dihydro-8-methyl-1,4-benzodioxin-2-yl}methyl}-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)

Absolute stereochemistry.

473993-93-0 CAPLUS
1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6tetrahydro-4-pyridinyl}-5-methoxy-, ethanedioate (1:1) (CA INDEX NAME)

CRN 473993-79-2 CMF C23 H24 N2 O3

CRN 144-62-7 CMF C2 H2 O4

L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 473993-89-4 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide,
2-[[4-(5-[louro-1H-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

473993-90-7 CAPLUS
1H-Indole, 3-[1-[([2S)-8-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 47393-91-8 CAPLUS CN 1H-Indole, 3-{1-[((23)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-y1]methyl]-1,2,3,6-tetrahydro-4-pyridinyl}- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

473993-94-1 CAPLUS
1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl)-1,2,3,6-tetrahydro-4-pyridinyl)-5-fluoro-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 473993-80-5 CMF C22 H21 F N2 O2

CM 2

CRN 144-62-7 CMF C2 H2 O4

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473994-01-3 CAPLUS
1H-Indole, 3-[1-[[(2S)-2,3-dihydro-8-methyl-1,4-benzodioxin-2-yl]methyl]1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 473993-92-9 CMF C23 H23 F N2 O2

L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

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473994-02-4 CAPLUS
1,4-Benzodioxin-6-amine, 2-[[3,6-dihydro-4-(lH-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

473994-03-5 CAPLUS
1H-Indole, 3-[1-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl)- (CA INDEX NAME)

RN 473994-04-6 CAPLUS
CN 1,4-Benzodioxin-6-amine,
2-{{4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-

L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 473994-09-1 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide,
2-[{4-(5-(1ucro-1H-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl)methyl1-2,3-dihydro-(CA INDEX NAME)

473994-10-4 CAPLUS
HH-Indole, 3-[1-[(8-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

473994-11-5 CAPLUS
1H-Indole, 3-[1-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

473994-12-6 CAPLUS 1H-Indole, 3-[1-[(2,3-dihydro-8-methyl-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)

Page 43

ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN pyridinyl)methyl)-2,3-dihydro- (CA INDEX NAME) (Continued)

473994-05-7 CAPLUS

IH-Indole-5-carbonitrile, 3-[1-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

RN 473994-06-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine,
3-[1-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

473994-07-9 CAPLUS
1H-Indole, 3-[1-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]1,2,3,6-tetrahydro-4-pyridinyl]-6-fluoro- (CA INDEX NAME)

473994-08-0 CAPLUS 1,4-Benzodioxin-5-carboxamide, 2-[[3,6-dihydro-4-{lH-indol-3-yl}-1{2H}-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

LIO ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

473994-14-8 CAPLUS 1,4-Benzodioxin-6-amine, 3-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

$$H_2N$$
  $O$   $CH_2$   $N$   $H$ 

473993-95-2P 473993-96-3P 473993-97-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 2.3-dihydro-1.4-benzodioxane)
473993-95-2 CAPLUS
1H-Indole, 3-[1-[(2S)-2,3-dihydro-7-nitro-1,4-benzodioxin-2-yl]methyl]1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME) IT

Absolute stereochemistry.

473993-96-3 CAPLUS
1H-Indole, 3-[1-[[(2S)-2,3-dihydro-6-nitro-1,4-benzodioxin-2-yl]methyl]1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

L10 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

473993-97-4 CAPLUS 1H-Indole, 3-[1-[([25)-2,3-dihydro-6-nitro-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetahydro-4-pytidinyl]-5-fluoro- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

(Continued)

FORMAT

PATENT NO. KIND DATE APPLICATION NO. DATE US 2003045542 US 6599915 PRIORITY APPLN. INFO.: US 2001-275564P P 20010314 A1 20020312 US 2002-95505 WO 2002-US7192 W 20020312

Preparation of antidepressant azaheterocyclylmethyl

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2002:716202 CAPLUS DOCUMENT NUMBER: 137:247706 Preparation of accessing the company of the company o

PATENT ASSIGNEE(S): PCT Int. Appl., 66 pp.

CODEN: PIXXDE

Patent

English

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

LANGUAGE:

OTHER SOURCE(S): MARPAT 137:247706

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

The title compds. {I; R1 = H, OH, halo, CN, etc.; R2-R5, R7 = H, OH, AB halo,

AB The title compds. [I R1 = H, OH, helo, CN, etc.; R2-R5, R7 = H, OH, helo, etc.; R6 = H, alkyl; A, D = CR1, N (provided that at least one of A and D = N); E, G = CR1; Z = N, CR7; n = O-21, useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder (also known as premenatrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, besity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alc. addition, sexual dysfunction and related illnesses, were prepared Thus, reacting (2R)-2, 3-dihydro(1, 4]dioxino(2,3-f]quinolin-2-ylmethyl-4-methylbenzenesulfonate (multi-step preparation given) with

5-methoxy-3-(1,2,3,6-t)-1,2,3,6-t tetrahydro-4-pyridyl)-1H-indole in DMSO afforded (S)-II. All 23 prepared compds. I were tested in the three standard exptl. tests for serotonin 5-HTIA

IA receptor activity (biol. data given).
460353-58-69 460353-70-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of antidepressant szaheterocyclylmethyl derivs. of 2.3-dihydro-1, 4-dioxino[2,3-f]quinoline)
460353-58-6 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1H-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl]methyl)-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

460353-70-2 CAPLUS

1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-8-ethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

460353-57-5P 460353-59-7P 460353-60-0P 460353-61-1P 460353-62-2P 460353-63-3P 460353-64-4P 460353-65-5P 460353-66-6P 460353-68-8P 460353-73-5P 460353-71-3P 460353-77-5P 460353-73-5P 460353-77-9P 460353-78-9P 460353-78-9P 460353-78-9P 460353-87-7P 460353-89-2P 460353-87-9P 460353-97-9P 460359-9P 460359-9P 460359-9P 460359-9P 460359-9P 460359-9P 460359-9P

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(Uses)
(prepn. of antidepressant azaheterocyclylmethyl derivs. of
2,3-dihydro-1,4-dioxino[2,3-f]quinoline)
460333-57-5 CAPLUS
1,4-bioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(5-methoxy-1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

#### Absolute stereochemistry.

460353-59-7 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(5-fluoro-1H-indol-3-y1)methy1]-1-piperidinyl]methyl]-2,3-dihydro-, (28)- (CA INDEX NAME)

#### Absolute stereochemistry.

460353-60-0 CAPLUS 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-

#### L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

460353-63-3 CAPLUS
1,4-Dloxino(2,3-f]quinoline, 2-[[4-(5-fluoro-l-methyl-lH-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

### Absolute stereochemistry.

460353-64-4 CAPLUS 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(5-fluoro-lH-indol-3-yl)methyl]-l-piperidinyl]methyl]-2,3-dihydro-8-methyl-, (28)- (CA INDEX NAME)

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

#### Absolute stereochemistry.

460353-61-1 CAPLUS
1H-Indole-5-carbonitrile, 3-[1-[[(2S)-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl}- (CA INDEX NAME)

460353-62-2 CAPLUS
1H-Indole-5-carboxamide, 3-[1-[[(2S)-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

#### L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

460353-65-5 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1{2H}-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

#### Absolute stereochemistry.

460353-66-6 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 2-[[4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

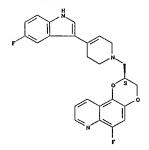
RN 460353-68-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl}-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 460353-69-9 CAPLUS
CN 1,4-Dloxino{2,3-f|quinoline, 2,3-dihydro-2-{{4-(1H-indol-3-y1)-1-piperidiny1}methy1}-8-methy1-, {2S}- (CA INDEX NAME}

Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 460353-73-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1{2H}-pyrtdinyl]methyl]-6-fluoro-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 460353-74-6 CAPLUS
CN 1,4-Dioxino(2,3-f)quinoline, 2-{[4-(5-f)uoro-1H-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-6-methoxy-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 460353-71-3 CAPLUS CN 1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2-([4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl)methyl)-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 460353-72-4 CAPLUS CN 1,4-Dioxino[2,3-f]quinoline, 6-fluoro-2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 460353-75-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinolin-8-amine, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 460353-76-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(7-ethyl-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

460353-77-9 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-chloro-lH-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl|methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

460353-78-0 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 2-[[4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN CRN 460353-79-1 CMF C27 H27 N3 O2 (Continued)

Absolute stereochemistry.

CM 2

Double bond geometry as shown.

но2С СО2Н

460353-81-5 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridiny1)methy1]-2,3-dihydro-9-methy1-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

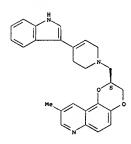
L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

460353-79-1 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(5-methyl-1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

460353-80-4 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(5-methyl-1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate
(1:1) [9CI] (CA INDEX NAME)

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



460353-82-6 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2,3-dihydro-2-[[4-(1H-indol-3-y1)-1-piperidinyl]methyl]-, (28)- (CA INDEX NAME)

Absolute stereochemistry.

460353-83-7 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(5-methoxy-lH-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)-, (2E)-2-butenedioate (1:1)
(9C1) (CA INDEX NAME)

CM 1

CRN 460353-57-5 CMF C26 H25 N3 O3

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

460353-84-8 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(5-fluoro-1H-indol-3-y1)methy1]-1-piperidinyl]methyl]-2,3-dihydro-, (2S}-, ethanedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 460353-59-7 CMF C26 H26 F N3 O2

Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

Double bond geometry as shown.

460353-86-0 CAPLUS
1H-Indole-5-carboxamide, 3-[1-[{(2S)-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl}-,(2E)-2-butenedioate {::1} (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 144-62-7 CMF C2 H2 O4

но-с-с-он

460353-85-9 CAPLUS
1H-Indole-5-carbonitrile, 3-[1-[([2S]-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl}-,
(2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 460353-61-1 CMF C26 H22 N4 O2

Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

HO2C E CO2H

460353-87-1 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 2-[[4-{5-fluoro-1-methyl-1H-indol-3-yl}-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, dihydrochloride, (2S)-(9CI)

(CA INDEX NAME)

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

460353-88-2 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 2-[[4-(6-fluoro-1H-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, ethanedioate (1:2)
(9CI) (CA INDEX NAME)

CM 1

CRN 460353-66-6 CMF C26 H24 F N3 O2

Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 460353-90-6 CAPLUS
COPYRIGHT 2007 ACS on STN (Continued)
1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[4-(1H-indol-3-y1)-1-piperidinyl]methyl]-8-methyl-, (2S)-, (2E)-2-butenedioate (1:1) [9C1)

INDEX NAME)

CM 1

CRN 460353-69-9 CMF C26 H27 N3 02

Absolute stereochemistry.

CM 2

Double bond geometry as shown.

460353-91-7 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)-, (2E)-2-butenedioate (1:1) [9C1] (CA INDEX NAME)

Absolute stereochemistry.

Page 49

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN CM 2 (Continued)

но- с- с- он

460353-89-3 CAPLUS 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate (1:1) (9C1) [CA INDEX NAME]

CRN 460353-68-8 CMF C26 H24 F N3 O2

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

460353-92-8 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 6-fluoro-2-[[4-(5-fluoro-1H-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-(2S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 460353-72-4 CMF C25 H21 F2 N3 O2

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

HO2C E CO2H

RN 460353-93-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl}-2,3-dihydro-6-methoxy-, (2S)-,
[2E)-2-butchedioate (CA INDEX NAME)

CM 1

CRN 460353-74-6 CMF C26 H24 F N3 O3

Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

460353-94-0 CAPLUS 1,4-Dioxino[2,3-f]quinolin-8-amine, 2-[[4-(5-fluoro-1H-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)-, (2E)-2-butenedioate [1:1] (9C1) (CA INDEX NAME)

CRN 460353-75-7 CMF C25 H23 F N4 O2

Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

Double bond geometry as shown.

460353-95-1 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2,3-dihydro-2-[[4-(1H-indol-3-yl)-1-piperidinyl]methyl]-, (2S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CRN 460353-82-6 CMF C27 H29 N3 O2

Absolute stereochemistry.

L10 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

HO2C E CO2H

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 1999:100823 CAPLUS 130:168383
Preparation of 2-(azaheterocyclymethyl)-2,3,8,9-tetrahydro-7H-1,4-dioxino[2,3-e]indol-8-ones as antipsychotics.
Stack, Gary Paul
American Home Products Corporation, USA
U.S., 13 pp.
CODEN: USXXAM
Patent 130:168383 DOCUMENT NUMBER: TITLE: INVENTOR (S): PATENT ASSIGNEE (S):

DOCUMENT TYPE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 5869490 PRIORITY APPLN. INFO.: А 19990209 US 1997-947565 US 1997-947565 19971009

OTHER SOURCE(S): CASREACT 130:168383; MARPAT 130:168383

AB Title compds. [I; X = H2, O; R1 = H, OH, halo, CF3, OCF3, alkyl, alkoxy, aralkoxy, alkanoyloxy, amino, alkanamido, alkanesulfonamido; Z = (substituted) piperazinyl, (substituted) (henzo-fused) piperidinyl), were prepared Thus.

(R)-(2-tosyloxymethyl)-6-fluoro-2, 3, 8, 9-tetrahydro-7H-1,4-dioxino[2, 3-e]indol-8-one and tetrahydro-isoquinoline were heated 4 h in Me2SO to give (S)-2-(3,4-dihydro-1H-isoquinolin-2-ylmethyl)-6-fluoro-2, 3, 8, 9-tetrahydro-7H-1,4-dioxino[2, 3-e]indol-8-smine, isolated as the fumarate. This showed Dz receptor affinity whit ICSO = 0.23 nM.

IT 206355-42-22 220456-60-OP 220456-63-3P
R1: BaC (Biological activity or effector, except adverse); BSU (Biological study unclassified); SPN (Synthetic preparation): THU (Therapeutic use).

(Biological study, unclassified); SPN (Synthetic preparation); TMU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of azaheterocyclymethyltetrahydrodioxinoindolones as antipsychotics)
RN 206355-42-2 CAPULS
CN 8H-1,4-Dioxino[2,3-e]indol-8-one, 2-[(3,6-dihydro-4-(H-indol-3-yl)-1(2H)-pyridinyl)methyl]-6-fluoro-2,3,7,9-tetrahydro-, (2S)- (CA INDEX NAME)

L10 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT: THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) Absolute stereochemistry.

220456-60-0 CAPLUS 8H-1,4-Dioxino[2,3-e]indol-8-one, 6-fluoro-2,3,7,9-tetrahydro-2-{[4-(1H-indol-3-y])-1-piperidinyl]methyl]- (CA INDEX NAME)

RN 220456-63-3 CAPLUS

8H-1,4-Dioxino{2, 3-e]indo1-8-one,
2-[[3,6-dihydro-4-(1H-indo1-3-y1)-1(2H)pyridinyl]methyl]-6-fluoro-2,3,7,9-tetrahydro- (CA INDEX NAME)

L10 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:251174 CAPLUS

DOCUMENT NUMBER: 128:308493
Preparation of azaheterocyclymethyl derivatives of 2,3,8,9-tetrahydro-7h-1,4-dioxino[2,3-e]indol-8-one for the treatment of brain dopamine dysregulation

Stack, Gary Paul
American Home Products Corporation, USA
PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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								1998	0423			1997-				_	9971	010
		W:	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR	, BY,	CA,	CH,	CN,	cυ,	CZ,	DE,
			DK,	EE,	ES,	FI,	GB,	GE,	GH,	χU,	ID	, IL,	IS,	JP,	KE,	KG,	KP,	KR,
			KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD	, MG,	MK,	MN,	MW,	MX,	NO,	NZ,
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	51,	SK	, SL,	TJ,	TM,	TR,	TT,	UA,	UG,
			UZ,	VN,	Yυ,	ZW												
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			GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE	, BF,	BJ,	CF,	CG,	CI,	CM,	GΑ,
			GN,	ML,	MR,	NE,	SN,	TD,	TG									
	CA	2268	195			A1		1998	0423		CA	1997- 1997-	2268	195		1	9971	010
	CA	2268	195			С		2006	0829									
	ΑU	9748	138			A		1998	0511		ΑU	1997-	4813	8		1	9971	010
	EP	9326	09			Al		1999	0804		EР	1997-	9108	66		1	9971	010
	EP	9326	09			B1		2003	0514									
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	PT,	IE,
			SI,	LT,	LV,	FI,	RO											
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	ΑT	2403	35			T		2003	0515		ΑT	1997-	9108	66		1	9971	010
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	ES	2196	312			Т3		2003	1216		ES	1997-	9108	66		1	9971	010
PRIO	RIT	APP	LN.	INFO	. : .						US	1996-	7328	07		A 1	9961	015
											wo	1997-	US18	275		w 1	9971	010

OTHER SOURCE(S): MARPAT 128:308493

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The title compds. [I; X = H2, O; R1 = H, OH, halo, etc.; Z = II, III, IV (wherein R2 = H, Cl-6 alkyl, C3-8 cycloalkyl, etc.; R3 = H and R4 = H, (un)substituted 1-benzimidazolyl-2-one, indolyl, etc.; R3R4 taken

ner with the carbon atom to which they are attached form V or VI; R5 = H and R6 = (un)substituted Ph, naphthyl, thienyl, etc.; R5R6 taken together

with
the carbon atoms to which they are attached complete a benzene ring
optionally substituted with Rill and their salts, useful for the
treatment
of brain dopamine dysregulation, especially schizophrenia or a
schizoaffective

L10 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) disorder, were prepd. Thus, reaction of (R)-2-(toluene-4-sulfonyloxymethyl)-2,3,8,9-tetrahydro-7H-1,4-dioxino[2,3-e]indol-8-one (prepn. described) with tetrahydroisoquinoline in DMSO afforded 82% (S)-I [X = H2; R1 = H; Z = 3,4-dihydro-1H-isoquinolin-2-y1] which showed IC50

of

Of

Of

OS nM against the dopamine D2 receptor binding.

IT 206355-42-2P 206355-44-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of azaheterocyclymethyl derivs. of

2,3,6,9-tetrahydro-7h-1,4
dioxino[2,3-e]indol-8-one for the treatment of brain dopamine dysregulation)

RN 206355-42-2 CAPLUS

CN 8H-1,4-Dioxino[2,3-e]indol-8-one,

2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-6-fluoro-2,3,7,9-tetrahydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

206355-44-4 CAPLUS 8H-1,4-Dioxino[2,3-e]indol-8-one, 6-fluoro-2,3,7,9-tetrahydro-2-[[4-(1H-indol-3-yl)-1-piperidinyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

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chain nodes :

11 19

ring nodes :

1 2 3 4 5 6 7 8 9 10 12 13 14 15 16 17 20 25 26 27 28 29 30

31 32

chain bonds :

11-12 15-19 19-20

ring bonds :

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 12-13 12-17 13-14 14-15 15-16 15-19 16-17 19-20 20-25 20-28 25-26 25-29 26-27 26-32 27-28 29-30 30-31 31-32

G1:C,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 19:CLASS 20:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 35:Atom

L11 STRUCTURE UPLOADED

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L11 HAS NO ANSWERS

L11 STR

# \*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 16:08:06 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 859 TO ITERATE

100.0% PROCESSED 859 ITERATIONS 9 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 15422 TO 18938

PROJECTED ANSWERS: 9 TO 360

L12 9 SEA SSS SAM L11

=> s ll1 sss full

FULL SEARCH INITIATED 16:08:22 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 17072 TO ITERATE

100.0% PROCESSED 17072 ITERATIONS 222 ANSWERS

SEARCH TIME: 00.00.01

L13 222 SEA SSS FUL L11

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L14

25 L13

=> d ibib abs hitstr 1-YOU HAVE REQUESTED DATA FROM 25 ANSWERS - CONTINUE? Y/(N):y

L14 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:1059361 CAPLUS DOCUMENT NUMBER: 142:38264 Preparation of indole derivat: Preparation of indole derivatives with an improved antipsychotic activity Bartolome-Nebreda, Jose Manuel; Andres-Gil, Jose INVENTOR (S): Ignacio Janssen Pharmaceutica N.V., Belg. PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 43 pp. CODEN: PIXXD2 Patent English 2 DOCUMENT TYPE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: MO 2004106346 A1 20041209 MO 2004-EP50922 20040526
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FT, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MM, MM, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, KS, SI, SY, TJ, TM, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, CM, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SM, TD, TG 7004106279 M: US

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IT, LU, MC, NL, PT, RO, SE, SI, SK, TR

2004242802 Al 20041209 AN 2004-242802 20040526

25252822 Al 20041209 CA 2004-2525282 20040526

1636239 Al 20060322 BP 2004-741649 20040526

1636239 BI 20070718

EN FR GB, GR, IT, LI, LU, NL, SE, MC, PT, AU 2004242802 CA 2525282 EP 1636239 EP 1636239 239 B1 20070/18
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, JP 2006-530219 US 2005-556931 WO 2003-EP5789 20040526 20051116 JP 2006528957 US 2007066608 20061228 A 20030530 PRIORITY APPLN. INFO. : WO 2003-EP305789 A 20030530 WO 2004-EP50922 W 20040526 OTHER SOURCE(S): MARPAT 142:38264 \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \* L14 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN 805232-48-8 CAPLUS
1,4-Dioxino[2,3-c]pyridine, 3-[{4-(4-fluoro-lH-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl)methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME) СМ 2 о о || || - с- с- он 805232-50-2 CAPLUS
1,4-Dioxino{2,3-c}pyridine, 3-{[4-(5-bromo-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl)methyl}-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME) CRN 805232-49-9 CMF C21 H20 Br N3 O2 СМ 2 CRN 144-62-7 Page 57

L14 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB The present invention relates to a novel indole derivs. I [al:a2a3:a4 = N:CHCH:CH, CH:CH:CH. CH:CHH:CH, CH:CHCH:N; Z1Z2 = OCH2O, O(CH2)2O, S(CH2)2O, etc.; X = CR6, N; R1-R4, R6 = H, halo, CN, etc.; p = 0-3; R5 = H, alkyl: Y = NR8(CH2)n, II, III, etc.; m = 0-1; n = 0-6; R8 = H, halo, alkyl: etc.; with the proviso) and their pharmaceutically acceptable acid or base addition salts that exhibit a binding affinity towards dopamine receptors, in particular towards dopamine D2, D3 and D4 receptors, with selective serotonin reuptake inhibition properties and acting as 5-HTIA agonists or partial agonists. E.g., a multi-step synthesis of IV, starting from 2-chloro-3-pyridinamine, which showed pIc50 of 6.7 and 7.1 against D2 and D3 receptor binding, resp., was given. The invention also relates to pharmaceutical compns. comprising the compds. I, the use thereof for the prevention and/or treatment of a range of psychiatric and neurol. disorders, in particular certain psychotic disorders, most in particular schirophrenia and processes for their production 173996-82-69 805232-51-99 805232-52-48-89 805232-53-99 805232-54-69 805232-55-29 805232-55-99 805232-65-99 805232-RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (preparation of indole derivs, with an improved antipsychotic (preparation of Annual - Annua 805230-14-2 CAPLUS
1,4-Dloxino[2,3-c]pyridine, 3-[(4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME) 805230-15-3 CAPLUS
1,4-Dioxino(2,3-c)pyridine, 3-{[4-(5-fluoro-lH-indol-3-yl)-1-piperidinyl]methyl]-2,3-dihydro- (CA INDEX NAME) ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN CMF C2 H2 O4 (Continued) о о || || но- с- с- он 805232-52-4 CAPLUS
1,4-Dioxino[2,3-c]pyridine, 3-[[3,6-dihydro-4-(5-nitro-1H-indol-3-y1)-1(2H)-pyridinyl)methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME) CRN 805232-51-3 CMF C21 H20 N4 O4 CM 2 144-62-7 C2 H2 O4 0 0 || || |-----он 805232-53-5 CAPLUS 1,4-Dioxino[2,3-c]pyridine, 3-([3,6-dihydro-4-(5-nitro-1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (-)- (CA INDEX NAME)

NO<sub>2</sub>

RN 805232-54-6 CAPLUS

RN 805232-54-6 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[3,6-dihydro-4-(5-nitro-lH-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (+)- (CA INDEX NAME)

L14 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 805232-56-8 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(7-fluoro-lH-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 805232-55-7 CMF C21 H20 F N3 O2

CM 2

CRN 144-62-7

но- с- с- он

RN 805232-57-9 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 805230+15-3 CMF C21 H22 F N3 O2

L14 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 805232-61-5 CAPLUS
CN lH-Indole-5-carbonitrile, 3-{1-{(2,3-dihydro-1,4-dioxino{2,3-c}pyridin-3-y1)methyl1-4-piperidinyl}- (CA INDEX NAME)

RN 805232-62-6 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-{1-{{2,3-dihydro-1,4-dioxino{2,3-c}pyridin-3-y1)methyl}-4-piperidinyl}-, (-)- (CA INDEX NAME)

Rotation (-).

RN 805232-63-7 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[1-[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-3-y1)methyl]-4-piperidinyl]-, (+)- (CA INDEX NAME)

Rotation (+).

RN 805232-65-9 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(6-fluoro-lH-indol-3-yl)-1-piperidinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)
CM 1

Page 58

L14 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 144-62-7

HO- C- C- OH

RN 805232-59-1 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(5-chloro-1H-indol-3-yl)-1-piperidinyl]methyl]-2,3-dihydro-, ethanedioate [9CI] (CA INDEX NAME)

CM 1

CRN 805232-58-0 CMF C21 H22 C1 N3 O2

CM 2

CRN 144-62-7 CMF C2 H2 O4

но- **с**- с- о

RN 805232-60-4 CAPLUS CN 1H-Indol-5-ol, 3-{1-[(2,3-dihydro-1,4-dioxino[2,3-c)pyridin-3-y1)methy1}-4piperidiny1)- (CA INDEX NAME)

L14 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CRN 805232-64-8
CMF C21 H22 F N3 O2

CM 2

CRN 144-62-7

RN 805232-66-0 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(7-fluoro-lH-indol-3-yl)-l-piperidinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

RN 805232-69-3 CAPLUS
N 1,4-Dioxino[2,3-c]pyridine, 3-[[4-[(5-fluoro-H-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CM

CRN 805232-68-2 CMF C22 H24 F N3 O2

CM 2

CRN 144-62-7 CMF C2 H2 O4 L14 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

M: US
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
TI, LU, MC, NI, PT, RO, SE, SI, SK, TR
AU 2004242802
A1 20041209
A2 2004-242802
A1 20041209
A2 2004-242802
A2 20040526
A3 2004106346
A1 A1, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
CR, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, IT, LU, LV, MA, MD, MG, MK, NN, MW, MX, MX, MX, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RY: BW, GH, GM, KE, LS, NM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, CM, GQ, GW, ML, MR, NR,
EP, 1636239
B1 20070718
R: AT, BE, CH, DE, DK, ES, FR, GB. CP JP 2006528957 AT 367392 US 2007066608 20061228 20070815 20070322 JP 2006-530219 AT 2004-741649 US 2005-556931 WO 2003-EP305789 T T 20040526 PRIORITY APPLN. INFO.: A 20030530 WO 2003-EP5789 A 20030530 WO 2004-EP50922 W 20040526 OTHER SOURCE(S): MARPAT 142:38263

INVENTOR (S):

DOCUMENT TYPE:

LANGUAGE:

PATENT ASSIGNEE (5): SOURCE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

L14 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

ANSWER 2 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
The present invention relates to a novel indole derivs. I [al:a2a3:a4 = N:CHCH:CH, CH:CHCH:N, CH:CHCH:N, CH:CHCH:N, Z122 = OCH2O, O(CH2)2O, S(CH2)2O, etc.; X = CR6, N; R1-R4, R6 = H, halo, CN, etc.; p = 0-3; R5 = H, alky]; Y = NRB(CH2)n, II, III, etc.; m = 0-1; n = 0-6; R8 = H, halo, alky], etc.; with the proviso] and their pharmaceutically acceptable acid or base addition salts that exhibit a binding affinity towards dopamine receptors, in particular towards dopamine D2, D3 and D4 receptors, with selective serotonin reuptake inhibition properties and acting as 5-HTIA agonists or partial agonists. E.g., a multi-step synthesis of IV, stating from 2-chloro-3-pyridinamine, which showed pIC50 of 6.7 and 7.1 against D2 and D3 receptor binding, resp., was given. The invention also relates to pharmaceutical compns. comprising the compds. I, the use thereof for the prevention and/or treatment of a range of psychiatric and neurol. disorders, in particular certain psychotic disorders, most in particular schizophrenia and processes for their production 805230-14-2P 805230-13-3P for their production (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) IT

(preparation of indole derivs, with an improved antipsychotic

activity)

RN 805230-14-2 CAPLUS

CN 1,4-Dioxino{2,3-c}pyridine, 3-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

805230-15-3 CAPLUS 1,4-Dioxino[2,3-c]pyridine, 3-[[4-(5-fluoro-lH-indol-3-yl)-1-piperidinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L14 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:331786 CAPLUS DOCUMENT NUMBER: 140:357375 Preparation of antidepressent

Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino(2,3-

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

L14 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1059319 CAPLUS
DOCUMENT NUMBER: 142:38263
TITLE: Preparation of indole derivatives with an improved

Patent

English 2

Ignacio
Janssen Pharmaceutica N.V., Belg.
PCT Int. Appl., 40 pp.
CODEN: PIXXD2

antipsychotic activity Bartolome-Nebreda, Jose Manuel; Andres-Gil, Jose

INVENTOR (S):

derivatives or 2,3-dinydro-1,4-dioxino[2,3-f]quinoxaline
Gross, Jonathan L.; Stack, Gary P.
Wyeth, John, and Brother Ltd., USA
U.S. Pat. Appl. Publ., 12 pp., Cont.-in-part of U.S.
Ser. No. 128,722.
CODEN: USXXCO PATENT ASSIGNEE(S): SOURCE:

Patent

English 2

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004077652	A1	20040422	US 2003-618947	20030714
US 7008944	B2	20060307		
US 2002183329	A1	20021205	US 2002-128722	20020423
US 6617327	B2	20030909		
PRIORITY APPLN. INFO.:			US 2001-286438P P	20010426
			US 2002-128722 A2	20020423

OTHER SOURCE(S): MARPAT 140:357375

$$R^{1}$$
 $R^{2}$ 
 $R^{3}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{6}$ 
 $R^{7}$ 

The title compds. {I; R1, R4-R6, R8 = H, OH, halo, etc.; R2, R3 = H, alkyl, halo, OH, CN, NH2: R7 = H, alkyl: Z = CR8, N; n = 0-2}, useful for the treatment of depression and other diseases such as obsessive

L14 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive

disorders caused by ethanol or cocaine abuse and related illnesses, were prepd. Thus, reacting (2R)-2,3-dihydro[1,4]dioxino[2,3-f]quinoxalin-2-ylmethyl 4-methylbenzenesulfonate (multi-atep synthesis given) with 5-fluoro-3-(1,2,3,6-tetrahydro-4-pyridinyl)-IH-indole afforded 74% [S]-II which showed Ki of 17.72 nM against 5-HTIA receptor binding. 474607-96-2P 474607-99-2P 474608-00-9P 474607-99-2P 474608-01-0P RL: PAC (Pharmacological activity): SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino[2,3-f]quinoxaline)
RN 474607-96-0 CAPIUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
2-[[4-(5-f]uoro-1H-indol-3-yl]-3,6-dihydro1(2H)-pyridinyl]methyl)-2,3-dihydro-, (2S)- (CA INDEX NAME)

#### Absolute stereochemistry.

474607-97-1 CAPLUS 1.4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-[1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474608-00-9 CAPLUS

1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(H-indol-3-y1)-1(2H)-pyridinyl]methyl]-8,9-diethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 474608-01-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
8,9-diethyl-2-[[4-(5-fluoro-lH-indol-3-yl)3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474607-98-2 CAPLUS

474007-79-2 CAPLUS 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8,9-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

474607-99-3 CAPLUS
1,4-Dioxino[2,3-f]quinoxaline,
4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl)methyl]-2,3-dihydro-B,9-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 23 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L14 ANSWER 4 OF 25
ACCESSION NUMBER:
DOCUMENT NUMBER:
10:94051
Freparation of antidepressant azaheterocyclymethyl derivatives of 7,8-dihydro-3Ha-6,9-dioxa-1,3-diazecyclopenta[a]naphthalene
Stack, Gary P.
Wyeth, John, and Brother Ltd., USA
U.S. Pat. Appl. 2002 183,351.
CODEN: USXXCO
DOCUMENT TYPE: Patent English 2 DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE

US 2004010006 US 6927226 US 2002183351 US 6573283 PRIORITY APPLN. INFO.: · 20040115 20050809 20021205 20030603 A1 B2 A1 B2 US 2003-420333 20030422 US 2002-128762 20020423 US 2001-286579P P 20010426 US 2002-128762 A2 20020423

OTHER SOURCE(S): MARPAT 140:94051

L14 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 1

CRN 474623-47-7 CMF C24 H20 F4 N4 O2

Absolute stereochemistry.

2 СМ

Double bond geometry as shown.

HO2C E CO2H

474623-51-3 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)- (CA INDEX

Absolute stereochemistry.

L14 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

The title compds. [I; R1-R5, R8 = H, halo, CN, etc.; R6, R7 = H, alkyl; 2 = CR8, N; n = 0-2], useful for the treatment of depression and other diseases such as obsessive compulsive disorder, panic attacks, ralized

ralized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine

and related illnesses, were prepared Thus, reacting

and related illnesses, were prepared Thus, reacting

((8R)-2-trifluoromethyl7,8-dihydro-3H-6,9-dioxa-1,3-diaza-cyclopenta(a)naphthalen-8-yl]methyl
4-methylbenzenesulfonate (multi-step synthesis given) with
5-fluoro-3-(1,2,3,6-tetrahydro-4-pyridinyl]-Hr-indole in DMSO afforded

(S)-II Which showed Ki of 3.07 nM against 5-HTlA receptor binding.

IT 474623-47-PP 474623-48-BP 474623-51-3P

474623-53-PP 474623-56-BP 474623-59-1P

474623-61-PP 474623-67-1P

474623-63-PP 474623-73-SP 474623-77-3P

AT4623-99-SP

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of
7,8-dihydro-3H-6,9-dioxa-1,3-diazacyclopenta(a)naphthalene)
474623-47-7 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(5-fluoro-lH-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)(CA INDEX NAME)

Absolute stereochemistry.

474623-48-8 CAPLUS IH-[1,4]Dioxino[2,3-e]benzimidazole, B-[[4-(5-fluoro-IH-indol-3-y1)-3,6-dihydro-[2H]-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate (2:1) {9CI} {CA INDEX NAME}

L14 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474623-53-5 CAPLUS
1H-[1,4]Dloxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-51-3 CMF C24 H21 F3 N4 O2

Absolute stereochemistry.

Double bond geometry as shown.

L14 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

HO2C E CO2H

CM 1

CRN 474623-55-7 CMF C24 H20 F4 N4 O2

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

 $\begin{array}{lll} 474623-59-1 & CAPLUS\\ 1H-[1,4]Dioxino[2,3-e]benzimidazole, & 8-\{[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, & (8S)-, & (2E)-2-butenedioate & (1:1) & (9CI) & (CA INDEX NAME) & (1:1) & (2CI) & (2C$ 

CM 1

CRN 474623-58-0

L14 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

474623-64-8 CAPLUS
1H-[1.4]Dioxino[2,3-e]benzimidazole, 8-([3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-1,2-dimethyl-,(8S)-,
(2E)-2-butenedioate (1:1) [9CI] (CA INDEX NAME)

CRN 474623-61-5 CMF C25 H26 N4 O2

Absolute stereochemistry.

CM 2

Double bond geometry as shown.

Page 62

L14 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN CMF C24 H24 N4 O2 (Continued)

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

474623-61-5 CAPLUS
1H-{1,4}Dioxino{2,3-e}benzimidazole, 8-[[3,6-dihydro-4-{1H-indol-3-yl}-1(2H)-pyridinyl]methyl]-7,8-dihydro-1,2-dimethyl-, (8S)- (CA INDEX NAME)

L14 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) но2с СО2Н

474623-67-1 CAPLUS
1H-[1,4]Dioxino[2,3-e|benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl)-2-ethyl-7,8-dihydro-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

474623-69-3 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-[1H-indol-3-yl]-1(2H)-pyridinyl]methyl]-2-ethyl-7,8-dihydro-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CRN 474623-67-1 CMF C25 H26 N4 O2

L14 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

но2с Е со2н

CRN 474623-72-8 CMF C24 H20 F4 N4 O2

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

L14 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

REFERENCE COUNT:

THERE ARE 19 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L14 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

HO2C E CO2H

474623-77-3 CAPLUS  $\begin{array}{lll} 1H-[1,4] Dioxino[2,3-e] benzimidazole, & & & & & & & & \\ 1H-[1,4] Dioxino[2,3-e] benzimidazole, & & & & & & & \\ 1(2H)-pyridinyl] methyl]-7,8-dihydro-2-(pentafluoroethyl)-, & & & & & & \\ (2E)-2-butenedioate (1:1) & & & & & & \\ \end{array}$ 

CM 1

CRN 474623-76-2 CMF C25 H21 F5 N4 O2

Absolute stereochemistry.

ÇМ 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

HO2C E CO2H

474623-99-9 CAPLUS
1H-[1,4]Dioxino[2,3-e|benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
140:42186
Preparation of antidepressant azaheterocyclylmethyl
derivatives of 2, 3-dihydro-1, 4-benzodioxane
Husbands, George E. M.; Stack, Gary P.; Mewshaw,
Richard E.; Cliffe, Ian A.
Wyeth, John, and Brother Ltd., USA
U.S. Pat. Appl. Publ., 10 pp., Cont.-in-part of U.S.
Ser. No. 128, 477.
CODDE: USXXCO
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	~			
US 2003236241	A1	20031225	US 2003-390478	20030317
US 7041683	B2	20060509		
US 2002193400	A1	20021219	US 2002-128447	20020423
US 6559169	B2	20030506		
PRIORITY APPLN. INFO.:			US 2001-286056P P	20010424
			US 2002-128447 A	20020423
			US 2002-128477 A	20020423

OTHER SOURCE(S): MARPAT 140:42186

The title compds. [I; R1, R2 = H, halo, CN, etc.; R3-R5, R7 = H, halo,

etc.; R6 = H, alkyl; X = CR7, N; n = 0-2] and/or their pharmaceutically acceptable salts, useful for the treatment of depression and other conditions such as obsessive compulsive disorder, panic attacks,

L14 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) generalized anxiety disorder, sexual dysfunction, eating disorders, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepd. Thus, reacting 2,3-dthydro-benzo[1,4]dioxin-2-ylnethyl 4-methylbenzenesulfonate with 5-methoxy-3-(1,2,3,6-tetrahydro-4-pyridinyl)-Hi-indole in the presence of NaHCO3 in DMF/THF afforded II which showed Ki of 27.18 nM against 5-HTIA receptor binding.

IT 473933-82-79 473993-80-5P 473993-81-6P 473993-82-9P 473993-82-9P 473993-83-97 473993-83-97 473993-83-97 473993-83-97 473993-83-97 473993-83-97 473993-83-97 473993-91-P 473994-01-9P RISP 473993-91-P 473993-91-P 473993-91-P 473993-91-P 473994-01-9P RISP 473993-91-P 473993-91-P 473994-01-9P RISP 473993-91-P 473993-91-P 473993-91-P 473993-91-P 473993-91-P 473993-91-P 473994-01-9P RISP 473993-91-P 473993-81-P 473993-81-P 473993-81-P 473993-81-P 473993-81-P 473993-81-P 473993-81-P 473993-81-P 473993-81-

(Uses)
[preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-benzodioxane)
4393-79-2 CAPLUS
1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-methoxy- (CA INDEX NAME)

473993-80-5 CAPLUS
IN-Indole, 3-[1-1(2,3-dihydro-1,4-benzodioxin-2-yl)methyl}-1,2,3,6-tetrahydro-4-pyridinyl}-5-fluoro- (CA INDEX NAME)

473993-81-6 CAPLUS
1,4-Benzodioxin-6-amine, 3-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)- (CA INDEX NAME)

L14 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

473993-85-0 CAPLUS 1H-Indole-5-carbonitrile,  $3-[1-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl}-, monohydrochloride (9CI) (CA INDEX NAME)$ 

Absolute stereochemistry.

● HC1

473993-86-1 CAPLUS
1H-Pyrrolo[2, 3-b]pyridine, 3-[1-[[(2S]-2, 3-dihydro-8-methoxy-1, 4-benzodioxin-2-y1]methyl]-1, 2, 3, 6-tetrahydro-4-pyridinyl]- (CA INDEX

Absolute stereochemistry.

RN 473993-87-2 CAPLUS
CN 1H-Indole,
3-[1-[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-y1]methyl]-

Page 64

L14 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

473993-82-7 CAPLUS 1,4-Benzodiox1-6-amine, 2-[[3,6-dihydro-4-(1H-indol-3-y1)-1{2H}-pyridiny1]methy1]-2,3-dihydro-, (29)- (CA IMDEX NAME)

Absolute stereochemistry.

RN 473993-83-8 CAPLUS CN 1H-Indole, 3-[1-[1(28)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

473993-84-9 CAPLUS 1,4-Benzodioxin-6-amine, [4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Con 1,2,3,6-tetrahydro-4-pyridinyl]-6-fluoro- (CA INDEX NAME) (Continued)

Absolute stereochemistry.

473993-88-3 CAPLUS 1,4-Benzodioxin-5-carboxamide, 2-[[3,6-dihydro-4-(lH-indol-3-y1)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 473993-89-4 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide,
2-[[4-(5-fluoro-1H-indol-3-y1)-3,6-dihydro1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

473993-90-7 CAPLUS
1H-Indole, 3-[1-[[(2\$)-8-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl]methyl]1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

L14 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 473993-91-8 CAPLUS
CN 1H-Indole,
3-[1-[[(25)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-y1]methy1]1,2,3,6-tetrahydro-4-pyridiny1]- (CA INDEX NAME)

Absolute stereochemistry.

473993-92-9 CAPLUS
1H-Indole, 3-[1-[{(2S)-2,3-dihydro-8-methyl-1,4-benzodioxin-2-yl}methyl]-1,2,3,6-tetrahydro-4-pyridinyl}-5-fluoro- (CA INDEX NAME)

473993-93-0 CAPLUS
1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6tetrahydro-4-pyridinyl]-5-methoxy-, ethanedioate (1:1) (CA INDEX NAME)

L14 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

473994-01-3 CAPLUS
1H-Indole, 3-[1-[[(2S)-2,3-dihydro-8-methyl-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro-, ethanedioate (1:1) [CA INDEX NAME)

CM 1

CRN 473993-92-9 CMF C23 H23 F N2 O2

Absolute stereochemistry.

CM 2

CRN 144-62-7 CMF C2 H2 O4

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473993-95-2P 473993-96-3P 473993-97-4P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-benzodioxane) 473993-95-2 CAPUJS HI-IndoLe, 3-[1-[1 (28)-2,3-dihydro-7-nitro-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

Page 65

L14 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN CM 1 (Continued)

473993-79-2 C23 H24 N2 O3

CM 2

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473993-94-1 CAPLUS 1H-Indole, 3-[1-[{2,3-dihydro-1,4-benzodioxin-2-yl)methyl}-1,2,3,6-tetrahydro-4-pyridinyl}-5-fluoro-, ethanedioate (1:1) (CA INDEX NAME)

CRN 473993-80-5 CMF C22 H21 F N2 O2

CM 2

0 0 || || HO- C- C- OH

L14 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

473993-96-3 CAPLUS
HH-Indole, 3-[1-[[(2S)-2,3-dihydro-6-nitro-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyll- (CA INDEX NAME)

Absolute stereochemistry.

473993-97-4 CAPLUS
1H-Indole, 3-{1-[{(28)-2,3-dihydro-6-nitro-1,4-benzodioxin-2-y1]methyl}1,2,3,6-tetrahydro-4-pyridinyl}-5-fluoro- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: THIS

FORMAT

THERE ARE 17 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

10-556,931.trn L14 ANSWER 6 OF 25
ACCESSION NUMBER:
DOCUMENT NUMBER:
100:314012
Modulation of selective serotonin reuptake inhibitor and 5-HT1A antagonist activity in 8-azabicyclo[3.2.1]octane derivatives of 2,3-dihydro-1,4-benzodioxane
Gilbert, Adam M., Stack, Gary P.; Nilakantan, Ramaswamy; Kodah, Jason; Tran, Megan; Scerni, Rosemary; Shi, Xiaojie; Smith, Deborah L.; Andree, Terrace H.

CORPORATE SOURCE: Chemical and Screening Sciences, Wyeth Research, CORPORATE SOURCE: River, NY, 10945, USA Bioorganic & Medicinal Chemistry Letters (2004), 14(2), 515-518 CODEN: BMCLES; ISSN: 0960-894X Elsevier Science B.V. SOURCE: PUBLISHER: DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): Journal English CASREACT 140:314412 2,3-Dihydro-1,4-benzodioxanes with aryl 8-aza-bicyclo[3.2.1]oct-3-ene attachments produce compds. with potent 5-HT-T affinity, and weak 5-HTIA affinity and al affinity. This compares with 2,3-dihydro-1,4-benzodioxanes containing 8-aza-bicyclo[3.2.1] octan-3-ol attachments which possess potent 5-HTIA affinity, moderate to good selectivity over al and little 5-HT-T affinity. A 3-benzothlophene analog was synthesized which possesses potent 5-HTIA affinity and especially good selectivity over both α1 and 5-HT-T. 678992-73-9P ΙT 678992-73-9P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (modulation of selective serotonin reuptake inhibitor and 5-HTIA antagonist activity in 8-aza-bicyclo[3.2.1]octane derivs. of 2,3-dihydro-1,4-benzodioxane) (78992-73-9 CAPLUS 8-Azabicyclo[3.2.1]oct-2-ene, 8-{[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-3-(5-fluoro-1H-indol-3-yl)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR

L14 ANSWER 7 OF 25
ACCESSION NUMBER:
DOCUMENT NUMBER:
140:5054
TITLE:
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:

CAPLUS COPYRIGHT 2007 ACS on STN
2003:950068 CAPLUS
140:5054
Preparation of antidepressant azaheterocyclylmethyl derivatives of 1,4,5-trioxa-phenanthrene
Tran, Megan; Stack, Gary P.
Wyeth, John, and Brother Ltd., USA
U.S. Pat. Appl. Publ., 9 pp., Cont.-in-part of U.S.
Ser. No. 132,238.
CODEN: USXXCO
Patent DOCUMENT TYPE: Patent English 2 LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE US 2003225157 US 6906206 US 2002193401 US 6555560 US 2005004209 US 6943178 PRIORITY APPLN. INFO.: 20031204 20050614 20021219 20030429 US 2003-377850 20030303 A1 B2 A1 B2 A1 B2 20020425 US 2002-132238 US 2004-881102 20040630 20050106 20050913 US 2001-287448P P 20010430 US 2002-132238 A2 20020425 A3 20030303 OTHER SOURCE(S): MARPAT 140:5054

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The title compds. [I: R1, R3-R5, R7 = H, halo, CN, etc.: R2, R6 = H, alkyl: Z = CR7, N; X = O, S, H2, F2: n = 0-2], useful for the treatment

of diseases such as depression (including but not limited to major depressive

disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder,

ntion
deficit disorder (with and without hyperactivity), obsessive compulsive
disorder (including trichotillomenla), social anxiety disorder,
generalized anxiety disorder, obesity, eating disorders such as anorexia
nervosa, bulimia nervosa, vosomotor flushing, cocaine and alc. addiction,
sexual dysfunction and related illnesses, were prepared Novel

sexual dysfunction and related illnesses, were prepared Novel intermediates

II [R1, R2, X as above; Y = OH, halo, alkylsulfonate, trifluoromethanesulfonate, (un)substituted benzenesulfonate) were also prepared and claimed. Thus, reacting [(2R)-7-oxo-2,3,8,9-tetrahydro-7H-[1,4]dioxino[2,3-h]chromen-2-yl]methyl 4-methylbenzenesulfonate (preparation given) with 3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole afforded 18% (3)-III which showed Ki of 2.74 mM in test for 5-HT transporter affinity. 474551-68-3P 474551-71-8P 474551-73-0P 474551-76-3P

Page 66

L14 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) RECORD. ALL CITATIONS AVAILABLE IN THE RE

L14 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); TRU
(Therapoutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of antidepressant azaheterocyclylmethyl derivs. of
1,4,5-trioxa-phenanthrene)
RN 474551-68-3 CAPLUS
CN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one,
2-[(4-(5-fluoro-1H-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl|methyl]-2,3-dihydro-, (2S)-, (2E)-2-butenedioate
(1:1) (9CI) (CA INDEX NAME) CRN 474551-67-2 CMF C25 H21 F N2 O4 Absolute stereochemistry.

СМ 2 CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

474551-71-8 CAPLUS
1H-Indole, 5-fluoro-3-[1,2,3,6-tetrahydro-1-[{(2S)-2,3,8,9-tetrahydro-7H-pyrano[2,3-f]-1,4-benzodioxin-2-y1]methyl]-4-pyridinyl]-, ethanedioate
(1:1) (CA INDEX NAME)

СМ 1 L14 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN CRN 474551-70-7 CMF C25 H25 F N2 O3 (Continued)

Absolute stereochemistry.

2 CM

CRN 144-62-7 CMF C2 H2 O4

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RN 474551-73-0 CAPLUS
CN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one,
2-[(3,6-dihydro-4-(1H-indol-3-y1)1(2H)-pyridinyl]methyl)-2,3,8,9-tetrahydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

CM 2

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE REFERENCE COUNT:

FORMAT

L14 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474551-76-3 CAPLUS
CN 1H-Indole,
3-[1,2,3,6-tetrahydro-1-[[(2s)-2,3,8,9-tetrahydro-7H-pyrano[2,3f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 474551-75-2 CMF C25 H26 N2 O3

Absolute stereochemistry.

L14 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:678510 CAPLUS
TITLE: 19:214473
Preparation of antidepressant azaheterocyclylmethyl derivatives of
Oxaheterocycle-fused-[1,4]-benzodioxans
INVENTOR(5): Stack, Gary P.; Gao, Hong; Gildersleeve, Elizabeth S.
Weth, John, and Brother Ltd., USA
U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U.S.
Ser. No. 131,340.
CODEN: USXXCO
Patent TYPE:
LANGUAGE: Enjish
PANILY ACC. NUM. COUNT: 2
PRIENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

DATE PATENT NO. KIND APPLICATION NO. DATE US 2003162805 US 6706736 US 2002183353 US 6552049 PRIORITY APPLN. INFO.: 20030828 20040316 20021205 20030422 US 2003-377901 20030303 US 2002-131340 US 2001-286569P

OTHER SOURCE(S): MARPAT 139:214473

The title compds. [I; R1, R3-R5, R7 = H, halo, CN, etc.: Y = CO, C(R2)2 and Z = CH2, (CH2)2, CH:CH, NR2; or Y and Z, taken together, form CR2:CH, N:CR2, CR2:Nx R2, R6 = H, alkyl: X = CR7, N; n = 0-2], useful for the treatment of depression such as obsessive compulsive disorder, panic

L14 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse and related illnesses, were prepd. E.g., a 5-step synthesis of (3)-11, starting from (28)-(7-hydroxy-2,3-dihydro-1,4-benzodioxin-2-yllmethanol and 2,3-dichloro-1-propene, which showed Ki of 14.07 nM against 5-HTIA receptor binding, was given. 14.07 nM against 5-HTIA receptor binding, was given. 1474621-95-09 474621-99-3P 474622-00-9P RL PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of antidepressant azaheterocyclylmethyl derivs. of

(Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of oxaheterocycle-fused-[1,4]-benzodioxans)
474621-95-9 CAPLUS
HH-Indole, 3-[1-[(2S)-2,3-dihydro-8-methylfuro[3,2-f]-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl)- (CA INDEX NAME)

#### Absolute stereochemistry.

 $\begin{array}{lll} 474621-96-0 & CAPLUS \\ 1H-Indole, & 3-[1,2,3,6-tetrahydro-1-[[(2S)-2,3,8,9-tetrahydrofuro[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]- & (CA INDEX NAME) \\ \end{array}$ 

Absolute stereochemistry.

L14 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474621-99-3 CAPLUS
CN 1H-Indole,
5-flucro-3-(1,2,3,6-tetrahydro-1-[[(2S)-2,3,9,10-tetrahydro-8Hpyrano(3,2-f)-1,4-benzodioxin-2-yl]methyl)-4-pyridinyl)- (CA INDEX NAME)

CM 1

CRN 474621-99-3 CMF C25 H25 F N2 O3

Page 68

L14 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474621-97-1 CAPLUS

RN 474621-97-1 CAPLUS
CN 1H-Indole,
3-[1-[1[35]-2,3-dihydrofuro[3,2-f]-1,4-benzodioxin-2-yl]methyl]1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

474621-98-2 CAPLUS
1H-Indole, 3-{1,2,3,6-tetrahydro-1-{{(2S)-2,3,9,10-tetrahydro-8H-pyrano{3,2-f}-1,4-benzodioxin-2-yl}methyl}-4-pyridinyl}- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN Absolute stereochemistry.

CM 2

Double bond geometry as shown.

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L14 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:551188 CAPLUS
DOCUMENT NUMBER: 139:117429
TITLE: Preparation of
indolyldihydropyridinylmethyltrioxaazac
yclopentanaphthalenes as serotonin reuptake

INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:

and 5-HTIA antagonists.
Tran, Megan; Stack, Gary P.
Wyeth, John, and Brother Ltd., USA
U.S. Pat. Appl. Publ., 12 pp., Cont.-in-part of U.S.
CODEN: USXXCO
Patent

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English 2

PATENT NO. KIND DATE APPLICATION NO. DATE US 2003134871 US 6617334 US 2002183354 US 6525075 US 2003109562 20030717 20030909 20021205 20030225 20030612 US 2003-340424 20030110 A1 B2 A1 B2 US 2002-131987 20020425 US 2003-340413 20030110 A1 B2 US 6613913 PRIORITY APPLN. INFO.: 20030902 US 2001-287449P P 20010430 US 2002-131987 A2 20020425

OTHER SOURCE(S):

MARPAT 139:117429

A method of treating posttraumatic stress disorder, premenstrual dysphoric

noric disorder, attention deficit disorder, obesity, eating disorders,

flushing, cocaine and alc. addiction, and sexual dysfunction, comprises providing title compds. (I; R1, R2, R3, R4, R5, R7 = H, halo, cyano,

ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474622-50-9 CAPLUS [1,4]Dioxino[2,3-g]benzoxazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

RN 474622-51-0 CAPLUS
CN 1H-Indole-5-carbonitrile,
3[1-[[(83)-7,8-dihydro-2-methyl[1,4]dioxino[2,3g[]benzoxazol-8-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl}- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) carboxamido, carboxalkoxy, CF3, alkyl, alkoxy, alkanoyloxy, amino, monoor dialkylamino, alkanamido, alkanesulfonamido; R6 = H, alkyl; dotted

- optional double bond; Z = CR7, N; n = 0, 1, 2). Thus,
[(8R)-2-methyl-7,8-dihydro[1,4]dioxino[2,3-g][1,3]benzoxazol-8-yl]methyl
4-methylbenzenesulfonate (prepn. given) and 3-(1,2,3,6-tetrahydro-4pyridinyl]-1H-indole-5-carbonitrile were heated in DMSO at 75-80°
to give (S)-3-[1-[2-methyl-7,8-dihydro-1,6,9-trioxa-3-

azacyclopenta[a]naphthalen-8-ylmethyl]-1,2,3,6-tetrahydropyridin-4-yl]-1Hindole-5-carbonitrile. The latter showed 5-HT transporter affinity and
5-HT1H receptor affinity with Xi = 1.68 nM and 9.56 nM, resp.

IT 474622-48-5P 474622-249-6P 474622-50-9P
474622-51-0P 474622-52-2P
474622-51-0P 474622-55-4P 474622-56-5P

RI: PAC (Pharmacological activity): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Usea)

(Uses)
(preparation of
indolyldihydropyridinylmethyltrioxaazacyclopentanaphthalenes
as serotonin reuptake inhibitors and 5-HTlA antagonists)
RN 474622-48-5 CAPUJS
CN [1,4|Dioxino[2,3-g]benzoxazole, 8-{[3,6-dihydro-4-(1H-indol-3-yl)-1{2H}-pyridinyl}methyl]-7,8-dihydro-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 474622-49-6 CAPLUS
CN {1,4|Dioxino|2,3-g|benzoxezole,
8-{(4-(5-fluoro-lH-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl)methyl}-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

L14 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474622-52-1 CAPLUS
CN 1H-Indole-5-cerbonitrile,
3-[1-[[(83)-7,8-di)hydro-2-methyl[1,4]dioxino[2,3g|benzoxazol-8-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-,
(ZE)-2-butenedioate (1:2) (CA INDEX NAME)

CM 1

CRN 474622-51-0 CMF C25 H22 N4 O3

Absolute stereochemistry.

Double bond geometry as shown.

L14 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

но2С СО2Н

RN 474622-53-2 CAPLUS CN [1,4]Dioxino[2,3-g]benzoxazole, 8-[[4-(7-fluoro-1H-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

474622-54-3 CAPLUS
[1,4]Dioxino[2,3-g]benzoxazole,
4-(6-fluoro-1H-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl)-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN CMF C24 H22 C1 N3 O3 (Continued)

Absolute stereochemistry.

2

Double bond geometry as shown.

L14 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474622-55-4 CAPLUS
[1,4]Dioxino[2,3-g]benzoxazole,
4-(5-chloro-1H-indol-3-y1)-3,6-dihydro1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 474622-56-5 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole,
8-[4-(5-chloro-1H-indol-3-y1)-3,6-dihydro1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)-, (2E)-2-butenedioate
(2:1) [9CI] (CA INDEX NAME)

CM 1

CRN 474622-55-4

L14 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2003:551187 CAPLUS DOCUMENT NUMBER: 139:117428 TITLE: Preparation of

DOCUMENT NUMBER: 139:117428 Preparation of indolyldihydropyridinylmethyldihydrodio Xinoindoles as serotonin reuptake inhibitors and 5-HTIA antagonists.

INVENTOR(S): Stack, Gary P.; Tran, Megan; Bravo, Byron A. PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA U.S. Pat. Appl. Publ., 11 pp., Cont.-in-part of U.S. Ser. No. 131, 339. CODEN: USXCO

DOCUMENT TYPE: Patent

Patent English 2

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003134870	A1	20030717	US 2003-339511	20030109
US 6627639	B2	20030930		
US 2002183352 US 6593350	A1 B2	20021205	US 2002-131339	20020424
PRIORITY APPLN, INFO.:	82	20030713	US 2001-286575P P	20010426
			US 2002-131339 A	2 20020424

OTHER SOURCE(S): MARPAT 139:117428

AB A method of treating posttraumatic stress disorder, premenstrual dyaphoric disorder, attention deficit disorder, obesity, eating disorders, vasomotor flushing, cocaine and alc. addiction, and sexual dysfunction, comprises provision of title compds. (1; Pl, R3, R4, R5, R7 = H. hale, cyano, carboxamido, carboxamido, carboxamido, carboxamido, carboxamido, carboxamido, carboxamido, carboxamido, carboxamido, alkanamido, alkanesuifonamido; R2 = H, halo, alkyl; R6 = H

, alkyl; Z = CR7, N). Thus,

[{2R}-8-methyl-2,3-dihydro-7H-{1,4}dioxino|2,3-e|indol-2-yl|methyl 4-methylbenzenesulfonate (preparation given) and 3-(1,2,3,6-tetrahydro-4-pyridinyl)-lH-indole in DMSO were heated at

L14 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
65-67 for 4 h to give (S)-2-[(4-(1H-indol-3-y1)-3,6-dihydropyridin1(2H)-y1)methy1)-8-methy1-2,3-dihydro-7H-[1,4]dioxino[2,3-e]indole.
1T 474544-34-8 P 474544-34-17
RL: PRC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of indolyldihydropyridinylmethyldihydrodioxinoindoles as serotonin reuptake inhibitors and 5-HT1A antagonists)
RN 474544-34-8 CAPLUS
CT 7H-1,4-Dioxino[2,3-e]indole, 2-[(3,6-dihydro-4-(1H-indol-3-y1)-1(2H)pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

474544-36-0 CAPLUS
7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474544-41-7 CAPLUS
7H-1,4-Dioxino(2,3-e)indole, 8-ethyl-2-[(4-(5-fluoro-lH-indol-3-yl)-3,6-dihydro-1(2H)-pycidinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474544-38-2 CAPLUS
7H-1,4-Dioxino[2,3-e]indole, 2-{[4-(5-fluoro-lH-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

474544-39-3 CAPLUS
7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(lH-indol-3-yl)-1(2H)-pyridinyl]methyl)-8-ethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 11 OF 25
ACCESSION NUMBER:
DOCUMENT NUMBER:
171:384846
Process for preparation of indolylpyridinylmethyldioxinoquinolines and related compounds

LINVENTOR(S):
Chan, Anita Wai-Yin; Curran, Timothy Thomas; Iera, Silvio; Chew, Warren; Sellstedt, John Hamilton; Vid, Galina; Feigelson, Gregg; Ding, Zhixian
Wyeth, John and Brother Ltd., USA
PATENT TYPE:
DOCUMENT TYPE:
LANGUAGE:
FAMILV ACC. NUM. COUNT:
FAMILV ACC. NUM. COUNT:
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT:

PAT	PENT :	NO.					DATE			APPLICATION NO.						DATE			
wo	2002	0926	02		A2				,	wo	2002-	US 15	097						
WO	2002	0926	02		A3		2003	0227											
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB	, BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	, EE,	ES,	FI,	GB,	GD,	GE,	GH,		
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	, KG,	KP,	KR,	KZ,	LC,	LK,	LR.		
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	, MW,	MX,	MZ,	NO,	NZ,	OM,	PH,		
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK	, SL,	TJ,	TM,	TN,	TR,	TT,	TZ,		
		UA,	UG,	UZ,	VN,	YU,	ZA,	ZM.	ZW										
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	, TZ,	υG,	ZM,	ZW,	AT,	BE,	CH,		
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE	, IT,	LU,	MC,	NL,	PT,	SE,	TR,		
		BF.	BJ.	CF.	CG.	CI.	CM.	GA.	GN.	GO	. GW.	ML.	MR.	NE.	SN.	TD.	TG		
CA	2447	150			A1		2002	1121		CA	2002-	2447	150		2	0020	514		
ΑU	2002	3097	69		Al		2002	1125		ΑU	2002- 2002-	3097	69		2	0020	514		
US	2002	1879	83		Al		2002	1212		US	2002-	1453	69		2	0020	514		
US	6693	197			B2		2004	0217											
EP	1387	845			A2		2004	0211		EΡ	2002-	7367	90		2	0020	514		
	R:										, IT,	LI,	LU,	NL,	SE,	MC,	PT,		
		ΙE,	SI,	LT,	LV,	FI,	RO,	ΜK,	CY,	AL	, TR								
CN	1509	290			A		2004	0630		CN	2002-	8100	67		2	0020	514		
BR	2002	00991	01		A		2004	0713		BR	2002-	9901			2	0020	514		
JP	2004	5306	93		т		2004	1007		JP	2002-	5894	86		2	0020	514		
MX	2003	PA10	524		А		2005	0307		MX	2002- 2002- 2002- 2003- 2003- 2005-	PA10	524		2	0031	117		
ŲS	2004	1861	23		A1		2004	0923		US	2003-	7348	67		2	0031	212		
US	7038	052			B2		2006	0502											
ŲS	2006	0742	40		Al		2006	0406		US	2005~	2822	02		2	0051	110		
US	7166	723			B2		2007	0123											
US	2007	1237	05		Al		2007	0531		US	2006- 2001-	5665	28		2	0061	204		
IORIT	APP	LN.	INFO	. :						US	2001-	2915	47P		₽ 2	0010	517		
										US	2002-	1453	69		A3 2	0020	514		
										WO	2002-	US15	097		W 2	0020	514		
										US	2003-	7348	67		A3 2	0031	212		
											2005-								

OTHER SOURCE(S):

CASREACT 137:384846; MARPAT 137:384846

L14 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB Title compds. [I; Rl = H, OH, halo, cyano, carboxamido, carboalkoxy, alkyl, alkanoyloxy, amino, mono- or dialkylamino, alkanamido, alkanesulfonamido; R2, R3, R4, R6 = H, OH, halo, cyano, carboxamido, carboalkoxy, Cf3, alkyl, alkoxy, alkanoyloxy, amino, mono- or dialkylamino, alkanamido, alkanesulfonamido; R5 = H, alkyl; dotted line = optional double bond; A, D = CR1, N; provided that ≥1 of A and D = N; E, G = CR1; Z = N, CR6], were prepared by a 7-step process. Thus, [(2R)-8-methyl-2, 3-dihydro[1, 4]dioxino[2,3-f]quinolin-2-yl]methyl 4-methylbenzenesulfonate (preparation given),
3-(1,2,3,6-tetrahydropyridin-4-y1)-1H-indole (preparation given) and K2CO3 were heated in THF:DMF at 80-83\* for 10 h to give 72% (2S)-2-(4-(1H-indol-3-y1)-3,6-dihydro-2H-pyridin-1-ylmethyl)-8-methyl-2,3-dihydro-1,4-dioxino[2,3-f]quinoline.
IT 460353-65-59

RI: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(process for preparation of indolylpyridinylmethyldioxinoquinolines and

related compds.)
460333-65-5 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-[1H-indol-3-yl]-1(2H)-pyridinyl]methyl)-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2002:849647 CAPLUS DOCUMENT NUMBER: 137:353044 TITILE: Preparation of antidepressant indoletetrahydropyridine derivatives of 2,3-dihydro-7H-[1,4]dioxino[2,3-Gelindole 12,3-dinguto-In-[1-4]GloxIno[2,3-e]Indole Stack, Gary Paul; Tran, Megan; Bravo, Byron Abel Wyeth, John, and Brother Ltd., USA PCT Int. Appl., 30 pp. CODEN: PIXXD2 Patent English 2 INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2002088146 A2 20021107 WO 2002-US13118 20020425
WO 2002088146 A3 20030213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CM, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, CH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LL, LY, LY, HA, MD, MG, MK, MM, MK, MZ, ND, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW

RW: GH, CM, KE, LS, MM, MZ, SD, SI, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GG, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GM, CQ, GW, ML, MR, NE, SN, TD, TG

CA 2445583 A1 20021017 CA 2002-2445583 20020425
AU 2002259010 A1 20021111 AU 2002-259010 20020425
EP 1381615 B1 20041013
R: AT, BE, CH, DE, DK, ES, FR, GG, GR, IT, LI, LU, NL, SE, MC, PT, IS, SI, IT, LV, FI, RO, MK, CY, AL, TR

CN 1503800 A2 20040615 BR 2002-9336 20020425
AT 279418 T 20041015 AT 2002-728990 20020425
BR 2002009336 A 20040615 BR 2002-9336 20020425
AT 279418 T 20041015 AT 2002-728990 20020425
BR 2002009336 A 20040615 BR 2002-9390 20020425
BY 1381615 T 20050228 PT 2002-728990 20020425
BY 1381615 T 20050218 PT 2002-728990 20020425
BY 2320490 T3 20050218 PT 2002-728990 20020425
BY 23230490 T3 20050501 BS 2002-9336 20020425
BY 230490 T3 20050010 BS 2002-2728990 20020425
BY 2304903739 A 20050307 MX 2003-PA8739 20031023
PRIORITY APPLN. INFO: DATE PATENT NO. KIND APPLICATION NO. DATE WO 2002-US13118 W 20020425

MARPAT 137:353044

L14 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L14 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

The title compds. [I; Rl, R3-R5, R7 = H, halo, CN, etc.; R2 = H, halo, alkyl, CF3; R6 = H, alkyl; R6 = H, alkyl; Z = CR7, N], useful in the treatment of central nervous system disorders including depression, obsessive compulsive disorder, panta attacks, generalized anxiety disorder, exumal dysfunction, eating disorders, askual dysfunction, eating disorders and addictive disorders caused by ethanol or cocsine abuse, were prepared E.g., a 8-step AB

caused by ethanol or cocaine abuse, were prepared E.g., a o-sep synthesis of [8]-II, starting from 5-nitrogualacol and allyl bromide, which showed Ki of 3.44 nM when tested for 5-HT transporter affinity, was given.

IT 474544-34-BP 474544-36-DP 474544-38-2P 474544-39-3P 474544-36-DP 474544-39-3P 474544-59-3P 474544-59-7P 474544-59-7P 474544-59-7P 474544-59-PP 474544-

(preparation of antidepressant indoletetrahydropyridine derivs. of 2,3-dihydro-7H-[1,4]dioxino[2,3-e]indole) 474544-34-8 CAPLUS

474344-34-8 CAPLUS
7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

OTHER SOURCE(S):

L14 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474544-36-0 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (28)- (CA INDEX NAME)

Absolute stereochemistry.

RN 474544-38-2 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[4-(5-fluoro-1H-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474544-53-1 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl- (CA INDEX NAME)

RN 474544-55-3 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pytidinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

L14 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

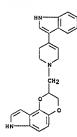
RN 474544-39-3 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-8-ethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 474544-41-7 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 8-ethyl-2-[{4-{5-fluoro-1H-indol-3-y1}-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 474544-57-5 CAPLUS
CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

RN 474544-59-7 CAPLUS CN 7H-1,4-Dioxino[2,3-e]indole, 2-[[3,6-dihydro-4-[1H-indol-3-yl)-1(2H)pyridinyl]methyl]-8-ethyl-2,3-dihydro- (CA INDEX NAME)

L14 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474544-60-0 CAPLUS
7H-1,4-Dioxino[2,3-e]indole, 8-ethyl-2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

L14 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

$$R^1$$
 $R^2$ 
 $R^3$ 
 $R^4$ 
 $R^5$ 
 $R^6$ 
 $R^7$ 

The title compds. [I; R1, R4-R6, R8 = H, OH, halo, etc.; R2, R3 = H, alkyl, halo, OH, CN, NH2: R7 = H, alkyl; Z = CR8, N: n = 0-2], useful for the treatment of depression and other diseases such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, ctive AB

anxiety disorder, sexual dysfunction, eating disorders, obsaty, cive disorders caused by ethanol or cocaine abuse and related illnesses, were prepared Thus, reacting (2R)-2,3-dihydro[1,4]dioxino[2,3-[dipunoxalin-2-ylmethyl 4-methylbenzenesulfonate (multi-step synthesis given) with 5-fluoro-3-(1,2,3,6-tetrahydro-4-pyrrdinyl)-IH-indole afforded 74% (S)-II which showed Ki of 17.72 nM against 5-MTlA receptor binding. 474607-96-09 474607-99-2P 474607-99-3P 474608-00-99 474608-01-0P 474608-01-P 474608-01-P 474608-01-P 474608-09-8P 474608-01-P 474608-01-P 474608-01-P 474608-09-8P 474608-01-P 47

(Uses)

(preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-dioxino[2,3-f]quinoxaline)

RN 474607-96-0 CAPJUS

CN 1,4-Dioxino[2,3-f]quinoxaline,
2-[4-(5-fluoro-1h-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2002:849645 CAPLUS DOCUMENT NUMBER: 137:353067 Preparation of antideproperty

137:353067
Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-f]quinoxaline
Gross, Jonathan Laird; Stack, Gary Paul
Wyeth, John, and Brother Ltd., USA
PCT Int. Appl., 33 pp.
CODEN: PIXXD2
Patent
English
2

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE: /

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

								DATE				LICAT				D	ATE	
																-		
	WO	2002	0881	44		A2		2002	1107	1	WO :	2002-	US 12	859		2	0020	423
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		w:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	, BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ.	EC.	EE,	ES,	FI.	GB,	GD.	GE.	GH.
												KG,						
												MW.						
												SL,						
								ZA,					,			,	,	
		RW:									SZ.	TZ,	UG.	ZM.	ZW.	AT.	BE.	CH.
												IT,						
												GW.						
	CA	2445	581			Al		2002	1107		CA :	2002-	2445	581		2	0020	423
	ΑU	2002	2563	34		A1		2002	1111		AU :	2002-	2563	34		2	0020	423
	EP	1381	614			A2		2004	0121		EP 2	2002-	7257	B7		2	0020	423
	EΡ	1381	614			В1		20060802								2002042		
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	TR						
	CN	1503	801			А		2004	0609		CN :	2002- 2002- 2002- 2002- 2002- 2003-	8086	79		2	0020	423
	BR	2002	0093	42		А		2004	0615		BR 3	2002-	9342			2	0020	423
	JΡ	2004	5275	63		T		2004	0909		JP :	2002-	5854	42		2	0020	423
	AT	3349	89			т		2006	0815		AT :	2002-	7257	87		2	0020	423
	ES	2269	678			Т3		2007	0401		ES :	2002-	2725	787		2	0020	423
	MX	2003	PA09	<b>B</b> 26		A		2005	0307		MX :	2003-	PA98	26		2	0031	024
PRIO	RIT	APP	LN.	INFO	. :						US :	2001-	2864	38P		P 2	0010	426
										1	WO :	2002-	US 12	859	1	₩ 2	0020	423

OTHER SOURCE(S):

MARPAT 137:353067

L14 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474607-97-1 CAPLUS

1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

474607-98-2 CAPLUS
1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8,9-dimethyl-, (2S)- (CA INDEX NAME)

L14 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474607-99-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl]-2,3-dihydro-8,9-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 474608-00-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl]-8,9-diethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474608-06-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

RN 474608-07-6 CAPLUS
CN 1,4-Dioxino{2,3-f}quinoxaline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1{2H}pyridinyl|methyl]-2,3-dihydro-8,9-dimethyl- (CA INDEX NAME)

L14 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474608-01-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
8,9-diethyl-2-[[4-(5-fluoro-lH-indol-3-yl)3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CÁ INDEX NAME)

Et N O

Absolute stereochemistry.

RN 474608-05-4 CAPLUS
CN 1,4-Dioxino{2,3-f|quinoxaline,
2-[[4-(5-fluoro-ll+-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

L14 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474608-08-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
2-[{4-(5-fluoro-ll+indo]-3-yl)-3,6-dihydro1(2H)-pyridinyl)methyl)-2,3-dihydro-8,9-dimethyl- (CA INDEX NAME)

RN 474608-09-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline, 2-[[3,6-dihydro-4-(lH-indol-3-yl)-1(2H)-pyridinyl]methyl)-8,9-diethyl-2,3-dihydro- (CA INDEX NAME)

L14 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474608-10-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoxaline,
8,9-diethyl-2-[[4-(5-fluoro-]H-indol-3-yl)3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

ANSWER 14 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) induced psychoses and dyskinesiss, Tourette's syndrome and hyperprolactinemia and in the treatment of drug addiction such as the addiction to ethanol, nicotine or cocaine and related illnesses, were prepd. Thus, hydrogenation of (85)-8-(azidomethyl)-7,8- dihydro[1,4]dioxino[2,3-q][1,3]benzoxazol-2(3H)-one (multi-step synthesis given) afforded 68% (S)-1.RCl [R] = H; Z = NH2] which showed IC50 of 3.7 nM against D2 receptor binding.
474391-26-99 474391-38-3P RL: PRC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(preparation of antipsychotic aminomethyl derivs. of 7,8-dihydro-3H-1,6,9-trioxa-3-aza-cyclopenta[a]naphthalen-2-one)
RN 474381-26-9 CAPLUS
CN [1,4]Dloxino[2,3-g]benzoxazol-2(3H)-one, 8-[(3,6-dihydro-4-(H-indol-3-y1)-1(2H)-pyridinyl)methyl]-7,8-dihydro-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

474391-38-3 CAPLUS [1,4]Dioxino[2,3-g]benzoxazol-2(3H)-one, 3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro- (CA INDEX NAME)

L14 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2002:849644 CAPLUS COPYRIGHT 2007 ACS ON STN 1711EE: 137:333042 Preparation of anti-number 2009 Access to the control of anti-n

137:353042
Preparation of antipsychotic aminomethyl derivatives of 7,8-dihydro-3H-1,6,9-trioxa-3-aza-cyclopenta[a]naphthalen-2-one
Stack, Gary Paul; Tran, Megan
Wyeth, John, and Brother Ltd., USA
PCT Int. Appl., 36 pp.
CODEN: PIXMO2
Patent
English INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2002088142 A1 20021107 W0 2002-US13419 20020426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MM, MM, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GG, GN, ML, MR, NE, SN, TD, TG
US 2003071397 A1 20030417 US 2002-259054 20020425 US 6800648 AU 2002259054 AU 2002-259054 US 2001-286565P Āī 20021111 20020426 PRIORITY APPLN. INFO.: P 20010426

WO 2002-US13419 W 20020426

MARPAT 137:353042 OTHER SOURCE(S):

The title compds. [I; Rl = H, halo, CN, etc.; Z = (un)substituted plperazino, piperidino, 3,6-dihydro-2H-pyridin-1-yl, etc.], useful for treatment of disorders of the dopaminergic system, such as schizophrenia, schizoaffective disorder, bipolar disorder, Parkinson's disease, L-DOPA

L14 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:849542 CAPLUS
137:353040
Preparation of antidepressant azaheterocyclylmethyl derivatives of 7,8-dihydro-1,6,9-trioxa-3-aza-cyclopenta[a]naphthalene
Tran, Megan; Stack, Gary Paul
Wyeth, John, and Brother Ltd., USA
PCT Int. Appl., 37 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

DOCUMENT TYPE:

Patent LANGUAGE:

FAMILY ACC. NUM. COUNT:

	TENT																
WO	2002	0881	40		A1		2002	1107	1	WO 2	002-	US13	117		2	0020	425
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	вв,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	Hυ,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
							MD,										
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		UA,	UG,	UZ,	VN,	YU,	ZΑ,	ZM,	ZW								
	RW:	GH,	GM,	ΚĖ,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ.	UG,	ZM,	ZW,	AT,	BE,	CH,
							FR,										
							CM,										
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EP	1392	700			B1		2004	0929									
	R:						ES,					LI,	LU,	NL,	SE,	MC,	PT,
							RO,										
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ORIT	APP	LN.	INFO	. :						US 2	001-	2874	49P		P 2	0010	430

OTHER SOURCE(S):

MARPAT 137:353040

L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474622-49-6 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole,
8-[[4-(5-fluoro-lH-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

474622-50-9 CAPLUS
[1,4]Dioxino[2,3-g]benzoxazole, 8-[[3,6-dihydro-4-(lH-indol-3-yl)-1(2H)-pyridinyl]methyll-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

The title compds. [1; R1-R5, R7 = H, halo, CN, etc.; R6 = H, alkyl; Z = CR7, N; n = 0-2], useful for the treatment of depression and other diseases such as obsessive compulsive disorder, panic attacks,

tarized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine

disorders, obesity, addictive disorders caused by ethanol or cocaine e and related illnesses, were prepared E.g., a multi-step synthesis of [S]-II, starting from 5-nitroguaiacol and allyl bromide, which showed Ki of 4.00 nM in test on 5-nitr transporter affinity, was given.
474622-68-DP 474622-99-6P 474622-50-2P 474622-51-0P 474622-51-0P 474622-55-4P 474622-61-2P 474622-63-4P 474

(Uses)
{preparation of antidepressant azaheterocyclylmethyl derivs. of 7,8-dihydro-1,6,9-trioxa-3-aza-cyclopenta(a)naphthalene)
474622-48-5 CAPLUS
{1,4|Dioxino[2,3-q]benzoxazole, 8-[[3,6-dihydro-4-{1H-indol-3-yl}-1{2H}-pyridinyl]methyl}-7,8-dihydro-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474622-51-0 CAPLUS
CN 1H-Indole-5-carbonitrile,
3[1-[[(83)-7,8-dihydro-2-methyl[1,4]dioxino[2,3g|benzoxazol-8-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl}- (CA INDEX NAME)

Absolute stereochemistry.

RN 474622-52-1 CAPLUS
CN 1H-Indole-5-carbonitrile,
3[1-[[(88)-7,8-di)ydro-2-methyl[1,4]dioxino[2,3g|benzoxazol-8-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-,
(ZE)-2-butenedloate (1:2) (CA INDEX NAME)

CRN 474622-51-0 CMF C25 H22 N4 O3

L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

но2С СО2Н

RN 474622-53-2 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxozole,
8-[[4-(7-fluoro-ll-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474622-56-5 CAPLUS
CN [1,4]Dioxino[2,3-g]benzoxazole,
8:[44-(5-chloro-lH-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)-, (2E)-2-butenedioate
[2:1) [9C1] (CA INDEX NAME)

CM 1

CRN 474622-55-4 CMF C24 H22 C1 N3 O3

Absolute stereochemistry.

CM 2

Double bond geometry as shown.

Page 78

L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474622-54-3 CAPLUS
CN [1,4]Dloxino[2,3-q]benzoxazole,
8-[(4-(6-[duco-1H-indol-3-y1)-3,6-dihydro1(2H)-pyridinyl]methyl}-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 474622-55-4 CAPLUS CN [1,4|Dioxino[2,3-g]benzoxezole, 8-[[4-(5-chloro-ll-indel-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

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474622-59-8 CAPLUS
[1,4]Dioxino[2,3-g]benzoxazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro- (CA INDEX NAME)

RN 474622-60-1 CAPLUS
CN [1,4|Dioxino{2,3-g|benzoxazole,
8-[[4-(5-fluoro-1H-indo]-3-y1)-3,6-dihydro1(2H)-pyridinyl)methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

474622-61-2 CAPLUS [1,4]Dloxino[2,3-qj benzoxazole, 8-[(3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridiny]|methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN CN 474622-62-3 CAPLUS 4/4622-62-3 CAPLUS

HF-Indole-5-carbonitrile, 3-[1-[(7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-y1)methyl]-1,2,3,6-tetrahydro-4-pyridinyl)- (CA INDEX NAME)

474622-63-4 CAPLUS
[1,4]Dioxino[2,3-g]benzoxazole,
4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT:

FORMAT

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L14 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474622-64-5 CAPLUS RN 474622-64-3 CAPLUS
CN [1,4]Dioxino[2,3-q]benzoxazole,
8-[4-(6-fluoro-1H-indol-3-y1)-3,6-dihydro1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

RN 474622-65-6 CAPLUS
CN [1,4|Dloxino[2,3-q]benzoxazole,
8-{[4-(5-c-hloro-1H-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

L14 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2002:849639 CAPLUS DOCUMENT NUMBER: 137:353039 Preparation of Apridenses

137:353039
Preparation of antidepressant azaheterocyclylmethyl derivatives of 1,4,5-trioxa-phenanthrene
Tran, Megan; Stack, Gary Paul
Wyeth, John, and Brother Ltd., USA
PCT Int. Appl., 27 pp.
CODEN: PIXXD2
Patent
English

INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:

English 2

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. WO 2002088136 WO 2002088136 KIND DATE

A2 20021107 WO 2002-US13447 20020429
A3 20030320
AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, ID, IL, IN, IS, JP, KE, KG, KF, KR, KZ, LC, LK, LL, LK, LL, LK, LL, LK, LL, LK, LL, LK, LT, LK, LT, LY, AM, MD, MG, MK, MN, MM, MK, MZ, NO, NZ, OM, PH, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, VN, YU, ZA, ZM, ZW
LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, CG, CI, CM, GA, GM, GQ, GW, MM, MR, ME, SN, TD, TG
B 20040601 TM 2002-91108669 20020426
A1 20021111 A2 0202-303529 20020429
US 2001-287448P P 20010430 KIND APPLICATION NO. DATE DATE 2002088136
W: AE, AG, AL,
CO, CR, CU,
GM, HR, HU,
LS, LT, LU,
PL, PT, RO,
UA, UG, UZ,
RW: GH, GM, KE,
CY, DE, DK,
589316 TW 589316 AU 2002303529 PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 137:353039 L14 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ΑB The title compds. [I: R1, R3-R5, R7 = H, halo, CN, etc.; R2, R6 = H, alkyl: Z = CR7, N: X = O, S, H2, F2: n = 0-2}, useful for the treatment

of diseases such as depression (including but not limited to major

diseases such as depression (including of the disorder, disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder (including trichotillomania), social anxiety disorder, generalized anxiety disorders; deserging disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared E.g., a

sexual dysfunction and related illnesses, were prepared E.g., a multi-step synthesis of (8)-II, starting from 2',3',4'-trihydroxyacetophenone and (R)-glycidyl tosylate, which showed Ki of 2.74 mM in test for 5-HT transporter affinity, was given.

IT 474551-68-19 474551-19-19 474551-73-0P 474551-63-19 474551-69-8P 474551-97-9P 8P 474551-97-9P 8P 474551-97-9P 8P 47551-97-9P 8P 47551-97-9P RISHOOL (Biological study); RREP (Preparation); THU (Therapeutic use); BIOL (Biological study); RREP (Preparation); USES (Uses)

(Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of
1,4,5-trioxa-phenanthrene)
RN 474551-68-3 CAPIUS
CN 7H-Pyrano[2,3-t]-1,4-benzodioxin-7-one,
2-{(4-(5-fluoro-lH-indol-3-y-l)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (23)-, (2E)-2-butenedioate

L14 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

RN 474551-73-0 CAPLUS
CN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one,
2-[{3,6-dihydro-4-(1H-indol-3-yl)1{2H}-pyridinyl]methyl]-2,3,8,9-tetrahydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

Page 80

L14 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (1:1) (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

HO2C E CO2H

CM 1

CRN 474551-70-7 CMF C25 H25 F N2 O3

Absolute stereochemistry.

L14 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474551-76-3 CAPLUS

CN 1H-Indole,
3-[1,2,3,6-tetrahydro-1-[[(23)-2,3,8,9-tetrahydro-7H-pyrano[2,3[1-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]-, ethanedioate (1:1) (CA
INDEX NAME)

СМ 1

CRN 474551-75-2 CMF C25 H26 N2 O3

Absolute stereochemistry.

CM 2

144-62-7 C2 H2 O4

но- с- с- он

RN 474551-89-8 CAPLUS
CN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one,
2-[{4-(5-fluoro-1H-indol-3-yl)-3,6dhydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

L14 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474551-91-2 CAPLUS
1H-Indole, 5-fluoro-3-{1,2,3,6-tetrahydro-1-[{2,3,8,9-tetrahydro-7H-pyrano{2,3-f}-1,4-benzodioxin-2-y1)methyl}-4-pyridinyl}- (CA INDEX NAME)

RN 474551-92-3 CAPLUS
CN 7H-Pyrano[2,3-f]-1,4-benzodioxin-7-one,
2-[[3,6-dihydro-4-(1H-indol-3-y1)1(2H)-pyridinyl]methyl]-2,3,8,9-tetrahydro- (CA INDEX NAME)

L14 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474551-97-8 CAPLUS
1H-Indole, 3-[1,2,3,6-tetrahydro-1-[(2,3,8,9-tetrahydro-7H-pyrano[2,3-f]-1,4-benzodioxin-2-y1)methyl]-4-pyridinyl]- (CA INDEX NAME)

L14 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2002:849638 CAPLUS DOCUMENT NUMBER: 137:353038 Preparation of anticarrantees. Preparation of antidepressant azaheterocyclylmethyl derivatives of

oxaheterocycle-fused-[1,4]-benzodioxans INVENTOR(S): Stack, Gary Pa

Stack, Gary Paul; Gao, Hong; Gildersleeve, Elizabeth Stater, Gary Paul; Gao, Hong; Glider Suzanne Wyeth, John, and Brother Ltd., USA PCT Int. Appl., 35 pp. CODEN: PIXXD2

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent

LANGUAG	E:			English															
Fami Ly Patent	ACC.	NUM.	COU	T:	2														
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2.5	2445	2600			W1		2002	110/		CA Z	002-	2445	543		- 2	0020	924		
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	к:										IT,	LI,	LU,	NL,	5E,	MC,	Pr,		
-	1500						RO,												
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AL	2/94	13			T		2004	1012		AT A	002-	1289	4 /		2	0020	424		
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ES	2229	130	006		13		2005	0110		ES 2	002-	2128	941		2	0020	424		
PRIORIT	Z003	PAUS	DZJ INFO		Α.		2005	0307		MX 6	001-	PA98.	23 200		. 2	0031	124		
PRIORIT	. APP	ы.	THEO	• •						US 2	.001-	4000	OPP		r 2	0010	420		
										WO 2	002-	US 12	831	,	i 2	0020	424		

OTHER SOURCE(S): MARPAT 137:353038 L14 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

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(25)

L14 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474621-96-0 CAPLUS
1H-Indole, 3-{1,2,3,6-tetrahydro-1-{{(2S)-2,3,8,9-tetrahydrofuro{3,2-f}-1,4-benzodioxin-2-yl}methyl]-4-pyridinyl}- (CA INDEX NAME)

RN 474621-97-1 CAPLUS
CN 1H-Indole,
3-{1-[{(25)-2,3-dihydrofuro[3,2-f}-1,4-benzodioxin-2-yl]methyl}1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

RN 474622-00-9 CAPLUS
CN 1H-Indole,
5-fluoro-3-[1,2,3,6-tetrahydro-1-[[(28)-2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl]methyl]-4-pyridinyl]-,
(2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 474621-99-3 CMF C25 H25 F N2 O3

Absolute stereochemistry.

CM 2

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L14 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474621-98-2 CAPLUS
1H-Indole, 3-[1,2,3,6-tetrahydro-1-[[(2s)-2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl]methyl)-4-pyridinyl]- (CA INDEX NAME)

RN 474621-99-3 CAPLUS
CN 1H-Indole,
5-fluoro-3-[1,2,3,6-tetrahydro-1-[{{2S}-2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl}methyl]-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)

Double bond geometry as shown.

474622-14-5 CAPLUS
1H-Indole, 3-{1-{(2,3-dihydro-8-methylfuro[3,2-f]-1,4-benzodioxin-2-y1)methyl}-1,2,3,6-tetrahydro-4-pyridinyl}- (CA INDEX NAME)

474622-15-6 CAPLUS
1H-Indole, 3-[1,2,3,6-tetrahydro-1-[(2,3,8,9-tetrahydrofuro[3,2-f]-1,4-benzodioxin-2-yi)methyl]-4-pyridinyl}- (CA INDEX NAME)

474622-16-7 CAPLUS
1H-Indole, 3-[1-[(2,3-dihydrofuro[3,2-f]-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

L14 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474622-17-8 CAPLUS

CN lH-Indole, 3-[1,2,3,6-tetrahydro-1-[(2,3,9,10-tetrahydro-8H-pyrano(3,2-f)-1,4-benzodioxin-2-yl)methyl]-4-pyridinyl]- (CA INDEX NAME)

474622-18-9 CAPLUS
1H-Indole, 5-fluoro-3-[1,2,3,6-tetrahydro-1-[{2,3,9,10-tetrahydro-8H-pyrano[3,2-f]-1,4-benzodioxin-2-yl)methyl}-4-pyridinyl}- (CA INDEX NAME)

L14 ANSWER 18 OF 25
ACCESSION NUMBER:
DOCUMENT NUMBER:
17:353036
Preparation of antipsychotic aminomethyl derivatives of 7,8-dihydro-3H-6,9-dioxa-2,3-diaza-cyclopenta[alnaphthalene stack, Gary Paul; Tran, Megan Myeth, John, and Brother Ltd., USA PCT Int. Appl., 38 pp.
CODEN: PIXXD2
PATENT TYPE:

CODEN: PIXXD2
PATENT TYPE:

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATEN	PATENT NO.					DATE			APPL		D.	ATE				
					-									-		
WO 20	020881	33		A1		2002	1107		WO 2	002-	US13	284		2	0020	426
W	: AE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
	LS, LT, LU					MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
	PL, PT, RO					SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
	UA, UG, UZ,				YU,	ZA,	ZM,	ZW								
R	W: GH,															
	CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IŤ,	LU,	MC,	NL,	PT,	SE,	TR,
	BF,	ВJ,	CF,	ÇG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
US 20	021833	31		A1		2002	1205	1	US 2	002-	1287	48		2	0020	423
US 68	00641			B2		2004	1005									
AU 20	AU 2002308491 PRIORITY APPLN. INFO.:					2002	1111		AU 2	002-	3084	91		2	0020	426
PRIORITY A								,	US 2	001-	2865	68P		₽ 2	0010	426
								1	WO 2	002-	US 13	284	1	# 2·	0020	426

OTHER SOURCE(S):

MARPAT 137:353036

AB The title compds. [I; R1 = H, halo, CN, etc.; R2 = H, OH, halo, etc.; Z = (un)substituted piperazino, piperidino, etc.], useful for treatment of disorders of the dopaminergic system, such as schizophrenia, schizoaffective disorder, bipolar disorder, Parkinson's disease, L-DOPA induced psychoses and dyskinesias, Tourette's syndrome and hyperprolactinemia and in the treatment of drug addiction such as the addiction to ethenol, nicotine or coceine and related illnesses, were prepared Thus, reacting [2R]-2.3-dihydro-7H-[1,4]dioxino[2,3-e]indazol-2-

L14 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

(Continued)

FORMAT

L14 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
ylmethyl 4-methylbenzenesulfonate (multi-step prepn. given) with PhCH2NH2
in DMSO afforded 84% (S)-I [R1, R2 = H; Z = NHCH2Ph] which showed IC50 of
0.45 nM against D2 receptor binding.
IT 474383-10-3P 474383-12-5P 474383-13-6P
474383-14-7P 474383-23-8P 474383-24-9P
RL: PAC (Pharmacological activity): SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study): PREP (Preparation); USES
(Uses)

(preparation of antipsychotic aminomethyl derivs. of 7,8-dihydro-3H-6,9-

ithydro-3H-6,9-dioxa-7,3-diaza-cyclopenta[a]naphthalene) 474383-10-3 CAPLUS 7H-1,4-Dioxino[2,3-e]indazole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl}-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

474383-12-5 CAPLUS
7H-1,4-Dioxino[2,3-e]indazole, 2-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl|methyl)-2,3-dihydro-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

L14 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

• HCl

474383-13-6 CAPLUS
7H-1,4-Dioxino[2,3-e]indazole, 2-[[4-[(5-fluoro-lH-indol-3-yl)methyl]-1-piperidinyl]methyl]-2,3-dihydro-, (2s)- (CA INDEX NAME)

Absolute stereochemistry.

474383-14-7 CAPLUS 7H-1,4-Dioxino[2,3-e]indazole, 2-[[4-[[5-fluoro-lH-indol-3-y1]methy1]-1-piperidinyl]methyl]-2,3-dihydro-, (2S)-, ethanedioate [1:1] (9CI) (CA INDEX NAME)

CM 1

CRN 474383-13-6

L14 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474383-24-9 CAPLUS
7H-1,4-Dioxino[2,3-e]indazole, 2-[[4-([5-fluoro-1H-indol-3-y1]methyl]-1-piperidinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

REFERENCE COUNT:

FORMAT

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L14 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN CMF C24 H25 F N4 O2 (Continued)

Absolute stereochemistry.

CM 2

CRN 144-62-7 CMF C2 H2 O4

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474383-23-8 CAPLUS
7H-1,4-Dioxino[2,3-e]indazole, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2002:849634 CAPLUS PLUS COPYRIGHT 2007 ACS on STN 2002:894634 CAPLUS 137:353034 Preparation of antidepressant (SSRI) azaheterocyclymethyl derivatives of 7,0-dihydro-3h-6,9-dioxa-1,3-diazecyclopenta[a]naphthalene Stack, Gary Paul Wyeth, John, and Brother Ltd., USA PCT Int. Appl., 39 pp. CODEN: PIXXD2 Patent English DOCUMENT NUMBER: TITLE: INVENTOR (S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE DATE ENT NO. KIND DATE APPLICATION NO. DATE

2002088131 A1 20021107 WO 2002-US12993 20020423

N: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BB, G, BR, BY, BZ, CA, CH, CN, GM, HR, HU, ID, IL, IN, 13, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, HN, HW, MX, MZ, NO, NZ, OM, PP, PL, PT, RO, RU, SO, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, WB, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CT, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, ML, PT, SE, TR, FB, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, MM, MR, KE, SN, TD, TG

2445552 A1 2002107 CA 2002-2445552 20020423

1401839 A1 20021011 A0 2002-259988 20020423

1401839 B1 20050907

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, ES, TI, LT, LV, FI, RO, MK, CY, AL, TR

200209408 A 20040909 A 200423

2004257561 T 20040909 JP 2002-8585430 20020423

2447327 T3 20060301 ES 2002-278966 20020423

2001PRO9928 A 20050307 MC 2004-28559P P 20010426

APPLIN. INFO: WC 2002-US12933 W 20020423 WO 2002088131 RF, BJ, CF,
CA 2445552
AU 2002258988
EP 1401839
EP 1401839
R: AT, BE, CH,
IE, SI, LT,
BR 2002009408
JP 2004527561
CN 1535274
AT 304016
ES 2247327
MX 2003PA09828
PRIORITY APPLN. INFO.: WO 2002-US12993 W 20020423

OTHER SOURCE(S): MARPAT 137:353034

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

The title compds. [I; Rl-R5, R8 = H, halo, CN, etc.; R6, R7 = H, alkyl; Z = CR8, N; n = 0-2], useful for the treatment of depression and other diseases such as obsessive compulsive disorder, panic attacks,

11

anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine

and related illnesses, were prepared Thus, reacting

anuse
and related illnesses, were prepared Thus, reacting
{{RR}}-2-trifluoromethyl7,8-dihydro-3H-6,9-dioxa-1,3-diaza-cyclopenta{a|naphthalen-8-yl}methyl
4-methylbenzenesulfonate (multi-step synthesis given) with
5-fluoro-3-(1,2,3,6-tetrahydro-4-pyridinyl)-IH-indole in DMSO afforded
(S)-II which showed Ki of 3.07 nM against 5-HTIA receptor binding.

IT 474623-47-7P 474623-48-8P 474623-51-3P
474623-53-59 474623-68-8P 474623-59-1P
474623-61-5P 474623-64-8P 474623-77-3P
474623-99-9P 474623-39-39 474623-97-3P
474623-99-9P 474624-07-2P
474624-06-IP 474624-07-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of antidepressant (SSRI) azaheterocyclylmethyl derivs.

(Uses)
(preparation of antidepressant (SSRI) azaheterocyclylmethyl derivs. of 7,8-dihydro-3H-6,9-dioxa-1,3-diazacyclopenta[a]naphthalene)
474623-47-7 CAPLUS
HH-[1,4]Dioxino[2,3-e]benzimidazole, 8-[{4-(5-fluoro-1H-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Double bond geometry as shown.

474623-51-3 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)-, (8S)- (CA

Absolute stereochemistry.

 $\begin{array}{lll} 474623-53-5 & \text{CAPLUS} \\ 1\text{H-}[1,4] \text{Dioxino}[2,3-e] \text{benzimidazole, } 8-[[3,6-dihydro-4-(1\text{H-}indol-3-yl)-1(2\text{H-})-yridinyl]} \\ 1(2\text{H-})-yridinyl] \text{methyl}]-7,8-dihydro-2-(trifluoromethyl)-, (8S)-, \\ (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME) \\ \end{array}$ 

CM 1

CRN 474623-51-3 CMF C24 H21 F3 N4 O2

Absolute stereochemistry.

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (CA INDEX NAME) (Continued)

Absolute stereochemistry.

CRN 474623-47-7 CMF C24 H20 F4 N4 O2

Absolute stereochemistry.

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

CRN 474623-55-7 CMF C24 H20 F4 N4 O2

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

но2С В СО2Н

474623-59-1 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[{3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-methyl-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-58-0 CMF C24 H24 N4 O2

Absolute stereochemistry.

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

но2С СО2Н

474623-61-5 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl)methyl]-7,8-dihydro-1,2-dimethyl-, (8S)- (CA INDEX NAME)

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 1

CRN 474623-61-5 CMF C25 H26 N4 O2

Absolute stereochemistry.

Double bond geometry as shown.

Page 86

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) но2С € СО2Н

474623-67-1 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-{1H-indol-3-yl}-1(2H)-pyridinyl]methyl]-2-ethyl-7,8-dihydro-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

474623-69-3 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2-ethyl-7,8-dihydro-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474623-67-1 CMF C25 H26 N4 O2

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

но2С СО2Н

474623-73-9 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-{7-fluoro-1H-indol-3-y1}-3,6-dihydro-12H]-ypridinyl]methyl]-7,8-dihydro-2-{trifluoromethyl}-, (8S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CRN 474623-72-8 CMF C24 H20 F4 N4 O2

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

474623-93-3 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[{3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl}-7,8-dihydro-2-{trifluoromethyl}- (CA INDEX NAME)

474623-96-6 CAPLUS 1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[4-(6-fluoro-lH-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)- (CA INDEX NAME)

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474623-77-3 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, B-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyriddnyl]methyl]-7,8-dihydro-2-(pentafluoroethyl)-, (8S)-, (2E)-2-butenedioate (1:1) {9CI} (CA INDEX NAME)

CRN 474623-76-2 CMF C25 H21 F5 N4 O2

Absolute stereochemistry.

CM

Double bond geometry as shown.

474623-90-0 CAPLUS

1H-[1,4]Dloxino[2,3-e|benzimidazole, 8-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(trifluoromethyl)- (CA INDEX NAME)

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

474623-99-9 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[{3,6-dihydro-4-{1H-indol-3-yl}-1{2H}-pyridinyl]methyl]-7,8-dihydro-2-methyl- (CA INDEX NAME)

474624-02-7 CAPLUS H+[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-1,2-dimethyl- (CA INDEX NAME)

L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474624-05-0 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2-ethyl-7,8-dihydro- (CA INDEX NAME)

IN-[1,4]Dioxino[2,3-e]benzimidazole, 8-[{4-(7-fluoro-lH-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl}methyl}-7,8-dihydro-2-(trifluoromethyl)- {CA INDEX NAME}

L14 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:849532 CAPLUS

TITLE: 2002:849532 CAPLUS

PROPER ASSIGNES: 2002:849632 CAPLUS

PATENT ASSIGNEE(S): 5000 of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-flquinazoline Husbands, George Edward Morris; Stack, Gary Paul Myeth, John, and Brother Ltd., USA

PCT Int. Appl., 36 pp.

COODEN: PIXXD2

PATENT INFORMATION: 1

PATENT INFORMATION: 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. 

WO 2002-US12738

OTHER SOURCE(S): MARPAT 137:353058 L14 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474624-07-2 CAPLUS
1H-[1,4]Dioxino[2,3-e]benzimidazole, 8-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-7,8-dihydro-2-(pentafluoroethyl)- (9CI) (CA

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L14 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

The title compds. [I: R1, R3-R5, R7 = H, OH, halo, etc.; R2 = H, OH,

The title compds. [I; R1, R3-R5, R7 = H, OH, halo, etc.; R2 = H, OH,

tc.; R6 = H, alkyl; Z = N, N-oxide; X = CR7, N; n = 0-21, useful for the
treatment of depression and other diseases such as obsessive compulsive
disorder, panic attacks, generalized anxiety disorder, social anxiety
disorder, exual dysfunction, eating disorders, obesity, addictive
disorders caused by ethanol or cocaine abuse and related illnesses, were
prepared Thus, reacting [2R]-2,3-dihydro-1,4-dioxino[2,3-f]quinazolin-2ylnethyl 4-methylbenessulfonate (multi-step synthesis given) with
5-fluoro-3-(1,2,3,6-tetrahydro-4-pyridinyl)-|H-indole in the presence of
NaHCO3 in DMF/THF afforded 35 (5)-II which showed Ki of 51.53 nM against
5-HTIA receptor binding.
474607-77-7P 474607-78-8P 474607-85-8P
474607-80-2P 474607-88-0P 474607-85-8P
474607-90-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of antidepressant azaheterocyclylmethyl derivs. of
2,3-dihydro-1,4-dioxino[2,3-f]quinazoline)
474607-77-7 CAPLUS
1,4-Dloxino[2,3-f]quinazoline,
4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro1(2R)-pyridinyl)methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

L14 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474607-78-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-{[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridiny1]methy1}-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 474607-79-9 CAPLUS
CN 1,4-Dioxino(2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridiny1]methy1)-2,3-dihydro-8-methy1-, 9-oxide, (2S)- (CA INDEX NAME)

Absolute stereochemistry

L14 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474607-86-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline,
2-[[4-(5-fluoro-ll-indol-3-yl)-3,6-dihydro1{2H}-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

RN 474607-87-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl]-1{2H}-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

L14 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474607-80-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(lH-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 474607-81-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-{lH-indol-3-yl}-1{2H}-pyridinyl]methyl]-8-ethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 474607-88-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[{3,6-dihydro-4-{1H-indol-3-y}}-1{2H}-pyridinyl]methyl]-2,3-dihydro-8-methyl-, 9-oxide (CA INDEX NAME)

RN 474607-89-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinazoline, 2-[{3,6-dihydro-4-(lH-indol-3-yl)-1{2H}-pyridinyl}methyl]-2,3-dihydro-8-methyl- (CA INDEX NAME)

L14 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

474607-90-4 CAPLUS
1,4-Dioxino[2,3-f]quinazoline, 2-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridiny1]methy1]-8-ethy1-2,3-dihydro- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L14 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

The title compds. [I: Rl = H, OH, halo, etc.; R2-R4, R6 = H, halo, CN, etc.; R5 = H, alkyl: X = CR6, N; n = 0-2; Y = N, N-oxidel, useful for the treatment of depression, obsessive compulsive disorder, panta etacks, generalized anxiety disorder, social anxiety disorder, sexual userion.

generalized anxiety disorder, social anxiety disorder, sexual unction, earling disorders, obesity, addictive disorders caused by ethanol or cocaine abuse, and dysthymia, were prepared Thus, reacting 3-(1,2,3,6-tetrahydro-4-pyridy)-1H-indole with 2-bromo-3-[(28)-oxiranylmethoxylpyridine (yield 71%) followed by cyclization of the intermediate afforded 52% (S-II which showed Ki of 14.30 nM against 5-HTIA receptor binding.
473996-68-8P 473996-69-9P 473996-70-2P
473996-11-3P 473996-99-17-7P 473996-81-5P
473996-2-6F 473996-53-7P 473996-81-5P
473996-92-6F 473996-53-7P 473996-81-5P
473996-91-6F 473996-81-7P 473996-81-8P
RL: PRC (Pharmacological activity); SPN (Synthetic preparation); USES (Uses)

(Uses)
(preparation of antidepressant azaheterocyclylmethyl derivs. of 1,4-dioxino[2,3-b]pyridine)
473996-68-8 CAPLUS
1,4-Dioxino[2,3-b]pyridine, 3-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)- (CA INDEX NAME)

Page 90

L14 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:832806 CAPLUS

DOCUMENT NUMBER: 137:337898

Preparation of antidepressant azaheterocyclylmethyl derivatives of 1,4-dioxino[2,3-b]pyridine

Tran, Megan; Stack, Gary Paul

Wyeth, John, and Brother Ltd., USA

POCUMENT TYPE: PATENT ASSIGNEE(S): 911. Appl., 30 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.								APPLICATION NO.							ATE		
												2002-					0020	424
												, BG,						
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	, EE,	ES,	FI,	GB,	GD,	GE,	GH,
												, KG,						
												, MW,						
											SK	, SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
								ZA,										
		RW:										, TZ,						
												, ІТ,						
												, GW,						
		6656						2002			US	2002-	1279	23		2	0020	423
											B71 -	2002-	2075	0.3		,	0020	424
												2003-						
		6987									0.5	2003-	0011	02		-	0030	712
PRIO		APP									us :	2001-	2863	01P		P 2	0010	425
											US :	2002-	1279	23		A1 2	0020	423
											wn.	2002-1	11912	R47	1	ພ າ	0020	424

OTHER SOURCE(S): MARPAT 137:337898

L14 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 473996-69-9 CAPLUS
CN 1,4-Dioxino[2,3-b]pyridine, 3-[[4-(5-fluoro-1H-indol-3-y1)-3,6-dihydro1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

473996-70-2 CAPLUS

HH-Indole-5-carbonitrile, 3-[1-[(38)-2,3-dihydro-1,4-dioxino[2,3-b]pyridin-3-y1]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

473996-71-3 CAPLUS

1,4-Dloxino[2,3-b]pyridine, 3-[[4-(6-fluoro-1H-indol-3-yl]-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 473996-72-4 CAPLUS
CN 1,4-Dioxino(2,3-b)pyridine, 3-[[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridiny]]methyl]-2,3-dihydro-, (3S)-, ethanedioate (1:1) (9CI) (CA INDEX

CM 1

L14 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN CRN 473996-68-8 CMF C21 H21 N3 O2 (Continued)

Absolute stereochemistry.

2 CM

CRN 144-62-7 CMF C2 H2 O4

но- с- с- он

4 73996-73-5 CAPLUS 1,4-Dioxino[2,3-D]pyridine, 3-[[4-{5-fluoro-lH-indol-3-yl}-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)-, ethanedicate (2:1) [9CI)

CM 1

CRN 473996-69-9 CMF C21 H20 F N3 O2

Absolute stereochemistry.

L14 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN Absolute stereochemistry. (Continued)

CM 2

CRN 144-62-7 CMF C2 H2 O4

но- c- c- он

473996-81-5 CAPLUS 1,4-Dioxino[2,3-b]pyridine, 3-[[3,6-dihydro-4-(1H-indo1-3-y1)-1{2H}-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

473996-82-6 CAPLUS 1,4-Dioxino[2,3-b]pyridine, 3-[[4-(5-fluoro-lH-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

4/39-6-3-1 H-Indole-5-carbonitrile, 3-[1-[(2,3-dihydro-1,4-dioxino(2,3-b]pyridin-3-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

L14 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN CRN 144-62-7 CMF C2 H2 O4 (Continued)

но- с- с- он

473996-74-6 CAPLUS
1H-Indole-5-carbonitrile, 3-{1-[{(3S)-2,3-dihydro-1,4-dioxino[2,3-b]pyridin-3-y1]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-, ethanedioate (5:7) (CA INDEX NAME)

CM 1

CRN 473996-70-2 CMF C22 H20 N4 O2

Absolute stereochemistry.

CM 2

но- с- с- он

473996-75-7 CAPLUS
1,4-Dioxino[2,3-b]pyridine, 3-[[4-(6-fluoro-1H-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)-, ethanedioate (1:2) [9CI)

CM 1

L14 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

473996-84-8 CAPLUS 1,4-Dioxino[2,3-D]pyridine, 3-[[4-(6-fluoro-lH-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L14 ANSWER 22 OF 25
ACCESSION NUMBER:
DOCUMENT NUMBER:
137:337896
Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-benzodioxane
Husbands, George Edward Morrie; Stack, Gary Paul;
Mewshaw, Richard Eric; Cliffe, Ian Anthony
Wyeth, John, and Brother Ltd., USA
PCT Int. Appl., 34 pp.
CODEM: PIXXD2

DOCUMENT TYPE:

DOCUMENT TYPE:

Patent English 2 LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT	'ENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
							-									-		
	WO	2002	0858	96		A1		2002	1031		WO 2	002-	US12	843		2	0020	423
	WO	2002	0858	96		A8		2002	1128									
		W:	AE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	вв,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
			UA,	UG,	UZ,	VN,	YU,	ZA,	ZM,	ZW								
		RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
			BF,	BJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
	ΑU	2002	2589	71		A1		2002	1105		AU 2	002-	2589	71		2	0020	423
	EΡ	1381	600			A1		2004	0121		EP 2	2002-	7289	50		2	0020	423
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
PRIOR	ΙTΊ	APP	LN.	INFO	.:					- 1	US 2	2001-	2860	56P		P 2	0010	424

WO 2002-US12843 W 20020423

OTHER SOURCE(S):

MARPAT 137:337896

L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

473993-80-5 CAPLUS

H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)

473993-81-6 CAPLUS
1,4-Benzodioxin-6-amine, 3-[[3,6-dihydro-4-(lH-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

473993-82-7 CAPLUS
1,4-Benzodioxin-6-amine, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1{2H}-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 473993-83-8 CAPLUS CN 1H-Indole, 3-[1-[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-y1]methyl]-

Page 92

L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

The title compds. [I; R1, R2 = H, halo, CN, etc.; R3-R5, R7 = H, halo,

The title compds. [I; R1, R2 = H, halo, CN, etc.; R3-R5, R7 = H, halo, etc.; R6 = H, alkyl; X = CR7, N; n = 0-2], useful for the treatment of depression and other conditions such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, sexual dysfunction, eating disorders, addictive disorders caused by ethanol or cocaine abuse and related illneases. were prepared Thus, reacting 2,3-dihydro-benzo(1,4)dioxin-2-ylmethyl 4-methylbenzeneaulfonate with 5-methoxy-3-(1,2,3,6-tetrahydro-4-pyridinyl)-lH-indole in the presence of NaHCO3 in DMF/THR afforded II which showed Ki of 27.18 mM against 5-HTlA receptor binding.

47393-19-27 47393-80-5P 47393-81-6P 47393-81-6P 47393-50-P 47393-81-61-P 47393-81-2P 47393-91-9-2P 47393-80-1P 47393-80-1P 47393-80-1P 47393-80-1P 47393-80-1P 47393-80-1P 47393-80-1P 47393-91-9P 47393-91-9P 47393-91-9P 47393-91-9P 473994-01-3P 473994-01-3P 473994-01-5P 473994-01-5P 473994-01-5P 473994-01-01-P 4

L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS ON STN 1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME) (Continued)

Absolute stereochemistry.

473993-84-9 CAPLUS

NN 4/393-04-9 GREWS
CN 1,4-Benzodioxin-6-amine,
2-{[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)pyridinyl}methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

473993-85-0 CAPLUS
1H-Indole-5-carbonitrile, 3-[1-{{(28}-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-,
monohydrochloride (9CI) {CA INDEX NAME}

Absolute stereochemistry.

• HC1

L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 473993-86-1 CAPLUS
COPYRIGHT 2007 ACS on STN (Continued)
RN 473993-86-1 CAPLUS
benzodioxin-2-y1]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 473993-87-2 CAPLUS CN 1H-Indole, 3-(1-{(23)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl)-6-fluoro- (CA INDEX NAME)

Absolute stereochemistry.

473993-88-3 CAPLUS
1,4-Benzodioxin-5-carboxamide, 2-{[3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl]methyl)-2,3-dihydro-, {2S}- {CA INDEX NAME}

Absolute stereochemistry.

L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

473993-92-9 CAPLUS
1H-Indole, 3-[1-[[(28)-2,3-dihydro-8-methyl-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)

473993-93-0 CAPLUS
1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1,2,3,6tetrahydro-4-pyridinyl]-5-methoxy-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 473993-79-2 CMF C23 H24 N2 O3

L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 473993-89-4 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide,
2-[(4-(5-[10xco-1H-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

473993-90-7 CAPLUS
1H-Indole, 3-{1-[[(25)-8-fluoro-2,3-dihydro-1,4-benzodioxin-2-y1]methy1]-1,2,3,6-tetrahydro-4-pyridiny1]- (CA INDEX NAME)

Absolute stereochemistry.

473993-91-8 CAPLUS

RN 4/393-3-1 G. C. 1H-Indole, 3-(1-[([28]-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-y1]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

473993-94-1 CAPLUS
1H-Indole, 3-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl)-1,2,3,6tetrahydro-4-pyridinyl)-5-fluoro-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 473993-80-5 CMF C22 H21 F N2 O2

2

CRN 144-62-7 CMF C2 H2 O4

473994-01-3 CAPLUS 1H-Indole, 3-[1-[{(2S)-2,3-dihydro-8-methyl-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl}-5-fluoro-, ethanedioate (1:1) (CA INDEX MAMP)

CM 1

CRN 473993-92-9 CMF C23 H23 F N2 O2

L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 144-62-7 CMF C2 H2 O4

0 0 || || - C - C - OH

473994-02-4 CAPLUS 1,4-Benzodioxin-6-amine, 2-[[3,6-dihydro-4-(1H-indol-3-yl]-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

473994-03-5 CAPLUS
1H-Indole, 3-[1-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

RN 473994-04-6 CAPLUS CN 1,4-Benzodioxin-6-amine, 2-{[4-{5-fluoro-1H-indol-3-yl}-3,6-dihydro-1{2H}-

L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 473994-09-1 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide,
2-[{4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl|methyl)-2,3-dihydro- (CA INDEX NAME)

473994-10-4 CAPLUS
1H-Indole, 3-[1-({8-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl}methyl]-1,2,3,6-tetrahydro-4-pyridinyl}- (CA INDEX NAME)

473994-11-5 CAPLUS IN-Indole, 3-[1-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-y1)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

473994-12-6 CAPLUS
1H-Indole, 3-[1-[12,3-dihydro-8-methyl-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)

Page 94

L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME) (Continued)

473994-05-7 CAPLUS
1H-Indole-5-carbonitrile, 3-[1-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

RN 473994-06-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine,
3-[1-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

473994-07-9 CAPLUS
1H-Indole, 3-[1-[(2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl)methyl]1,2,3,6-tetrahydro-4-pyridinyl]-6-fluoro- (CA INDEX NAME)

473994-08-0 CAPLUS
1,4-Benzodioxin-5-carboxamide, 2-{[3,6-dihydro-4-(1H-indol-3-yl)-1(2M)-pyridinyl]methyl}-2,3-dihydro- (CA INDEX NAME)

L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

473994-14-8 CAPLUS 1,4-Benzodioxin-6-amine, 3-[{3,6-dihydro-4-(lH-indol-3-yl}-1(2H)-pyridinyl]methyl]-2,3-dihydro- (CA INDEX NAME)

IT

473993-95-2P 473993-96-3P 473993-97-4P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) [preparation of antidepressant azaheterocyclylmethyl derivs. of 2,3-dihydro-1,4-benzodioxane) 473993-95-2 CAPLUS [H-Indole, 3-[1-[1(2S)-2,3-dihydro-7-nitro-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

473993-96-3 CAPLUS
1H-Indole, 3-[1-[[(2S)-2,3-dihydro-6-nitro-1,4-benzodioxin-2-yl]methyl]1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

L14 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

473993-97-4 CAPLUS 1H-Indole, 3-[1-[([2S)-2,3-dihydro-6-nitro-1,4-benzodioxin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-5-fluoro- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

(Continued)

FORMAT

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

The title compds. [I; R1 = H, OH, halo, CN, etc.; R2-R5, R7 = H, OH, AB halo,

Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:716282 CAPLUS
DOCUMENT NUMBER: 137:247706

2,3-dihydro-1,4-dioxin: derivatives of
2,3-dihydro-1,4-dioxin: (2,3-f]quinoline
INVENTOR(S): Tran, Megan; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 66 pp.
DOCUMENT TYPE: Patent
LANGUAGE: PRANLLY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIN	ID DATE	APPLICATION NO.	DATE
			WO 2002-US7192	
W: AE, A	G, AL, AM,	AT, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,
co. c	R. CU. CZ.	DE, DK, DM,	DZ, EC, EE, ES, FI,	GB. GD. GE. GH.
GM. H	R. HU. ID.	IL. IN. IS.	JP, KE, KG, KP, KR,	KZ. LC. LK. LR.
			MK, MN, MW, MX, MZ,	
			SI, SK, SL, TJ, TM.	
		YU. ZA. ZM.		,,,,
			SL, SZ, TZ, UG, ZM,	ZW. AT. BE. CH.
			GR, IE, IT, LU, MC.	
			GN, GQ, GW, ML, MR,	
AU 2002252263	A .	20020924	AU 2002-252263	20020312
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ED 1303607	, ,	20021107	EP 2002-721325	20020212
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			GB, GR, IT, LI, LU,	N1
		FI, RO, MK,		NL, SE, MC, PI,
NW 201450	,1, h1, h4,	20041115	OI, ML, IR	20020212
AT 201439	-	20041113	AT 2002-721323	20020312
P1 1392097	1	20030131	AT 2002-721325 PT 2002-721325 ES 2002-2721325	20020312
ES 2230484	. T.	20050501	£S 2002-2721325	20020312
US 2003045542	: A.	20030306	US 2002-228744	20020827
US 6599915		20030729		
PRIORITY APPLN. IN	IFO.:		US 2001-275564P	P 20010314
			US 2002-95505	A1 20020312
			WO 2002-US7192	w 20020312

OTHER SOURCE(S): MARPAT 137:247706

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl)methyl]-8-ethyl-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

460353-57-5P 460353-59-7P 460353-60-0P 460353-61-1P 460353-62-2P 460353-63-3P 460353-64-4P 460353-65-5P 460353-66-6P 460353-68-8P 460353-71-5P 460353-71-5P 460353-77-5P 460353-77-5P 460353-77-9P 460353-78-9P 460353-78-9P 460353-78-0P 460353-78-0P 460353-78-0P 460353-78-0P 460353-78-0P 460353-78-1P 460353-81-7P 460353-81-5P 460353-95-5P 46035

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(prepn. of antidepressant azaheterocyclylmethyl derivs. of
2,3-dihydro-1,4-dioxino[2,3-f]quinoline)
460353-57-5 CAPLUS
1,4-bioxino[2,3-f]quinoline, 2-{[3,6-dihydro-4-{5-methoxy-1H-indol-3-yl}-1(2H)-pyridinyl}methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

460353-59-7 CAPLUS [1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(5-fluoro-lH-indol-3-yl)methyl]-1-piperidinyllmethyl]-2,3-dihydro-, (ZS)- (CA INDEX NAME)

Absolute stereochemistry.

460353-60-0 CAPLUS 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

460353-63-3 CAPLUS 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1-methyl-1H-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl]methyl}-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

460353-64-4 CAPLUS 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(5-fluoro-lH-indol-3-y1)methy1]-lpiperidiny1]methy1]-2,3-dihydro-8-methy1-, (2S)- (CA INDEX NAME)

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

460353-61-1 CAPLUS
1H-Indole-5-carbonitrile, 3-[1-[[(2S)-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

460353-62-2 CAPLUS
1H-Indole-5-carboxamide, 3-[1-[{(2S)-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl}- (CA INDEX NAME)

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

460353-65-5 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

460353-66-6 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 2-{[4-(6-fluoro-lH-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued

F H N N N S S

RN 460353-68-8 CAPLUS
CN 1,4-Dioxino12,3-f]quinoline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 460353-69-9 CAPLUS
CN 1,4-Dioxino{2,3-f]quinoline, 2,3-dihydro-2-[[4-(1H-indol-3-yl)-1-piperidinyl]-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continue

RN 460353-73-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(lH-indol-3-yl)-1(2H)-pyridinyl]methyl]-6-fluoro-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 460353-74-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1H-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-6-methoxy-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued

RN 460353-71-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 460353-72-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 6-fluoro-2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)
Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 460353-75-7 CAPLUS
CN 1,4-0ioxino[2,3-f]quinolin-8-amine, 2-{[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry

RN 460353-76-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[(4-(7-ethyl-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl)methyll-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

460353-77-9 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 2-[[4-{5-chloro-lH-indol-3-yl}-3,6-dihydro-1(2H)-pyridinyl]methyl}-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

460353-78-0 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 2-[[4-(7-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN CRN 460353-79-1 CMF C27 H27 N3 O2

Double bond geometry as shown.

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460353-81-5 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(lH-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-9-methyl-, (2S)- (CA INDEX NAME)

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

460353-79-1 CAPLUS 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(5-methyl-1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

460353-80-4 CAPLUS
1,4-Dioxino(2,3-f)quinoline, 2-{[3,6-dihydro-4-(5-methyl-1H-indol-3-yl)-1(2H)-fpyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

460353-82-6 CAPLUS 1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2,3-dihydro-2-[[4-(1H-indol-3-yl)-1-piperidinyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

460353-83-7 CAPLUS 1,4-Dioxino[2,3-f]quinoline, 2-[{3,6-dihydro-4-(5-methoxy-1H-indol-3-yl)-1(2H)-pyridinyl]methyl}-2,3-dihydro-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

HO2C E CO2H

RN 460353-84-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-[(5-fluoro-lH-indol-3-y1)methyl]-l-piperidinyl]methyl]-2,3-dihydro-, (2S)-, ethanedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 460353-59-7 CMF C26 H26 F N3 O2

Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued

CM 2

CRN 110-17-8

Double bond geometry as shown.

RN 460353-86-0 CAPLUS
CN 1H-Indole-5-carboxamide, 3-[1-[[(2S)-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 460353-62-2 CMF C26 H24 N4 O

Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continue

CM 2

CRN 144-62-7 CMF C2 H2 O4

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RN 460353-85-9 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-{1-[{(25)-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-y]methyl}-1,2,3,6-tetrahydro-4-pyridinyl]-,
(2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 460353-61-1 CMF C26 H22 N4 O2

Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8

Double bond geometry as shown.

но2С Е СО2Н

RN 460353-87-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1-methyl-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl)-2,3-dihydro-, dihydrochloride, (2S)-(9CI)

(CA INDEX NAME)

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

460353-88-2 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 2-[[4-{6-fluoro-1H-indol-3-yl}-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, ethanedioate (1:2)
(9CI) (CA INDEX NAME)

CM 1

CRN 460353-66-6 CMF C26 H24 F N3 O2

Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 460353-90-6 CAPLUS
COPYRIGHT 2007 ACS on STN (Continued)
1,000 A

INDEX NAME)

CM 1

CRN 460353-69-9 CMF C26 H27 N3 O2

Absolute stereochemistry.

CM 2

Double bond geometry as shown.

460353-91-7 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2-[[4-{5-fluoro-1H-indol-3-y1}-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 460353-71-3 CMF C27 H26 F N3 O2

Absolute stereochemistry.

Page 100

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN CM 2 (Continued)

CRN 144-62-7 CMF C2 H2 O4

460353-89-3 CAPLUS 1,4-Dioxino[2,3-f]quinoline,  $2-[\{4-\{5-f]uoro-1H-indol-3-yl\}-3,6-d]hydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate (1:1) (9C1) (CA INDEX NAME)$ 

CM 1

CRN 460353-68-8 CMF C26 H24 F N3 O2

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

460353-92-8 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 6-fluoro-2-{[4-{5-fluoro-1H-indol-3-y1}-3,6-dihydro-1(2H)-pyridinyl]methyl}-2,3-dihydro-, (2S)-, (2E)-2-butenedioate (2:1) 9GI) (CA INDEX NAME)

CRN 460353-72-4 CMF C25 H21 F2 N3 O2

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

HO2C E CO2H

RN 460353-93-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-1H-indol-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-6-methoxy-, (2S)-,
(2E)-2-butchedioate
(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 460353-74-6 CMF C26 H24 F N3 O3

Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

но2С Е СО2Н

460353-94-0 CAPLUS 1,4-Dioxino[2,3-f]quinolin-8-amine, 2-[{4-(5-fluoro-lH-indol-3-y1)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CRN 460353-75-7 CMF C25 H23 F N4 O2

Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

Double bond geometry as shown.

460353-95-1 CAPLUS
1,4-Dioxino[2,3-f]quinoline, 8-ethyl-2,3-dihydro-2-[[4-{1H-indol-3-yl}-1-piperidinyl]methyl]-, {2S}-, (2E)-2-butenedioate {9CI} (CA INDEX NAME)

CRN 460353-82-6 CMF C27 H29 N3 O2

Absolute stereochemistry.

L14 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

HO2C E CO2H

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L14 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:100823 CAPLUS
100823 CAPLUS
130:168383
Preparation of 2-{azaheterocyclymethyl}-2,3,8,9-tetrahydro-7h-1,4-dioxino[2,3-e]indol-8-ones as antipsychotics.
Stack, Gary Paul
American Home Products Corporation, USA
U.S., 13 pp.
CODEN: USXXAM
DOCUMENT TYPE:

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 5869490 PRIORITY APPLN. INFO.: 19971009 А 19990209 US 1997-947565 US 1997-947565

OTHER SOURCE(S):

CASREACT 130:168383; MARPAT 130:168383

AB Title compds. [I; X = H2, O; R1 = H, OH, halo, CF3, OCF3, alkyl, alkoxy, aralkoxy, alkanoyloxy, amino, alkanamido, alkanesulfonamido; Z = (substituted) piperazinyl, (substituted) (benzo-fused) piperidinyl), were prepared Thus,

(R1-(2-tosyloxymethyl)-6-fluoro-2,3,8,9-tetrahydro-7H-1,4-dioxino[2,3-e]indo]-8-one and tetrahydroisoquinoline were heated 4 h in Me2SO to give (S)-2-(3,4-dihydro-1H-isoquinolin-2-ylmethyl)-6-fluoro-2,3,6,9-tetrahydro-7H-1,4-dioxino[2,3-e]indol-8-amine, isolated as the fumarate. This showed D2 receptor affinity with IC50 = 0.23 nM.

IZ 206355-42-2P 220456-60-0P 220456-63-3P RL: BRC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study): PREP (Preparation); USES (Uses)

(preparation of azaheterocyclymethyltetrahydrodioxinoindolones as antipsychotics)

RN 206355-42-2 CAPIUS

CN 8H-1,4-Dioxino[2,3-e]indol-8-one, 2-{[3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-6-fluoro-2,3,7,9-tetrahydro-, (2S)- (CA INDEX NAME)

L14 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE REFERENCE COUNT:

L14 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN Absolute stereochemistry. (Continued)

220456-60-0 CAPLUS
8H-1,4-Dioxino[2,3-e]indol-8-one, 6-fluoro-2,3,7,9-tetrahydro-2-[[4-(lH-indol-3-yl)-1-piperidinyl]methyl]- (CA INDEX NAME)

220456-63-3 CAPLUS 8H-1,4-Dioxino[2,3-e]indol-8-one, [3,6-dihydro-4-(1H-indol-3-yl)-1(2H)-pyridinyl]methyl]-6-fluoro-2,3,7,9-tetrahydro- (CA INDEX NAME)

L14 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1998:251174 CAPLUS
DOCUMENT NUMBER: 128:308493
TITLE: Preparation of AVAILABLE ACTION AND ACTION AND ACTION AND ACTION ACCOUNTS.

128:308493
Preparation of azaheterocyclymethyl derivatives of 2,3,8,9-tetrahydro-7h-1,4-dioxino[2,3-e]indol-8-one for the treatment of brain dopamine dysregulation

Stack, Gary Paul
American Home Products Corporation, USA
PCT Int. Appl., 40 pp.
CODEN: PIXXD2 INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English

	PATENT NO.																
WO	9816	530			A1		1998	0423		WO	1997-	US18	275		1	9971	010
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										WO	1997-	0318	215	,	w 1	9971	010

OTHER SOURCE(S): MARPAT 128:308493

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The title compds. [I; X = H2, O; R1 = H, OH, halo, etc.; Z = II, III, IV (wherein R2 = H, C1-6 alkyl, C3-8 cycloalkyl, etc.; R3 = H and R4 = H, (un)substituted 1-benzimidazolyl-2-one, indolyl, etc.; R3R4 taken

together
with the carbon atom to which they are attached form V or VI; R5 = H and
R6 = (un)substituted Ph, naphthyl, thienyl, etc.; R5R6 taken together

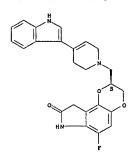
the carbon atoms to which they are attached complete a benzene ring optionally substituted with R1)] and their salts, useful for the treatment of brain dopamine dysregulation, especially schizophrenia or a schizoaffective

L14 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2007 ACS on STW (Continued) disorder, were prepd. Thus, reaction of (R)-2-(toluene-4-sulfonyloxymethyl)-2,3,8,9-tetrahydro-7H-1,4-dioxino(2,3-e]indol-8-one (prepn. described) with tetrahydroisoquinoline in DMSO afforded 821 (S)-1 [X = H2; R1 = H; Z = 3,4-dihydro-1H-isoquinolin-2-y1] which showed IC50 (X = H2; R1 = H; Z = 3,4-dinydro-lH-iaoquinolin-2-y1] which showed ICSO of 0.35 nM against the dopamine D2 receptor binding.

17 206335-42-2P 206355-44-4P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of azaheterocyclymethyl derivs. of 2,3,8,9-tetrahydro-7h-1,4-dioxino[2,3-e]indol-8-one for the treatment of brain dopamine dysregulation)

RN 206355-42-2 CAPLUS CN 8H-1,4-Dioxino[2,3-e]indol-8-one, 2-[(3,6-dihydro-4-(1H-indol-3-y1)-1(2H)-pyridinyl)methyl]-6-fluoro-2,3,7,9-tetrahydro-, (2S)- (CA INDEX NAME) of

#### Absolute stereochemistry.



206355-44-4 CAPLUS
BH-1,4-Dioxino[2,3-e]indol-8-one, 6-fluoro-2,3,7,9-tetrahydro-2-[{4-{lh-indol-3-yl}-1-piperidinyl]methyl}-, {2S}- (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

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NEWS 2 JUL 02 LMEDLINE coverage updated
NEWS 3 JUL 02 SCISEARCH enhanced with complete author names
NEWS 4 JUL 02 CHEMCATS accession numbers revised
NEWS 5 JUL 02 CA/CAplus enhanced with utility model patents from China
NEWS 6 JUL 16 CAplus enhanced with French and German abstracts
NEWS 7 JUL 18
                CA/CAplus patent coverage enhanced
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                USPATFULL/USPAT2 enhanced with IPC reclassification
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                USGENE now available on STN
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                 patents
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                 CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 13
NEWS 14 AUG 27
                 Full-text patent databases enhanced with predefined
                 patent family display formats from INPADOCDB
NEWS 15 AUG 27
                 USPATOLD now available on STN
                CAS REGISTRY enhanced with additional experimental
NEWS 16 AUG 28
                 spectral property data
NEWS 17
         SEP 07
                 STN AnaVist, Version 2.0, now available with Derwent
                 World Patents Index
NEWS 18
        SEP 13
                 FORIS renamed to SOFIS
NEWS 19
         SEP 13
                 INPADOCDB enhanced with monthly SDI frequency
NEWS 20
        SEP 17
                 CA/CAplus enhanced with printed CA page images from
                 1967-1998
NEWS 21
        SEP 17
                 CAplus coverage extended to include traditional medicine
                 patents
NEWS 22 SEP 24
                 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 23 OCT 02
                CA/CAplus enhanced with pre-1907 records from Chemisches
                 Zentralblatt
NEWS 24 OCT 19
                BEILSTEIN updated with new compounds
NEWS 25 NOV 15
                Derwent Indian patent publication number format enhanced
NEWS 26 NOV 19 WPIX enhanced with XML display format
NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,
              CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0jc(jp),
              AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.
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FULL ESTIMATED COST

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=> Uploading C:\Program Files\Stnexp\Queries\10-556,931c.str

chain nodes :
11 12
ring nodes :
1 2 3 4 5 6 7 8 9 10 15 16 17 18 19 20 21 22 23
chain bonds :
8-11 11-12
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 15-16 15-20 15-21 16-17
16-23 17-18 18-19 19-20 21-22 22-23
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 8-11 9-10 11-12 15-16 15-20
15-21 16-17 16-23 17-18 18-19 19-20 21-22 22-23

G1:C,N

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
22:Atom 23:Atom

## L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS L1 STR \*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam

=>

SAMPLE SEARCH INITIATED 17:06:55 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 317 TO ITERATE

100.0% PROCESSED 317 ITERATIONS SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 5272 TO 7408 PROJECTED ANSWERS: 257 TO 903

L2 29 SEA SSS SAM L1

Uploading C:\Program Files\Stnexp\Queries\10-556,931d.str



29 ANSWERS

chain nodes :

11 12

ring nodes :

1 2 3 4 5 6 7 8 9 10 15 16 17 18 19 20 21 22 23

chain bonds : 8-11 11-12 ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 15-16 15-20 15-21 16-17

16-23 17-18 18-19 19-20 21-22 22-23

exact/norm bonds :

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 5-7 \quad 6-10 \quad 7-8 \quad 8-9 \quad 8-11 \quad 9-10 \quad 11-12 \quad 15-16 \quad 15-20$ 

15-21 16-17 16-23 17-18 18-19 19-20 21-22 22-23

isolated ring systems : containing 1 : 15 :

G1:C,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom

STRUCTURE UPLOADED L3

=> d 13

L3 HAS NO ANSWERS

STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> s 13 sss sam

SAMPLE SEARCH INITIATED 17:09:13 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 317 TO ITERATE

100.0% PROCESSED 317 ITERATIONS 12 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 5272 TO 7408 PROJECTED ANSWERS: 33 TO 447

12 SEA SSS SAM L3

=> s l3 sss full

FULL SEARCH INITIATED 17:09:59 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 6781 TO ITERATE

100.0% PROCESSED 6781 ITERATIONS 293 ANSWERS

SEARCH TIME: 00.00.01

L5 293 SEA SSS FUL L3

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 174.80 175.01

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=> s 15 L6 26 L5 => s 14 L7 3 L4 => s 15 L8 26 L5

=> d ibib abs hitstr 1-YOU HAVE REQUESTED DATA FROM 26 ANSWERS - CONTINUE? Y/(N):y

L8 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2007:820627 CAPLUS COPYRIGHT 2007 ACS ON STN 147:181575 Heterocupits - 147:181575 Heterocupits - 147:181575 Heterocyclic compounds for inhibiting the

melanocortin

receptor MC2R

Tkachenko, S. E.; Okun, Ilya Matusovich; Rivkis, Skot Andre; Kravchenko, D. V.; Khvat, Alexander Viktorovich; Ivashchenko, A. V. Ivashchenko, Andrei Aleksandrovich, Russia; Chemdiv INVENTOR (S):

PATENT ASSIGNEE(S):

Inc. Russ., 118pp. CODEN: RUXXE7 Patent SOURCE: DOCUMENT TYPE:

LANGUAGE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATE	NT I	ю.			KIN	D	DATE			APPL	CAT	ION	NO.		Di	ATE	
						_									_		
RU 2	303	597			C1		2007	0727		RU 2	006-	1163	03		2	0060	512
WO 2	2007	1331	80		A1		2007	1122		WO 2	006-	RU52	8		21	0061	012
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	CU,	ÇZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
	GE, GH, G				HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,
	KR, KZ, 1			LA,	LC,	LK,	LR.	LS,	LT,	LU.	LV.	LY,	MA,	MD,	MG.	MK.	MN.
							NG,										
							SL,										
		UG,	US,	UZ,	vc,	VN,	ZA,	ZM.	ZW	-							
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	Hυ,	IE.
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR.	BF.	BJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN.	TD,	TG,	BW,	GH,
							NA,										
		KG,	KZ,	MD,	RU,	TJ,	TM					٠.					
PRIORITY	APP	LN.	INFO	.: `						RU 2	006-	1163	03		A 2	0060	512

GT

ANSWER 1 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (heterocyclic compds. for inhibiting the melanocortin receptor MC2R) 944465-94-5 CAPLUS 4-1soquinolinecarboxamide, N-{(2,3-dihydro-1,4-benzodioxin-2-y1)methyl}-1,2,3,4-tetrahydro-3-(1H-indol-3-y1)-2-methyl-1-0xo- (CA INDEX NAME)

944466-23-3 CAPLUS
4-Isoquinolinecarboxamide, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methyl]1,2,3,4-tetrahydro-3-(lH-indol-3-y1)-2-(2-methoxyethyl)-1-oxo- (CA INDE)
NAME)

ANSWER 1 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

The invention relates to pharmaceutical compns. possessing inhibitory effect with respect to MC2R-receptors, for preparing medicinal prepns. tablets, granules, capsules, suspensions, solns. or injections placed

into
pharmaceutically acceptable package for treating diseases associated with
oversecretion of ACTH. As active substance the composition comprises
azaheterocyclic compound of general formulas (I), (II) or (III),
wherein
R1 in II represents substituted alkyl, aryl, heteroaryl, heterocyclyl, or
R1 in II represents a substitute of amino-group chosen from hydrogen atom
or possibly substituted lower alkyl or lower acyl; each R2, R3 and R4
represents independently of one another a substitute of cyclic system
chosen from hydrogen atom, azaheterocycle, possibly substituted lower
alkyl, possibly substituted hydroxy-group, carboxy-group, cycloalkyl; or
R3 and R4 in common with carbon atoms to which they are bound form
azaheterocycle, or R1 in common with nitrogen atom to which it is bound,
and R3 and R4 in common with carbon atoms to which they are bound form
azaheterocycle through R1, R3 and R4. R18 and R19 represent
independently

independently
of one another substitutes of amino-group chosen from hydrogen atom or
lower alkyl substituted with exametercoycle as their racemates, optically
active isomers or their pharmaceutically acceptable salts and/or

hydrates:
R20 and R21 in common with nitrogen atom to which they are bound form
possibly substituted exameterocycle. Also, the invention relates to a
method for preparing a pharmaceutical composition and using compds. and

compns.
for preparing medicinal prepns. and for treatment or prophylaxis of

associated with enhanced activation of adrenocorticotropic hormone for compds. of general formulas I, II, and III, and for using compds. for exptl. investigations of indicated processes in vitro or in vivo also. 94465-94-5

L8 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2007:748781 CAPLUS DOCUMENT NUMBER: 147:166205

147:166205
Preparation of 1-oxo-3-(1H-indol-3-y1)-1,2,3,4tetrahydroisoquinolines, their combinatorial and focused libraries and their protein kinase inhibitory activities
Ivashchenko, Alexander Vasilevich; Kravchenko, D. V.;
Loseva, M. V.; Okun, Ilya Matusovich; Tkachenko, S. E.; Khvat, Alexander Viktorovich
Alla Chem, LLC, USA
Russ., 73pp.
CODEN: RUXXE7
Patent
Russian TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT	ю.			KIN	0	DATE			APPL	CAT	ION	NO.		D	ATE	
						-									-		
RU	2302	417			C1		2007	0710	1	RU 2	-900	1076	58		2	0060	314
WO	2007	1059	B 9		A2		2007	0920	1	NO 2	007-	RU11	6		2	0070	312
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR.	BW.	BY,	BZ.	CA,	CH,
		CN,	co,	CR,	CU.	CZ,	DE.	DK.	DM.	DZ.	EC.	EE.	EG.	ES.	FI.	GB,	GD
		GE,	GH,	GM.	GT.	HN,	HR,	HU,	ID,	IL,	IN,	IS.	JP,	KE,	KG.	KM.	KN
		KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,	MK,	MN
		MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS.
		SC,	SD,	SE,	SG,	SK,	SL,	SM,	sv,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA
		UG,	us,	UZ,	VC,	VN,	ZA,	ZM,	ZW								
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE
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		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW
		GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ
		BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM									
IORITY	APP	LN.	INFO	. :						RU 2	006-	1076	58	- 1	A 2	0060	314

OTHER SOURCE(S):

MARPAT 147:166205

ANSWER 2 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB 1-Oxo-3-(1H-indol-3-yl)-1,2,3,4-tetrahydroisoquinolines, including their cis and trans isomers (I: R1, R2, R4 = H, alkyl: R3 = alkyl, cycloalkyl, and alkyl optionally substituted by aryl, heteroaryl, heterocyclyl, alkoxy, amino, alkylamino, dialkylamino) and 4-carbamoyl-1-oxo-3-(1H-indol-3-yl)-1,2,3,4-tetrahydroisoquinolines [II: same R1-R4: R5, R6 = H, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkyl, and alkyl optionally substituted by aryl, heteroaryl, heterocyclyl, cycloalkyl, cycloalkyl, cycloalkyl, alkoxy, amino, alkylamino, dialkylamino, or arylalkylamino: or R5 and R6, together with N atom to which they are linked, form (un)substituted aza-heterocycle), useful as protein kinase inhibitors, are claimed. Compds. I are prepared by reaction of the corresponding indol-3-ylmethylamines with homophthalic anhydrides in an organic solvent.

Compds.

II were prepared by treating I with thionyl chloride or 1,1'carbonyldimidazole and then with amines R5R6NH (same R5, R6) in an
organic

organic

active and the match match and the Anchon (asked X.), No, in an activities and then activities and then activities. Thus, carbamoyl derivative III (preparation given as part of combinatorial library)
showed 79% inhibition of ABL kinase. Combinatorial and focused libraries are also provided to reveal leading compds.

IT 943931-72-4P 943934-78-9P 943936-28-5P
RI: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study);
PREP (Preparation); USES (Uses)
(preparation of 1-oxo-3-(1H-indol-3-yl)-1,2,3,4-tetrahydroisoquinolines,

ANSWER 2 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (3R, 4R)-rel- (CA INDEX NAME) (Continued)

Relative stereochemistry.

L8 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
their combinatorial and focused libraries and their ABL kinase
inhibitory activities)
RN 943931-72-4 CAPLUS
CN 4-Isoquinolinecarboxamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]1,2,3,4-tetrahydro-2-methyl-3-(1-methyl-1H-indol-3-yl)-1-oxo-,
(3R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.

943934-78-9 CAPLUS 4-Isoquinolinecarboxamide, N-[ $\{2,3-dihydro-1,4-benzodioxin-2-y1\}methy1$ ]- $\{2,3,4-tetrahydro-3-(1H-indol-3-y1)-2-\{2-methoxyethy1\}-1-oxo-, \{3R,4R\}-rel- (CA INDEX NAME)$ 

943936-28-5 CAPLUS 
4-Isoquinolinecarboxamide, N- $\{(2,3-dihydro-1,4-benzodioxin-2-y1\}methyl\}-1,2,3,4-tetrahydro-2-\{2-methoxyethyl\}-3-\{1-methyl-1H-indol-3-yl\}-1-oxo-,$ 

ANSWER 3 OF 26 CAPLUS COPYRIGHT 2007 ACS ON STN SSION NUMBER: 2007:13522 CAPLUS MENT NUMBER: 146:121816

ACCESSION NUMBER:

DOCUMENT NUMBER: TITLE:

146:121816
Preparation of sulfonylindoles as non-nucleoside HIV reverse transcriptase inhibitors for the treatment of HIV infection and AIDS Lindsley, Craig W.; Leister, William H.; Wolkenberg, Scott E. Merck & Co., Inc., USA PCT Int. Appl., 85pp., which CODEN: PIXXD2
Patent PIXXD2
Patent PIXXD2
Patent PIXXD2

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE;

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

								DATE APPLICATION NO.										
2007002481					-			٠.						-				
	0024	81		A2		2007	0104	1	WO 2	006-1	JS24	611		2	0060	623		
007	0024	81		A3		2007	1115											
w:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
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	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW											
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	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,		
	GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AH,	AZ,	BY,		
	KG,	KZ,	MD,	RU,	TJ,	TM,	AP,	EA,	EP,	OA								
APP	LN.	INFO	. :						US 2	005-	6947	44P	- 1	P 2	0050	628		
	W:	W: AE, CN, GE, KR, MW, SC, US, IS, CF, GM, KG,	W: AE, AG, CN, CO, GE, GH, KR, KZ, MW, MX, SC, SD, US, UZ, RW: AT, BE, IS, IT, CF, CG, GM, KE, KG, KZ,	W: AE, AG, AL, CN, CO, CR, GE, GH, GM, KR, KZ, LA, MW, MX, MZ, SC, SD, SE, US, UZ, VC, RW: AT, BE, BG, IS, IT, LT, CF, CG, CI, GM, KE, LS,	W: AE, AG, AL, AM, CN, CO, CR, CU, GE, GM, GM, HM, KR, KZ, LA, LC, MM, MX, MZ, NA, SC, SD, SE, SG, US, UZ, VC, VM, TS, TT, LT, LU, CF, CG, CI, CM, GM, KE, LS, MM, KG, KZ, MD, RU, CM, CM, KG, KZ, MD, RU, CM, CM, KG, KZ, MD, RU, CM, CM, CM, CM, CM, CM, CM, CM, CM, CM	W: AE, AG, AL, AM, AT, CN, CO, CR, CU, C2, GE, GH, GM, HN, HR, KR, KZ, LA, LC, LK, MW, MX, MZ, NA, NG, SC, SD, SE, SG, SK, US, UZ, VC, VN, CY, LS, LT, LT, LU, LV, CF, CG, CI, CM, GA, GM, KE, LS, MM, MZ, KG, KZ, MD, RU, TJ,	W: AE, AG, AL, AM, AT, AU, CN, CO, CR, CU, CZ, DE, GE, GH, GM, HN, HR, HU, KR, KZ, LA, LC, LK, LR, MM, MX, MZ, NA, NG, NT, SC, SD, SE, SG, SK, SL, US, UZ, VC, VN, ZA, ZM, RN: AT, BE, BG, CH, CY, CZ, LS, LT, LT, LU, LV, MC, CF, CG, C1, CM, GA, GN, KE, LS, MM, MZ, NA, KG, KZ, MD, RU, TJ, TM, KG, KZ, MD, RU, TJ, TM,	CN. 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OTHER SOURCE(S): MARPAT 146:121816

AB Title compds. I [wherein R1 = halo, CN, NO2, etc.; R2 = (un)substituted alkyl, haloalkyl, (hetero)aryl, etc.; R3 = H or alkyl; R4 = H, (un)substituted alkyl, (hetero)aryl, etc.; R5 = H or R1, with limitations] and their pharmaceutically acceptable salts were prepared as non-nucleoside

ANSWER 3 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) HIV reverse transcriptase inhibitors. For instance, successive substitution of 2,5-dichloro-3-(phenylsulfonyl)-1H-indole with hydraxine, treatment with Raney Ni, and acylation with cyclopropanecarbonyl chloride gave amide II. This product showed inhibition against HIV reverse transcriptase both in vitro and in vivo with IC50 values of less than 20 µM. It also showed inhibition of HIV replication with IC55 < 1 µM, and exhibited no cytotoxicity at its IC95 concn. Therefore, I and their pharmaceutical compns. are useful in the inhibition of HIV reverse transcriptase, the prophylaxis and treatment of infection by HIV and in the prophylaxis, delay in the onset, and treatment of AIDS.

\$18493-34-2P\$

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological)

918493-34-2P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPM (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Usea) (drug candidate; preparation of sulfonylindoles as non-nucleoside HIV reverse transcriptase inhibitors for treatment of HIV infection and

918493-34-2 CAPLUS 1,4-Benzodioxin-2-carboxamide, N-[5-chloro-3-(phenylsulfonyl)-1H-indol-2-yl]-2,3-dihydro- (CA INDEX NAME)

ANSWER 4 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

The invention relates to compds. of formula I, which are useful as inhibitors of protein kinases, particularly of JAK family and ROCK family kinases. The invention also provides pharmaceutically acceptable compns. comprising said compds. and methods of using the compns. in the treatment of various disease, conditions, or disorders. Compds. of formula I wherein Q is a (un)substituted (un)saturated 3 to 8-membered (hetero)monocyclic ring and (un)saturated 8- to 12-membered eroblicyclic

(hetero)bicyclic ring; Z is a bond, NH, Cl-3 alkylamine, and C=CH2; R1 and R2 are independently (un)substituted Cl-2 alkyl; R3 is H, Cn, NO2, (un)substituted Cl-6 aliphatic; and their pharmaceutically acceptable

thereof are claimed. Example compound II was prepared by cross-coupling

 $\begin{array}{lll} \hbox{4-bromo-1-tosy1-1H-$[2,3-b]$ pyridine with $3-$dimethylaminophenylboronic acid derivative $$Al1$$ the invention compds. were evaluated for their JAX and $$Al1$$ and $$Al2$$ a$ ROCK

kinase inhibitory activity. From the kinase inhibition assay, it was determined that compound II exhibited Ki values of less than 0.5  $\mu$ M

TARE JAM2, JAK3 and ROCK-I. 516172-58-29
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(drug candidate; preparation of pyrrolopyridines as inhibitors of protein

kinase useful in the treatment of various diseases)
916172-58-2 CAPLUS
2-Pyridinamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl)-6-(lH-pyrrolo[2,3-b]pyridin-4-yl)- (CA INDEX NAME)

Page 112

L8 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1252802 CAPLUS
DOCUMENT NUMBER: 146:27814 Pyrrolopyridines useful as inhibitors of protein
Kinase and their preparation, pharmaceutical
compositions, and use in the treatment of various
diseases

INVENTOR (S):

diseases
Ledeboer, Mark W.: Wannamaker, Marion W.: Farmer, Luc
J.: Wang, Tiansheng: Pierce, Albert C.:
Martinez-Botella, Gabriel: Bethiel, Randy S.: Bemis,
Guy W.: Wang, Jian: Salituro, Francesco G.: Arnost,
Michael J.: Come, Jon H.: Green, Jeremy: Stewart,
Michael H.: Marhefka, Craig
Vertox Pharmaceuticals Incorporated, USA

PATENT ASSIGNEE (S):

Vertex Pharmaceuticals PCT Int. Appl., 201pp. CODEN: PIXXD2 Patent English 1 SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

REFERENCE COUNT

FORMAT

PA	THAT	NO.			KIND DATE										D.	ATE	
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WO	2006	1275	87		A1		2006	1130	1	WO 2	006-	US 19	711		2	0060	522
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,
		KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
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		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	52,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM										
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US 2007135466 PRIORITY APPLN. INFO.: US 2006-438748 US 2005-683554P 20060522 P 20050520

ANSWER 4 OF 26 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)
RENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

OTHER SOURCE(S): MARPAT 146:27814

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L8 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2006:54368 CAPLUS
DOCUMENT NUMBER: 144:150635
TITLE: Preparation
                                                                            Preparation of amino acid amide derivatives as
                                                                           inhibitors of histone deacetylase
Chakravarty, Prasun K.; Colletti, Steven L.;
    INVENTOR (S):
    Ingenito,
                                                                           Raffaele; Jones, Philip; Meinke, Peter T.; Muraglia, Ester; Petrocchi, Alessia; Rowley, Michael;
                                                                          Rita: Steinkuhler, Christian
Istituto di Ricerche di Biologia Molecolare p
Angeletti S.p.A., Italy; Merck & Co. Inc.
PCT Int. Appl., 161 pp.
CODEN: PIXXD2
Patent
    Scarpelli,
                                                                                                                                                                                                                                                                    (IC50
    PATENT ASSIGNEE (S):
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    SOURCE:
    DOCUMENT TYPE:
      LANGUAGE:
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    FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
Absolute stereochemistry.
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                                                                                                                                US 2004-610707P
                                                                                                                                                                                                                                                                    REFERENCE COUNT:
                                                                                                                                WO 2005-GB2729
  OTHER SOURCE(S): MARPAT 144:150635

AB The invention relates to compds.

R1C(R2) 0-3MR3COCH(NR4-X-(CH2) 0-3R3) (CH2) 3-
6COR2 (X is CH2, CO, SO2, COMH, CO2, C(S) NH or CONHSO2; R1 is
(un) substituted carbalkoxy, amino groups, aryl, aryloxy, cycloalkyl, aryl
or heterocyclyl; R2 is H, (un) substituted alkyl, carbamoyl, CF3,
cycloalkyl, aryl or heterocyclyl; R3 is H, CF3, oxo, OH, CN, halo, amino
groups, (un) substituted carboxylic ester, acyl, sulfonyl groups, etc.; R4
is H or alkyl; R5 is H or together with R1(CH2)0-3N forms (un) substituted
                                                                                                                                                                                                                                                                    FORMAT
                  ANSWER 6 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
SSION NUMBER: 2005:1219890 CAPLUS
    ACCESSION NUMBER:
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     DOCUMENT NUMBER:
TITLE:
                                                                          143:460182
Preparation of pyrimidine derivatives for the treatment of abnormal cell growth Kath John Charles; Luzzio, Michael Joseph Pfizer Inc, USA
U.S. at. Appl. Publ., 68 pp.
CODEN: USAXCO
                                                                           143:460182
    INVENTOR (S):
    PATENT ASSIGNEE(S):
SOURCE:
    DOCUMENT TYPE:
                                                                            Patent
      LANGUAGE:
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    FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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                                                             Al 20051117 US 2005-127809
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Al 20051124 CA 2005-2566707
Al 20051124 WG 2005-181201
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US 2003-733215

WO 2005-IB1201

US 2005-127809

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P 20040514

A1 20031211

W 20050502

A3 20050512

REFERENCE COUNT:

FORMAT

L8 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) piperazinyl) that are inhibitors of histone deacetylase (HDAC) and are useful for treating cancer, neurodegenerative diseases, schizophrenia, stroke and other diseases. Thus, (2S)-2-[[(5-methoxy-2-methyl-1H-indol-3-y1)ectyl]aminol-8-oxo-N-[2-(2-phenyl-1H-indol-3-y1)ethyl]nonanamide was prepd. by a multistep sequence involving reactions of Me 8-oxononanoate, ethylenediol, (S)-(-)-4-benzyl-2-oxazolidinone, 2-(2-phenyl-1H-indol-3-y1)ethanaminium chloride, and 5-methoxy-2-methyl-3-indolylacetic acid. Compds. of the invention were found to have HDAC inhibitory activity (ICS) 0 < 30 µM). 874154-63-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (Uses)
(preparation of amino acid amide derivs. as inhibitors of histone deacetylase)
674154-63-9 CAPLUS
1,4-Benzodioxin-2-carboxamide,
dihydro-N-(151>7-0xo-1-[[[2-(2-phenyl1H-indo1-3-yl)ethyl]amino]carbonyl]octyl]- (CA INDEX NAME) THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE ANSWER 6 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN R SOURCE(S): MARPAT 143:460182 (Continued) Title compds. I  $\{n=1-3; R1=H, OH, alkyl, etc.; R2=H, alk(en/yn)yl, cycloalkyl, etc. and R1 and R2 may be taken together with the atom to which they are attached to form a cyclic group; <math>R3=H, aryl,$  heteroaryl, etc.] are prepared For instance,  $\{R\}-5-\{[4-1]-Phenylethylamino\}-5-trifluoromethylpyrimidin-2-yl]amino]-1,3-dihydroindol-2-one is prepared$ 5-{(4-Chloro-5-trifluoromethylpyrimidin-2-yl)amino)-1,3-dihydroindol-2-one and (R)-(+)-1-phenethylamine. I are useful for the treatment of abnormal cell growth [no data].

IT 717907-07-8P, 5-[[4-{(2,3-Dihydrobenzo[1,4]dioxin-2ylmethyl)amino]-5-trifluoromethylpyrimidin-2-yl]amino]-1,3-dihydroindol-2one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of pyrimidine derivs. for treatment of abnormal cell (preparation of pyrimusing delated)
growth)
RN 717907-07-8 CAPLUS
CN 2H-Indol-2-one,
5-([4-[[2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]-5(trifluoromethyl)-2-pyrimidinyl]amino]-1,3-dihydro- (CA INDEX NAME)

THERE ARE 64 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L8 ANSWER 7 OF 26 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2005:1004705 CAPLUS
DOCUMENT NUMBER: 143:306169
Indole-2-carboxylic acid hydr:
INVENTOR(S): Bradley, Stuart Edward; Jeeva. 143:300169 Indole-2-carboxylic acid hydrazides Bradley, Stuart Edward; Jeevaratnam, Revathy Krulle, Thomas Martin; Procter, Martin James; Rowley, Robert John; Thomas, Gerard Hugh; Valdes, Ana Prosidion Limited, UK PCT Int. Appl., 27 pp. CODEN: PIXXD2 Perpetua; PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE W0 2005085194 A2 20050915 W0 2005-GB872 20050308
W0 2005085194 A3 20060105
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CM, CO, CR, CU, Cz, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MC, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BB, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1768957

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU
JP 2007527903

T 20071674 ZW JP 2007527903 20071004 JP 2007-502386 US 2004-551255P 20050308 PRIORITY APPLN. INFO.: WO 2005-GB872 W 20050308 OTHER SOURCE(S): CASREACT 143:306169; MARPAT 143:306169 \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \* Compds. of formula I [wherein Y = -C(0)-. -S(0)2-, or -C(NH)-; Z = C1-4alkylene, O, -(CH2)mO-, -O(CH2)m, etc. (m = 1-4); R1, R2 = independently halogen, hydroxym cyano, etc.; R3 = CO-4alkyl, C1-4alkyvyC1-3alkyl-, hydroxyC1-4alkyl, etc.; R4 = H, -COCO-4alkyl C1-4alkyl, etc.] or pharmaceutically acceptable salts thereof, were ared

L8 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2005:86370 CAPLUS
DOCUMENT NUMBER: 142:309195
TITLE: Studies box 1 142:309195
Studies towards the next generation of antidepressants. Part 4: Derivatives of 4-(5-fluoro-HH-indol-3-yl)cyclohexylamine with affinity for the serotonin transporter and the 5-HTIA receptor
Evrard, Deborah A.; Zhou, Ping; Yi, Soo Y.; Zhou,
Dahui; Smith, Deborah L.; Sullivan, Kelly M.; Hornby,
Geoffrey A.; Schechter, Lee E.; Andree, Terrance H.;
Mewshaw, Richard E.
Chemical and Screening Sciences, Myeth Research,
Princeton, NJ, 08543, USA
Bioorganic & Medicinal Chemistry Letters (2005),
15(4), 911-914
CODEN: BMCLES; ISSN: 0960-894X
Elevier B.V AUTHOR (S): CORPORATE SOURCE: SOURCE: PUBLISHER: DOCUMENT TYPE: Elsevier B.V. Journal English CASREACT 142:309195 LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:309195
AB Derivs. of the serotonin reuptake inhibitor 4-(5-fluoro-1H-indol-3-yl)cyclohexylamine, in which serotonin 1A (5-HTIA) receptor pharmacophoric
elements are incorporated, are reported. Analogs exhibiting affinity for both the serotonin transporter and the 5-HTIA receptor are described. Compds. containing 1-(4-indo)yl)piperazine and 2-(1H-indo)-4-yloxyl-ethylamine are promising leads for further SAR studies.

17 84072-02-69 84072-03-79 RL: PAC (Pharmacological activity); SPN (Synthatic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (Studies towards the next generation of antidepressants, derivs. of cyclohexylamine with affinity for the serotonin transporter) 88072-02-6 CAPLUS

prepared
as inhibitors of glycogen phosphorylase. Thus, a solution of

Relative stereochemistry.

848072-03-7 CAPLUS 1,4-Benzodioxin-2-methanamine, N-{trans-4-(5-fluoro-1H-indol-3-yl)cyclohexyl)-2,3-dihydro- (CA INDEX NAME)

848072-02-6 CAPLUS
1,4-Benzodioxin-2-methanamine, N-[cis-4-(5-fluoro-1H-indol-3-yl)cyclohexyl]-2,3-dihydro- (CA INDEX NAME)

Relative stereochemistry.

Page 114

ANSWER 7 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 5-chloro-1H-indole-2-carboxylic acid hydrazide (II) in 1,4-dioxane was treated with phenylmethanesulfonyl chloride and DIPEA for 16H at room temp. to provide 5-chloro-1H-indole-2-carboxylic acid N'-(phenylmethanesulfonyl) hydrazide (III). Compds. of formula I are useful in the prophylactic or therapeutic treatment of diabetes, hyperglycemia, hypercholesterolemia, hyperinsulinemia, hyperlipidemia, hypertension, atherosclerosis or tissue ischemia, e.g. myocardial ischemia, or as cardioprotectants or inhibitors of abnormal cell growth.
864658-90-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of indole-2-carboxylic acid hydrazides as inhibitors of

preparation of indole=Zearpoxylic - quality of the season of the season

ANSWER 8 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 33 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L8 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1059361 CAPLUS
DOCUMENT NUMBER: 142:38264
TITLE: Preparation of indole derivatives with an improved antipsychotic activity.
INVENTOR(S): Battolome-Mebreda, Jose Manuel; Andrea-Gil, Jose Ignacio Janssen Pharmaceutica N.V., Belg. PCT Int. Appl., 43 pp. CODEN: PIXXD2 PATENT ASSIGNEE(S): DOCUMENT TYPE: Patent English 2 LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. WO 2004106346 WO 2004106298 A1 20041209 WO 2003-EP305789 20030530 WO 2004106298 A1 20041209 WO 2004 DELTA WAY BY US
RW: AT, BE, BG, CH, CY, C2, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IT, LU, MC, NL, PT, RG, SR, SI, SY, TR
AU 2004242802 A1 20041209 CA 2004-242802 20040526
CA 2325282 A1 20041209 CA 2004-2525282 20040526
EP 1636239 A1 20060322 EP 2004-741649 20040526
EP 1636239 B1 20070718
CH DR. DK. ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, C2, EE, HU, PL, SK, JP 2006528957 US 2007066608 PRIORITY APPLN. INFO.: JP 2006-530219 US 2005-556931 WO 2003-EP5789 20061228 20070322 20040526 20051116 A 20030530 WO 2003-EP305789 A 20030530 WO 2004-EP50922 W 20040526 OTHER SOURCE(S): MARPAT 142:38264

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

ANSWER 9 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CRN 805230-20-0 CMF C19 H20 F N3 O2

2

REFERENCE COUNT: THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 9 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB The present invention relates to a novel indole derivs. I [al:a2a3:a4 = M:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHR:CH, CH:CHCH:N, Z1Z2 = OCH2O, O(CH2)2O, S(CH2)2O, etc.; x = CR6, N; R1-R4, R6 = H, halo, CN, etc.; p = O-3; R5 = H, alkyl: Y = NR8(CH2)n, II, III, etc.; m = O-1; n = O-6; R8 = H, halo, alkyl, etc.; with the proviso] and their pharmaceutically acceptable acid or base addition salts that exhibit a binding affinity towards dopamine receptors, in particular towards dopamine D2, D3 and D4 receptors, with selective serotonin reuptake inhibition properties and acting as 5-HTlA agonists or partial agonists. E.g., a multi-step synthesis of IV, starting from 2-chloro-3-pyridinamine, which showed pICSO of 6.7 and 7.1 against D2 and D3 receptor binding, resp., was given. The invention as a celates to pharmaceutical compns. comprising the compds. I, the use thereof for the prevention and/or treatment of a range of psychiatric and neurol. disorders, in particular activation are production and processes for their production

IT 805232-67-IP 805232-71-7P

RL: PROC (Pharmacological activaty); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)

(Preparation of indole derivs. with an improved antipsychotic activaty); RN 805232-67-I CAPLUS

CN 1,4-Dioxino[2,3-c]pyridine-3-methanamine, N-[3-(5-fluoro-H-indol-3-y))propypl-2,3-dihydro-, ethanedicate (9CI) (CA INDEX NAME)

/Aty)
805232-67-1 CAPLUS
1,4-Dioxino[2,3-c]pyridine-3-methanamine, N-[3-{5-fluoro-1H-indol-3-yl)propyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

805230-16-4 C19 H20 F N3 O2

2

144-62-7 C2 H2 O4

он |-|-

805232-71-7 CAPLUS
1,4-Dioxino(2,3-b)pyridine-3-methanamine, N-[3-(5-fluoro-lH-indol-3-yl)propyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

L8 ANSWER 10 OF 26 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2004:1059319 CAPLUS COPUMENT NUMBER: 142:38263

DOCUMENT NUMBER: TITLE:

Preparation of indole derivatives with an improved antipsychotic activity
Bartolome-Nebreda, Jose Manuel; Andres-Gil, Jose

INVENTOR (S): Ignacio

Janssen Pharmaceutica N.V., Belg. PCT Int. Appl., 40 pp. CODEN: PIXXD2 Patent PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE : English 2

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

		INFOR																
												LICAT						
	WO			98		A1		2004	1209		WO :	2003-	EP57	89		2	0030	530
		W:																
		RW:										, ES,		FR,	GB,	GR,	ΗU,	ıε,
			IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK	, TR						
	ΑU	2004	2428	02		A1		2004	1209		AU :	2004-	2428	02		2	0040	526
	CA	2525	282			A1		2004	1209		CA :	2004- 2004-	2525	282		2	0040	526
	WO	2004	1063	46		A1		2004	1209		WO :	2004-	EP50	922		2	0040	526
		W:										, BG,						
			CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ	, EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS.	, JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG	, MK,	MN,	MW,	MX,	MZ,	NA,	NI,
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU	, sc,	SD,	SE,	SG,	SK,	SL,	SY,
			TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US.	, UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD	, SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
			AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT.	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT.	LU,	MC,	NL,	PL,	PT.	RO,	SE.
			SI,	SK,	TR,	BF,	BJ,	CF,	CG,	CI,	CH	GA,	GN,	GQ.	GW,	ML,	MR,	NE.
			SN,	TD,	TG													
	EP	1636	239			Al		2006	0322		EP :	2004-	7416	49		2	0040	526
	EP	1636	239			В1		2007	0718									
		R:	AT,	BE,	CH,	DE,	DK,	ES.	FR.	GB,	GR	IT,	LI.	LU.	NL.	SE.	MC.	PT.
												TR,						
HR																		
	JP	2006	5289	57		T		2006	1228		JP :	2006- 2004- 2005-	5302	19		2	0040	526
	AT	3673	92			т		2007	0815		AT :	2004-	7416	49		2	0040	526
	US	2007	0666	08		A1		2007	0322		US	2005-	5569	31		2	0051	116
PRIC	OR IT	APP	LN.	INFO	.:						WO :	2003-	EP30	5789		A 2	0030	530
											WO :	2003-	EP57	89		A 2	0030	530
											wo :	2004-	EP50	922		W 2	0040	526

OTHER SOURCE(S): MARPAT 142:38263

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT

The present invention relates to a novel indole derivs. I [al:a2a3:a4 = N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:N: 2122 = 0CH20, 0(CH2)20, 3(CH2)20, etc.; X = CR6, N; R1-R4, R6 = H, halo, CN, etc.; p = 0-3; R5 = 0

Answer 10 of 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) H, alkyl; Y = NR8(CH2)n, II, III, etc.; m = 0-1; n = 0-6; R8 = H, halo, alkyl, etc.; with the provisol and their pharmaceutically acceptable acid or base addn. salts that exhibit a binding affinity towards dopamine receptors, in particular towards dopamine D2, D3 and D4 receptors, with selective serotonin reuptake inhibition properties and acting as 5-HT1A agonists or partial agonists. E.g., a multi-step synthesis of IV, starting from 2-chloro-3-pyridinamine, which showed p1c50 of 6.7 and 7.1 against D2 and D3 receptor binding, resp., was given. The invention also relates to pharmaceutical compns. comprising the compds. I, the use thereof for the prevention and/or treatment of a range of psychiatric and neurol. disorders, in particular certain psychotic disorders, most in particular schizophrenia and processes for their prodn. 805230-20-0P

(preparation of indole derivs, with an improved antipsychotic

activity)
RN 805230-16-4 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine-3-methanamine, N-{3-(5-fluoro-1H-indol-3-y1)propyl]-2,3-dihydro- (CA INDEX NAME)

805230-20-0 CAPLUS

1,4-Dioxino[2,3-b]pyridine-3-methanamine, N-[3-(5-fluoro-1H-indol-3-yl)propyl]-2,3-dihydro- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 11 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Pyrimidine derivs. I (Rl = H, OH, alkyl, cycloalkyl, amino, etc.; R2 = H, alkyl, alkenyl, alkynyl, cycloalkyl, et.; R3 = H, aryl, heteroaryl, etc.; R4 = H, alkyl, cycloalkyl, heterocycyl, etc.; n = 1, 2, 3), useful for treatment of abnormal cell growth, such as cancer, are prepared Thus, reaction of 5-(4-chloro-5-trifluoromethylpyrimidin-2-ylamino)-1,3-dihydroindol-2-one with (R)-(+)-u-phenethylamine in DCE/t-BuOH in the presence of diisopropylethylamic at 80° for 16 h gave 118 5-(4-(R-1-phenylethylamino)-5-trifluoromethylpyrimidin-2-ylamino]-1,3-dihydroindol-2-one dihydroindol-2-one. 717907-07-8P

717907-07-09
RI: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine derivs, for treatment of abnormal cell

growth) RN 717907-07-8 CAPLUS

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L8 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2004:546498 CAPLUS DOCUMENT NUMBER: 141:106485 TITLE: Preparation Preparation of pyrimidine derivatives for treatment abnormal cell growth Kath, John Charles; Luzzio, Michael Joseph Pfizer Products Inc., USA PCT Int. Appl., 110 pp. CODEN: PIXXD2 INVENTOR (5): PATENT ASSIGNEE (S): SOURCE: DOCUMENT TYPE: Patent English 3 LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: ENT NO. KIND DATE APPLICATION NO. DATE

2004056807 Al 20040708 W0 2003-185883 20031208
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, CH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, FK, KZ, LC, LK, LR, LS, LT, LU, LV, MA, HD, MG, MK, NM, MM, MX, HZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: SM, GM, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH, AT, BE, BG, CH, CY, CZ, DE, DK, EE, SE, FI, FR, GB, GR, HU, IE, IT, LU, NC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, PATENT NO. WO 2004056807 TG CA 2529611 AU 2003285614 EP 1625121 A1 A1 A1 20040708 20040714 20060215 CA 2003-2529611 AU 2003-285614 EP 2003-778613 20031208 20031208 R: AT, BE, CH, DE, IE, SI, LT, LV, US 2005009853 A1 DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK 20050113 US 2003-733215 20031211 A1 B2 A1 C2 A A US 7109335 NL 1025067 20060919 20040622 20050215 NL 2003-1025067 20031218 NL 1025067 NZ 2004-543719 MX 2006-PA2608 IN 2006-DN1255 NO 2006-1533 US 2006-506689 US 2002-435670P NZ 543719 20070126 20041208 NZ 543719 MX 2006PA02608 IN 2006DN01255 NO 2006001533 US 2006281774 20041208 20060306 20060308 20060404 20060817 PRIORITY APPLN. INFO.: P 20021220 US 2003-500742P P 20030905 WO 2003-IB5883 W 20031208 US 2003-733215 A1 20031211

MARPAT 141:106485 OTHER SOURCE(S):

L8 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2004:252509 CAPLUS DOCUMENT NUMBER: 140:287394

TITLE:

Preparation of antidepressant cycloalkylamine derivatives of 2,3-dihydro-1,4-benzodioxane Evrard, Deborah Ann; Shah, Uresh Shantilal; Stack, Gary Paul INVENTOR (S):

Gary Paul Wyeth, John, and Brother Ltd., USA PCT Int. Appl., 39 pp. CODEN: PIXXD2 PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: Patent

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE 20040325 WO 2004024723 WO 2003-US28296 20030911 A1 RW: US 2004127543 US 7041697 CA 2498010 2498010 A1 20040325 CA 2003-2498010 20030911 1337103 A1 20050608 EP 2003-267082 20030911 1337103 A1 20050608 EP 2003-749557 20030911 1337103 A1 20050608 EP 2003-749557 20030911 150030012 EF, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK, COMBON AU 2003267082 EP 1537103 BR 2003014280 CN 1681807 JP 2006503037 MX 2005PA02740 US 2006148881 US 2006160881 US 2002-410169P PRIORITY APPLN. INFO.: P 20020912 US 2003-659193 A 20030910 WO 2003-US28296 W 20030911

OTHER SOURCE(S): MARPAT 140:287394 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

The title compds. (I; R11, R1, R2 = H, halo, CN, carboxamido, etc.; R3 = H, alkyl; m=1-3; n=1-2; p=0-3 (with the proviso that when p=0, both m and n may not be 2): Q=11-1V (R4-R7 = H, halo, CN, etc.; X =

o, S; R8 = H, alkyl)]; useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, peneralized anxiety disorder, obseity, eating disorders such as ancrexia nervosa and bulimia nervosa, vasomotor flushing, occaine and alc. addiction, sexual dysfunction and related illnesses, were prepared Thus, reacting ([2R)-8-methoxy-2,3-dihydro-1,4-benzodioxin-2-y]]methyl 4-methylbenzeneaulfonate with cis-3-(5-fluoro-1H-indol-3-y1)cyclopentylamine (preparation given) in DMSO afforded 488
N-[(cis)-3-(5-fluoro-1H-indol-3-y1)cyclopentyl)-N-([(2S)-8-methoxy-2,3-dihydro-1,4-benzodioxin-2-y1]methyllamins. The latter was separated two NR8. into

dihydro-1,4-benzodioxin-2-yl]methyl]minm. The latter was separated two diastereoisomers and biol. data (5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors westested) were given for the mixture and both separated isomers. The pharmaceutical composition comprising the compound I is claimed. 675831-47-79 675831-51-49-675831-53-49-675831-55-9 675831-51-49-675831-55-79-675831-55-96-675831-56-69-675831-55-99-675831-56-98-675831-56-98-675831-55-99-675831-55-99-675831-56-98-675831-56-98-675831-55-99-675831-56-98-675831-56-98-675831-55-99-675831-55-99-675831-56-98-675831-55-99-675831-55-99-675831-56-98-675831-55-99-675831-55-99-675831-56-98-675831-55-99-675831 IT 675831-76-2P RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (preparation of antidepressant cycloalkylamine derivs. of 2,3-dihydro-1,4-benzodioxane)

ANSWER 12 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) yllcyclopentyll-2,3-dihydro-8-methoxy-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

675831-51-3 CAPLUS 1,4-Benzodioxin-2-methanamine, N-[{1R,3R}-3-{5-fluoro-1H-indol-3-yllogclopentyl]-2,3-dihydro-8-methoxy-, (28)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

675831-52-4 CAPLUS 1,4-Benzodioxin-2-methanamine, N-[(15,3s)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, (28)- (CA INDEX NAME)

Absolute stereochemistry.

675831-53-5 CAPLUS
1,4-Benzodioxin-2-methanamine, N-[(1R,3R)-3-(5-fluoro-1H-indol-3-yi)cyclopentyl]-2,3-dihydro-8-methoxy-, hydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

(Continued)

ANSWER 12 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued: 675831-47-7 CAPLUS 1,4-Benzodioxin-2-methanamine, N-{(1S,3R)-3-(5-fluoro-1H-indol-3-y1)cyclopenty1}-2,3-dihydro-8-methoxy-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

675831-48-8 CAPLUS

675831-48-8 CAPLUS 1,4-Benzodioxin-2-methanamine, N-{(1R,3S)-3-{5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

675831-49-9 CAPLUS

1,4-Benzodioxin-2-methanamine, N-{(1s,3R)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, monohydrochloride, (2s)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

● HC1

675831-50-2 CAPLUS

1,4-Benzodioxin-2-methanamine, N-[(1R,3S)-3-(5-fluoro-1H-indol-3-

ANSWER 12 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

675831-54-6 CAPLUS
1,4-Benzodioxin-2-methanamine, N-[(1S,3S]-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, (2S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CRN 675831-52-4 CMF C23 H25 F N2 O3

Absolute stereochemistry.

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

но₂с СО₂Н

675831-55-7 CAPLUS
1,4-Benzodioxin-2-methanamine, N-[3-[5-fluoro-1-methyl-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, monohydrochloride, (2S)- [9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 12 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

675831-56-8 CAPLUS
1,4-Benzodioxin-2-methanamine, 8-ethoxy-N-[3-(5-fluoro-1H-indol-3-y1)cyclopentyl]-2,3-dihydro-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

675831-57-9 CAPLUS
1H-Indole-5-carbonitrile, 3-{3-{(((2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]amino]cyclopentyl}- (CA INDEX NAME)

Absolute stereochemistry.

675831-58-0 CAPLUS 1H-Indole-5-carbonitrile, 3-[3-[{[(2S)-2,3-dihydro-8-methoxy-1,4-

ANSWER 12 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN

675831-75-1 CAPLUS
1,4-Benzodioxin-2-methanamine, N-[3-(5-fluoro-1-methyl-1H-indol-3-yl)cyclopentyl)-2,3-dihydro-8-methoxy-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

675831-76-2 CAPLUS
1,4-Benzodioxin-2-methanamine, 8-ethoxy-N-[3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

FORMAT

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 12 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) benzodioxin-2-y1]methyl]aminolcyclopentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

675831-59-1 CAPLUS
1H-Indole-5-carbonitrile, 3-[3-[[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]amino]cyclopentyl]-1-methyl- (CA INDEX NAME)

Absolute stereochemistry.

675831-60-4 CAPLUS
1H-Indole-5-carbonitrile, 3-[3-[{[(2S}-2,3-dihydro-0-methoxy-1,4-benzodioxin-2-yl]methyl]amino]cyclopentyl}-1-methyl-, monohydrochloride
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2004:142899 CAPLUS
DOCUMENT NUMBER: 140:181323
TITLE: Preparation of indolesulfonamic

Preparation of indolesulfonamides as tyrosine kinase inhibitors, in particular insulin-like growth factor

receptor (IGF-IR) inhibitors
Dinsmore, Christopher J.; Beshore, Douglas C.;
Bergman, Jeffrey M., Lindsley, Craig W.
Merck & Co., Inc., USA
PCT Int. Appl., 191 pp.
CODEN: PIXXD2
Patent
English
1 INVENTOR (S):

PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND I	DATE	APPLICATION NO.	DATE
WO 2004014300	A2 :	20040219	WO 2003-US24393	20030805
WO 2004014300	A3 :	20040422		
W: AE, AG, A	L, AM, AT,	AU, AZ, BA,	BB, BG, BR, BY,	BZ, CA, CH, CN,
CO, CR, C	U, CZ, DE,	DK, DM, DZ,	EC, EE, ES, FI,	GB, GD, GE, GH,
			KE, KG, KR, KZ,	
LT. LU. I	V. MA. MD.	MG. MK. MN.	MW, MX, MZ, NI,	NO. NZ. OM. PG.
			SG, SK, SL, SY,	
			YU, ZA, ZM, ZW	,,,
			SZ, TZ, UG, ZM,	ZW. AM. AZ. BY.
			BG, CH, CY, CZ,	
			MC, NL, PT, RO,	
			GO, GW, ML, MR,	
CA 2493575				
AU 2003257170				
EP 1534268				
			GR, IT, LI, LU,	
			AL. TR. BG. CZ.	
JP 2006504668				
US 2006128783				
RIORITY APPLN. INFO.:			US 2002-402482P	P 20020809
			WO 2003-US24393	W 20030805

OTHER SOURCE(S): CASREACT 140:181323; MARPAT 140:181323 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

$$(CR^{17}2)m - Y$$

$$(R^{3})q$$

$$(CR^{17}2)m - Z$$

$$(CR^{17}2)m - Z$$

$$(R^{3})q$$

$$0$$

$$0$$

$$0$$

$$0$$

$$1$$

Title compds. I (wherein Rla, Rlb = independently H, OH and derivs., NH2 and derivs., (un)substituted cyclo/alkyl, aryl, hetercoyclyl: R2 = H, OH and derivs., NH2 and derivs., (un)substituted cyclo/alkyl, aryl: R3 = H, halo, (CH2)pOH and derivs., CO2H and derivs., CH:CH2 and derivs., NH2 (CH2)pNH2 and derivs., NHCHO and derivs., NHS(O)oR4, S(O)oR4, S(O)oNH2

derivs., CN, (CH2)pNH(CH2)pH and derivs., etc.; R4 = {un}substituted cyclo/alkyl, aryl, heterocyclyl; m = 0-6; n = 0-6; q = 0-4; p = 0-6; o = 0-2; and their pharmaceutically acceptable salts, hydrates and stereoisomers] were prepared for inhibiting, modulating and/or regulating signal transduction of both receptor-type and non-receptor type tyrosine kinases. For example, I was prepared in 5 steps via substitution of benzeneaulfonyl chloride with Et 5-chloro-IH-indole-2-carboxylate, sulfonation with concentrated H2SO4 in DCM, chlorination with oxalyl ride in

sulfonation with concentrated H2SQ4 in DCM, chlorination with oxalyl chloride in the presence of DCM/DMF, substitution with methylamine hydrochloride in the presence of TEA/DCM, and one-pot amidation with NH3/phenylaulfonyl group deprotection in i-PrOH. I inhibited insulin-like growth factor l receptor [IGF-IR] or Insulin receptor kinase with an ICSO ≤ 100 μM. Thus, I and their formulations are useful for treating cancer, diabetes, an autoimmune disorder, a hyperproliferative disorder, aging, acromagaly, and Crohn's disease.

IT 660414-09-5P, 5-Chloro-3-[[[1-[2,3-dihydro-1,4-benzodioxin-2-yllethyl]amino]sulfonyl]-IH-indole-2-carboxamide 660414-00-0P, 5-Chloro-3-[[[2,3-dihydro-1,4-benzodioxin-2-ylnethyl]amino]sulfonyl]-IH-indole-2-carboxamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

ANSWER 13 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN LB (Continued) (Uses) {IGF-1R inhibitor: prepn. of indolesulfonamides as tyrosine kinase

inhibitors)
660414-09-5 CAPLUS
HE-Indole-2-carboxamide, 5-chloro-3-[[[1-(2,3-dihydro-1,4-benzodioxin-2-yl)ethyl]amino)sulfonyl]- (CA INDEX NAME)

660414-20-0 CAPLUS
1H-Indole-2-carboxamide, 5-chloro-3-[[{(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino|sulfonyl]- (CA INDEX NAME)

DOCUMENT NUMBER: TITLE:

ANSWER 14 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN

SSION NUMBER: 2003:877309 CAPLUS
140:138730

IOR(\$): 140:138730

IOR(\$): 410:138730

IOR(\$): 410 AUTHOR (S):

John CORPORATE SOURCE: Cubist Pharmaceuticals Inc., Lexington, MA, 02421,

USA SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(23), 4187-4191 COODEN: BMCLE8; ISSN: 0960-894X Elsevier Science B.V.

PUBLISHER: DOCUMENT TYPE: LANGUAGE: Journal English

N-Acylated ornithine analogs of daptomycin were synthesized and tested AB for

IT

their antibacterial efficacy. 345643-51-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uaes)
(synthesis and structure-activity of N-Acylated ornithine analogs of daptomycin as antibacterial agents)
345643-51-8 CAPLUS
Daptomycin, 6-[N5-[(2,3-dihydro-1,4-benzodioxin-2-yl)carbonyl]-Lornithine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

ANSWER 14 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-C

ANSWER 14 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 15 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Title compds. I (R1 = H, A, SO2A; A = alkyl, alkoxyalkyl; D-E = R2C=CR4, R2R3C-CR4R5; R2, R3, R4, R5 = H, A, cycloalkyl, etc.; X1 = (CHR7)g, (CHR7)h-Q-(CHR8)k; Q = O, S, NR6, etc.; R6 = H, A, cycloalkyl; R7, R8,

= definition as given for R2-R5; g=1-6; h, k=0-6; p=0-3; E=H, A, cycloalkyl, etc.;  $G=\{un\}$ substituted alkylene; E and G together form  $\{un\}$ substituted mono or bicyclic heterocycle; XZ= definition as given

for

X1: Z = H, (un) substituted aromatic carbocyle) and their pharmaceutically acceptable salts and formulations were prepared For example,

N-alkylation

of 4-(4-fluorobenzyl) piperideine with methanesulfonic ester II, e.g., prepared from indole-4-carboxylic acid Me ester in 7-steps, afforded the hydrochloride salt of indole-3-carbontrile III after work-up. Compds. I are claimed useful as excitatory amino acid antagonists (no data provided)

and as 5-HT reuptake inhibitors.

IT 613569-64-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of indole-3-carbonitriles as excitatory

acid antagonists for the treatment of neurodegenerative diseases)
615569-64-7 CAPLUS
1H-Indole-3-carbonitrile, 5-[3-[[(2,3-dihydro-1,4-benzodioxin-2yl)methyl]amino[propyl]- (CA INDEX NAME)

Page 120

L8 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2003:837073 CAPLUS
DOCUMENT NUMBER: 139:337888
Preparation of indole-3-carbonitriles as excitatory amino acid antagonists for the treatment of neurodegenerative diseases
INVENTOR(S): Schadt, Oliver: Boettcher, Henning; Leibrock, Joachim:

INVENTOR(S): Joachim;

Schiemann, Kai; Heinrich, Timo; Hoelzemann, Guenter; Van Amsterdam, Christoph; Bartoszyk, Gerd; Seyfried, Christoph Merck Patent G.m.b.H., Germany PCT Int. Appl., 104 pp. CODEN: PIXXD2 Patent German 1

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2003087086	A2 20031023	WO 2003-EP3806	20030411
WO 2003087086	A3 20040722		
		BA, BB, BG, BR, BY, BZ,	CA. CH. CN.
		DZ, EC, EE, ES, FI, GB,	
		JP, KE, KG, KP, KR, KZ,	
		MK, MN, MW, MX, MZ, NO,	
		SG, SK, SL, TJ, TM, TN,	TR, TT, TZ,
	UZ, VC, VN, YU,		
RW: GH, GM, KE,	LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZM, ZW,	, AM, AZ, BY,
KG, KZ, MD,	RU, TJ, TM, AT,	BE, BG, CH, CY, CZ, DE,	DK, EE, ES,
FI, FR, GB,	GR, HU, IE, IT,	LU, MC, NL, PT, RO, SE,	, SI, SK, TR,
BF, BJ, CF,	CG, CI, CM, GA,	GN, GQ, GW, ML, MR, NE,	SN, TD, TG
DE 10217006	Al 20031106	DE 2002-10217006	20020416
		CA 2003-2482655	
		AU 2003-224064	
EP 1497279	A2 20050119	EP 2003-720455	20030411
		GB, GR, IT, LI, LU, NL,	
		CY, AL, TR, BG, CZ, EE,	
		JP 2003-584042	
		US 2004-511155	
PRIORITY APPLN. INFO.:		DE 2002-10217006	A 20020416
		WO 2003-EP3806	W 20030411

OTHER SOURCE(S): MARPAT 139:337888

L8 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L8 ANSWER 16 OF 26
ACCESSION NUMBER:
DOCUMENT NUMBER:
135:61359
Freparation of lipopeptides as antibacterial agents
First Hill, Jason: Parr, Ian: Morytko, Michael; Siedlecki,
Jim: Yu, Xiang Yang; Silverman, Jared; Keith, Dennis:
Finn, John: Christensen, Dale; Lazarova, Tavetelina;
Watson, Alan D.; Zhang, Yan
Cubist Pharmaceuticals, Inc., USA; et al.
PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DOCUMENT TYPE:
Patent

DOCUMENT TYPE:

English 1 LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2001044274 A1 20010521 W0 2000-US33205 20001215

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CR, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JY, KE, KG, KY, KR, KZ, LC, LK, IK, IS, LT, LL, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SI, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VV, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GM, GW, ML, MR, NE, SN, TD, TG

CA 2394350 A1 20010621 CA 2000-2394350 PR: AT, BE, CH, CY, LE, SI, LT, LV, KE, SK, FR, GB, GR, IE, LT, LU, MC, NL, PT, SE, TR, BF, BZ, COMOLÉST A2 2002027

EP 1246838 A1 20021009 RE 2000-991867 20001215

EP 2004067878 A1 20040408 US 2000-737908 20001215

US 2004067878 A1 20040408 US 2000-737908 20001215

AU 784812 B2 20060629 AU 2001-36457 20001215

AU 784812 B2 20060629 AU 2001-36357 2000215

AU 784812 B2 20060629 AU 2001-364763 2000215

AU 784812 B2 20060629 AU 2001-36357 2000215

AU 20020020887 A 20020813 MX 2002-PR6030 20020617

AZ 20020020887 A 2003081117 ZA 2002-5108 20020625

BRITY APPLN. INFO.: PATENT NO. PRIORITY APPLN. INFO.: US 2000-208222P P 20000530

OTHER SOURCE(S): MARPAT 135:61555

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Lipopeptides I [R is -N(B)(X)n-A; B is  $X^{+}RY$ , H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; RY is hydrido, alkyl,

WO 2000-US34205

W 20001215

ANSWER 16 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-C

ANSWER 16 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl; X, X'' are C:O, C:S, C:NH, C:NRX, S:O or SO2! n is 0 or 1; RX is alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy; A is H, NN2, NNRA, NRARB, heteroaryl, cycloalkyl, heterocyclyl (RA, RB are alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl (RA, RB are alkyl, alkenyl, alkynyl), aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxyl or when n is 0, then A is P(O) (OR50) RS1, P(O) RS2RS3, or P(O) (OR50) RS3, where R\$0-R\$3 are alkyl; alternatively B and A may form a 5-7 membered heterocyclic or heteroaryl ring; R1 is defined similarly to R (with provisos); R2 is CH2CR17R18-ring, where R17 and R18 are hydrido, halo, hydroxyl, alkoxy, emino, thio, suifinyl, sulfonyl, etc. or CR17R18 are CO, C(:3), oxime or hydrazone groupl were prepd. for use as antibacterials. Thus, treating daptomycin with 4-fluorobenzaldehyde and sodium triacetoxyborohydride in mty DMf for 24 h afforded I (R = NNCO|CG128 Mex, R1 = NNCR2CG44F-4, R2 = CH2COC6H4NN2-0), which showed MIC (S. Aureus) S l µg/mL. 345643-51-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

logical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of lipopeptides as antibacterial agents) 345643-51-8 CAPLUS Daptomycin, 6-[N5-[(2,3-dihydro-1,4-benzodioxin-2-y1)carbonyl]-L-ornithine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

ANSWER 16 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 2-B

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L8 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2007 ACS On STN ACCESSION NUMBER: 2000:707157 CAPLUS DOCUMENT NUMBER: 133:266860 Preparation of LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. DATE 20001005 PATENT NO. KIND W0 2000058301 A1 20001005 W0 2000-FR762 20000327
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, IK, LR, LS, LT, LU, LV, MA, MD, MG, MK, NN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, CG, CI, CM, GA, GN, GW, MI, MR, ME, SN, TD, TG
FR 2791675 A1 20010016 FR 1999-3936 19990330
FR 2791675 B1 20010504
FR 1999-3936 A 19990330 FR 2791675 PRIORITY APPLN. INFO.: FR 1999-3936 A 19990330

OTHER SOURCE(S):

AB Title compds. I (X = H, halo, cyano, Me, methoxy, phenylmethoxy group; R1 = H, Me; R2 = alkanoyl, phenylalkanoyl, methoxyacetyl, cycloalkylcarbonyl, optionally substituted benzoyl, N-phenylcarbamoyl, N-alkylcarbamoyl, N-(2-methoxyethyl)carbamoyl, alkoxycarbonyl, alkylsulfonyl, phenylsulfonyl group; or NR1R2 = 2-oxo-4,5-dihydrooxazolidin-3-yl) were prepared E.g., N-[4-[2-[[(5-fluoro-2,3-dihydro-1,4-benzodloxin-2-

MARPAT 133:266860

L8 ANSWER 18 OF 26
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:

CAPLUS COPYRIGHT 2007 ACS on STN
2000:513686 CAPLUS
133:120348
Preparation of piperidine, tetrahydropyridine and piperazine derivatives as aerotonin re-uptake inhibitors and 5-HTIA antagonists
HOLtzen, Ejner Knud: Krog-Jensen, Christian;
Bjornholm, Berith
H. Lundbeck A/S, Den.
PCT INT. Appl., 56 pp.
CODEN: PIXXD2
Patent

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

Patent English

	PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.			DATE	
																	20000	
																	, CR,	
																	. ID.	
																	LV,	
																	. SG.	
																	. ZW	
		RW:	GH.	GM,	KE.	LS.	MW.	SD.	SL.	SZ.	TZ.	UG.	ZW.	AT.	BE.	CH	, CY,	DE.
			DK,	ĖS,	FI,	FR,	GB.	GR,	IE.	IT.	LU.	MC.	NL.	PT.	SE.	BF	, BJ,	CF.
			~~	CI	CM	CA	CN	CU	MI	M	A127	CH	mn	mc				
	CA	2361	059	-		Al		2000	0727		CA 2	000-	2361	059			20000 20000	121
	EP	1149	087			Al		2001	1031		EP 2	-000	9014	81			20000	121
	EP	1149	087			B1		2004	0407									
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE	, MC,	PT,
			IE,	SI,	LT,	LV,	FI,	RO										
	BR	2000	0090	07		Α		2001	1127		BR 2	-000	9007				20000 20000 20000 20000 20000 20000 20010 20010	121
	TR	2001	0208	9		Т2		2002	0722		TR 2	001-	2089				20000	121
	HU	2001	0050	65		A2		2002	0729		<b>Ηυ 2</b>	001-	5065				20000	121
	JΡ	2002	5353	22		T		2002	1022		JP 2	000-	5947	98			20000	121
	ΑU	7673	77			B2		2003	1106		AU 2	000-	2278	1			20000	121
	ΑT	2637	63			T		2004	0415		AT 2	-000	9014	81			20000	121
	ZA	2001	0055	48		Α		2002	0705		ZA 2	001-	5548				20010	705
	US	2002	0351	13		A1		2002	0321		US 2	001-	9015	85			20010	709
	US	6596	722			В2		2003	0722									
	IN	2001	CNOD	981		А		2005	0304		IN 2	001-	CN98	1			20010	711
	MX	2001	PA07	226		A		2002	0424		MX 2	001-	PA72	26			20010	716
	NO	2001	0035	38		А		2001	0917		NO 2	001-	3538				20010	717
	BG	105/	81			Α.		2002	0531		BG 2	001-	1057	81			20010	803
	US	2002	1/35	12		AI		2002	1121		US 2	002-	1479	50			20020	516
10	KIT!	APP	LN.	INFO	. :						DK 1	999-	84		•	A	20010 20010 20010 20010 20010 20020 19990	122
										,	WO 2	000-	DK26		,	w :	20000	121
											US 2	001-	9015	85		A3 :	20010	709

OTHER SOURCE(S): MARPAT 133:120348 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) yllmethyl]amino]ethyl]benzamide was prepd. by reaction of (5-fluoro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl tosylate (prepn. given) and N-[4-[2-aminoethyl]phenyl]benzamide (prepn. given). Their affinities for D3, D2, and 5-HTIA receptors were detd. 298708-60-8P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of N-((aminophenyl)ethyl)dihydro-1,4-benzodioxin-2-methanamine deriva. and their affinity for D3, D2, and 5-HTIA receptors) RN 298708-60-8 CAPLUS CN 1,4-Benzodioxin-6-carbonitrile, 3-[[[2-(2,3-dihydro-2-oxo-1H-indol-5-yl)ethyl]amino]methyl]-2,3-dihydro- (CA INDEX NAME)

2

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 18 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

$$R^2$$
 $R^1$ 
 $R^{14}$ 
 $R^{15}$ 
 $R^{15}$ 
 $R^{16}$ 

The title compds. [I; B = alkylene, alkenylene, alkynylene; X = O, S, CR4RS, Y CR6R7, CR6R7CR8R9, CR6:CR7; X and Y together form a group CR4:CR5, CR4:CR5, CR4:CR5, CR = O, S; W = N, C, CH; the dotted line is an optional bond; R4-R9 = H, halo, CF3, etc.: A = II, III (wherein E1-E3 AB

S, N, etc.: provided that E2 and E1 and/or E3 may not simultaneously be ٥,

or S; R14-R17 = H, halo, CF3, etc.); R1-R3 = H, halo, CF3, etc.] and their

acid addition salts, useful for the treatment of affective disorders, such as

depression, psychosis, anxiety disorders including general anxiety disorder, panic disorder, obsessive compulsive disorder, and eatin disorders, were prepared Thus, reacting 4-(1-indenyl)butyl methanesulfonate

with 1-(1,4-benzodioxan-5-yl)piperazine in the presence of K2CO3 in 3-methyl-2-pentanone followed by conversion of the free base to its oxalete afforded IV.oxalate which showed ICS0 of 1.7 nM against 3H-5-CT

oxelate afforded IV.oxelate which showed IC50 of 1.7 nM against 3H-5-CT binding.

IT 28599-83-9P 28599-88-OP 285999-85-IP 28599-85-IP 28599-86-EP 28599-84-OP 285999-92-OP 285999-93-IP 285999-94-P 285999-95-3P 285999-94-P 285000-01-PP 2850000-01-PP 285000-01-PP 285000-01-PP 2850000-01-PP 2850000-01-PP 28

serotonin re-uptake inhibitors and 5-HTIA antagonists)
285999-83-9 CAPLUS
1,4-Benzodioxin-2-carboxamide, 5-{4-[2-(6-chloro-1H-indol-3-y1)ethy1}-1piperaziny1}-2,3-dihydro- (CA INDEX NAME)

L8 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CH2
CH2
CH2
CN2
CN2

RN 285999-84-0 CAPLUS
CN 1,4-Benzodioxin-2-carboxamide, 5-[4-[2-(6-chloro-lH-indol-3-yl)ethyl]-1-piperazinyl]-2,3-dihydro-N,N-dimethyl- (CA INDEX NAME)

HN C1
CH2
CH2
N
O
C-NMe2

RN 285999-85-1 CAPLUS
CN 1,4-Benzodioxin-2-amine, 5-[4-[2-(6-chloro-1H-indol-3-yl)ethyl]-1-piperazinyl]-2,3-dihydro- (CA INDEX NAME)

L8 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continue

HN C1

CH2

CH2

CH2

N

N

N

NMe2

RN 285999-92-0 CAPLUS
CN 1,4-Benzodioxin-2-carboxamide, 5-[1-[2-(6-chloro-1H-indol-3-yl)ethyl]1,2,3,6-tetrahydro-4-pyridinyl]-2,3-dihydro- (CA INDEX NAME)

HN C1
CH2
CH2
CH2
CH2
O
C-NH2

RN 285999-93-1 CAPLUS
CN 1,4-Benzodioxin-2-carboxamide, 5-[1-[2-(6-chloro-1H-indol-3-yl)ethyl]1,2,3,6-tetrahydro-4-pyridinyl]-2,3-dihydro-N,N-dimethyl- (CA INDEX

L8 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CH2 CH2 NN NH2

RN 285999-86-2 CAPLUS
CN Acetamide, N-{5-{4-{2-(6-chloro-1H-indol-3-yl)ethyl}-1-piperazinyl}-2,3-dihydro-1,4-benzodioxin-2-yl}- (CA INDEX NAME)

RN 285999-87-3 CAPLUS
CN 1,4-Benzodioxin-2-amine, 5-[4-[2-(6-chloro-lH-indol-3-yl)ethyl]-1piperazinyl}-2,3-dihydro-N,N-dimethyl- (CA INDEX NAME)

L8 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CH2
CH2
CH2
N
N
C-NMe2

RN 285999-94-2 CAPLUS
CN 1.4-Benzodioxin-2-amine, 5-[1-[2-(6-chloro-1H-indol-3-y1)ethyl]-1,2,3,6-tetrahydro-4-pyridinyl]-2,3-dihydro- (CA IMDEX NAME)

RN 285999-95-3 CAPLUS
CN Acetamide,
N-[5-[1-[2-(6-chloro-1H-indol-3-yl)ethyl]-1,2,3,6-tetrahydro-4pyridinyl]-2,3-dihydro-1,4-benzodioxin-2-yl)- (CA INDEX NAME)

L8 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 285999-96-4 CAPLUS
CN 1,4-Benzodioxin-2-amine, 5-[1-[2-(6-chloro-1H-indol-3-yl)ethyl]-1,2,3,6-tetrahydro-4-pyridinyl]-2,3-dihydro-N,N-dimethyl- (CA INDEX NAME)

. ,

RN 286000-01-9 CAPLUS
CN 1,4-Benzodioxin-2-carboxamide, 5-[1-[2-(6-chloro-lH-indol-3-yl)ethyl]-4piperidinyl]-2,3-dihydro- (CA INDEX NAME)

L8 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 286000-04-2 CAPLUS
CN Acetamide, N-[5-[1-[2-(6-chloro-1H-indol-3-yl)ethyl]-4-piperidinyl]-2,3-dihydro-1,4-benzodioxin-2-yl]- {CA INDEX NAME}

RN 286000-05-3 CAPLUS
CN 1,4-Benzodioxin-2-amine, 5-{1-[2-(6-chloro-lH-indol-3-yl)ethyl}-4-piperidinyl]-2,3-dihydro-N,N-dimethyl- (CA INDEX NAME)

L8 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 286000-02-0 CAPLUS
CN 1,4-Benzodioxin-2-carboxamide, 5-{1-[2-(6-chloro-1H-indol-3-y1)ethy1}-4-piperidiny1]-2,3-dihydro-N,N-dimethy1- (CA INDEX NAME)

RN 286000-03-1 CAPLUS CN 1,4-Benzodioxin-Z-amine, 5-[1-[2-(6-chloro-1H-indol-3-yl)ethyl]-4piperidinyl]-2,3-dihydro- (CA INDEX NAME)

L8 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L8 ANSMER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:300618 CAPLUS
TITLE: 129:4651
Preparation of indolealkyl derivatives of benzodioxanmethylamine as antidepressants and antipsychotic agents
INVENTOR(S): Kang, Young H.; Stack, Gary P.
PATENT ASSIGNEE(S): American Home Products Corporation, USA
U.S., 14 pp.
CODEN: USXXMM
PAtent

DOCUMENT TYPE: Patent English 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 5750724 PRIORITY APPLN. INFO.: 19961030 19980512 US 1996-739912 US 1996-739912 А

OTHER SOURCE(S): MARPAT 129:4651

The title compds. [1; R1, R4, R5 = H, alkyl, alkoxy, etc.; R1 is defined as above and R4R5 are ortho substituted methylenedioxy, ethylenedioxy, or propylenedioxy; R2, R3 = H, alkyl; n = 3-4] and their pharmaceutically acceptable salts, useful in the treatment of depression and related disorders, were prepared Thus, reaction of 3-indolepropionic acid with

ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191012-98-3 CAPLUS
1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]aminojpropyl}- (CA INDEX NAME)

191012-99-4 CAPLUS HH-Indole-3-propanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyl]-5-methoxy-N-methyl- (CA INDEX NAME)

191013-01-1 CAPLUS
IN-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

191013-02-2 CAPLUS
1,4-Benzodioxin-6-o1, 2,3-dihydro-3-[[[4-(5-methoxy-]H-indol-3-y]butyl]amino|methyl]- (CA INDEX NAME)

L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
2,3-dihydro-1,4-benzodioxin-2-methanamine.HCl in the presence of
1-hydroxybenzotriazole and 1,3-diisopropylcarbodiimide in DMF followed by
treatment of the resulting amide with LIALH4 in THF afforded the title
compd. II which showed 1CSD of 3.50 nM against D2 receptor binding and
1C50 of 3.77 nM against 5-HTIA receptor binding.
1T 15012-93-07 191012-95-17 191012-97-2P
151012-93-08 191012-95-4P 191013-01-1P
151013-02-2P 191013-03-3P 191013-04-4P
151013-03-2P 191013-05-9P 191013-10-2P
151013-08-8P 191013-05-9P 191013-10-2P
151013-13-13-P191013-13-5P 191013-14-6P
151013-13-7P 191013-15-PP
151013-23-7P 191013-21-5P 191013-22-6P
151013-23-7P 191013-22-5P 191013-23-PP
151013-29-3P 191013-30-PP 191013-17-P
151013-32-3P 191013-30-PP 191013-34-0P
151013-35-1P 191013-35-PP 191013-34-0P
151013-36-1P 191013-35-PP 191013-34-0P
151013-36-1P 191013-35-PP 191013-34-0P
151013-36-1P 191013-35-PP 191013-34-0P
151013-36-1P 191013-36-PP 191013-34-0-8P
151013-41-9P 191013-36-PP 191013-40-8P
151013-41-9P 191013-41-9P 191013-41-9P
RICE RAC (Biological activity or effector, except adverse); BSU
BIOLOgical
STUDY, Unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BTOL (Biological study); PRPP (Preparation); SPN (Synthetic preparation); PRU (Therapeutic use);
BTOL (Biological study); PREP (Preparation); PRU (Therapeutic use);

logical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of indolealkyl derivs. of benzodioxanmethylamine as antidepressants and antipsychotic agents) 191012-95-0 CAPLUS

1,4-Benzodioxin-6-ol, 2,3-dihydro-3-([[4-(1H-indol-3-yl)butyl]amino]methyl)- (CA INDEX NAME)

191012-96-1 CAPLUS HH-Indole-3-propanamine, N-{(2,3-dihydro-1,4-benzodioxin-2-yl)methyl}-5-(phenylmethoxy)- (CA INDEX NAME)

191012-97-2 CAPLUS
1H-Indol-5-ol, 3-[3-[{[2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]propyl]- (CA INDEX NAME)

ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS On STN (Contin 191013-03-3 CAPLUS Methaneaulfonamide, N-[2,3-dihydro-3-[[[4-(1H-indol-3-yl)butyl]amino|methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME) (Continued)

191013-04-4 CAPLUS
1H-Indole-3-propanamine, N-{(2,3-dihydro-1,4-benzodioxin-2-y1)methyl}-5-methoxy- (CA INDEX NAME)

191013-05-5 CAPLUS
1H-Indole-3-propanemine, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methy1]-5-fluoro- (CA INDEX NAME)

191013-06-6 CAPLUS Methaneaulfonanide, N-{2,3-dihydro-3-{{{4-(5-methoxy-1H-indol-3-yl)butyl]amino|methyl]-1,4-benzodloxin-6-yl]- (CA INDEX NAME)

191013-07-7 CAPLUS
1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]- (CA INDEX NAME)

ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CH2-NH- (CH2) 3

191013-08-8 CAPLUS 1H-Indole-3-butanamine, N-{{2,3-dihydro-1,4-benzodioxin-2-yl}methyl}-INDEX NAME)

CH2-NH- (CH2)4-

191013-09-9 CAPLUS
1H-Indole-3-propanamine, N-[{2,3-dihydro-1,4-benzodioxin-2-yl}methyl]-(CA INDEX NAME)

- CH2- NH- (CH2) 3

191013-10-2 CAPLUS
1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-y1]methyl]-5-fluoro- (CA INDEX NAME)

- CH2- NH- (CH2) 4-

191013-11-3 CAPLUS
1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl)-5-fluoro (CA INDEX NAME)

ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-19-1 CAPLUS
1H-Indole-3-butanamine, N-[[{2S}-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyll-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

(CH2) 4

● HCl

191013-20-4 CAPLUS
1,4-Benzodioxin-6-o1, 2,3-dihydro-3-[[[4-(1H-indol-3yl]butyl]amino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

CH2-NH- (CH2) 4

● HC1

191013-21-5 CAPLUS
1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

0- CH2- Ph

● HC1

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ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CH2-NH- (CH2)4

191013-13-5 CAPLUS
1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5fluoro-1-methyl- (CA INDEX NAME)

CH2-NH- (CH2) 4-

191013-14-6 CAPLUS
1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl)-5-methoxy- (CA INDEX NAME)

CH2-NH- (CH2) 4

191013-15-7 CAPLUS Methanesulfonamide, N-[2,3-dihydro-3-[([3-(1-methyl-1H-indol-3-yl)propyl)lamino|methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

CH2-NH- (CH2) 3

191013-18-0 CAPLUS
1H-Indole-3-butanamine, N-{{(2S}-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl}methyl)- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 191013-22-6 CAPLUS | 18-1013-22-6 CAPLUS | 18-1013-2-01, 3-13-[[(2,3-dihydro-1,4-benzodioxin-2-y]]methyl]amino[propyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 191012-97-2 CMF C20 H22 N2 O3

CH2-NH- (CH2) 3

CM 2

Double bond geometry as shown.

191013-23-7 CAPLUS
1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyllamino[propyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 191012-98-3 CMF C21 H24 N2 O4

CH2-NH- (CH2) 3

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

НО2С Е СО2Н

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ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN RN 191013-24-8 CAPLUS
CN 1H-Indole-3-propanamine, N-[{2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy-N-methyl-, (ZE)-2-butenedioate {2:1} (CA INDEX NAME) CM 1 CRN 191012-99-4 CMF C23 H28 N2 Q4 (CH<sub>2</sub>)<sub>3</sub> CM 2 CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown. HO2C E CO2H 191013-25-9 CAPLUS
1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]amino]propyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME) CM 1 CRN 191013-00-0 CMF C20 H22 N2 O4 - CH2- NH- (CH2) 3 CM 2 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) CH2-NH- (CH2)4 CM 2 Double bond geometry as shown. но₂с СО2Н 191013-28-2 CAPLUS
Methanesulfonamide, N-{2,3-dihydro-3-{{4-{1H-indol-3-y|}buty}}amino]methyl}-1,4-benzodioxin-6-yl}-, (2E)-2-butenedioate (2:1)
(CA INDEX NAME) CM 1 CRN 191013-03-3 CMF C22 H27 N3 O4 S CH2-NH- (CH2)4 CM 2 CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown. но2С СО2Н 191013-29-3 CAPLUS
1H-Indole-3-propanamine, N-[{2,3-dihydro-1,4-benzodioxin-2-y1)methy1}-5-methoxy-, (2E)-2-butenedioate {2:1} (CA INDEX NAME) CRN 191013-04-4

ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) Double bond geometry as shown. но2С Е СО2Н 191013-26-0 CAPLUS
1H-Indole-3-butanamine, N-{{2,3-dihydro-7-methoxy-1,4-benzodioxin-2-y1}methy1}-5-methoxy-, {2Z}-2-butenedioate {1:1} {CA INDEX NAME} CH2-NH- (CH2)4 CM 2 CRN 110-16-7 CMF C4 H4 O4 Double bond geometry as shown. HO2C CO2H 191013-27-1 CAPLUS
1,4-Benzodioxin-6-ol, 2,3-dihydro-3-{[[4-{5-methoxy-lH-indol-3-yl}butyl]amino]methyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAMEL CM 1 CRN 191013-02-2 CMF C22 H26 N2 O4 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN CMF C21 H24 N2 O3 (Continued) CH2-NH- (CH2) 3 CM 2 CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown. но2С Е СО2Н 191013-30-6 CAPLUS
1H-Indole-3-propanamine, N-{(2,3-dihydro-1,4-benzodioxin-2-yl)methyl}-5-fluoro-, (2E)-2-butenedioate (2:1) (CA INDEX NAME) CM 1 CRN 191013-05-5 CMF C20 H21 F N2 O2 CH2-NH- (CH2) 3 CM 2 CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown. но2С СО2Н 191013-31-7 CAPLUS Methanesulfonamide, N-[2,3-dihydro-3-[[{4-[5-methoxy-lH-indol-3-yl]butyl]matho]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME) CM 1 CRN 191013-06-6

10-556,931.trn ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN CMF C23 H29 N3 O5 S (Continued) 2 Double bond geometry as shown. HO2C E CO2H 191013-32-8 CAPLUS
1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-y1)propyl]amino]methyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME) CM 1 CRN 191013-07-7 CMF C20 H22 N2 O3 - CH2- NH- (CH2) 3 CM 2 CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown. HO2C E CO2H RN 191013-33-9 CAPLUS ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) но₂с \_\_\_\_\_ со₂н 191013-35-1 CAPLUS
1H-Indole-3-butanamine, N-[{2,3-dihydro-1,4-benzodioxin-2-y1}methyl]-5-fluoro-, (2E)-2-butenedioate {2:1} {CA INDEX NAME} CRN 191013-10-2 CMF C21 H23 F N2 O2 CH2-NH- (CH2) 4 CM 2 Double bond geometry as shown. но2С Е СО2Н 191013-36-2 CAPLUS
1H-Indole-3-butanamine, N-{{2,3-dihydro-7-methoxy-1,4-benzodioxin-2-y1}methy1}-5-fluoro-, {2E}-2-butenedioate {2:1} (CA INDEX NAME) CM 1 CRN 191013-11-3 CMF C22 H25 F N2 O3 CH2-NH- (CH2) 4

ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 1H-Indole-3-butanamine, N-[(2,3-dh)ydro-1,4-benzodioxin-2-yl)methyl]-,(ZE)-2-butenedioate (2:1) (CA INDEX NAME) CM 1 CRN 191013-08-8 CMF C21 H24 N2 O2 CM Double bond geometry as shown. но2с СО2Н 191013-34-0 CAPLUS
1H-Indole-3-propanamine, N-{(2,3-dihydro-1,4-benzodioxin-2-yl)methyl}-, (2E)-2-butenedioate (2:1) {CA INDEX NAME} CM 1 CRN 191013-09-9 CMF C20 H22 N2 O2 CH2-NH- (CH2) 3 CM 2 CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown. ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN HO2C 191013-37-3 CAPLUS
1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino|methyl]-, (3S)- (CA INDEX NAME) Absolute stereochemistry. 191013-38-4 CAPLUS
1,4-Benzodioxin-6-ol, 2,3-dihydro-3-{[[3-{[H-indol-3-y]}propyl]amino|methyl}-, (3S)-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME) CM 1 CRN 191013-37-3 CMF C20 H22 N2 O3 Absolute stereochemistry. (CH<sub>2</sub>)<sub>3</sub> CM 2 CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown. HO2C E CO2H 191013-39-5 CAPLUS Methaneaufonamide, N-[(3S)-2,3-dihydro-3-[[[3-{|H-indol-3-y|]propy]]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-40-8 CAPLUS
Methanesulfonamide, N-[(3\$)-2,3-dihydro-3-[([3-{1H-indol-3-yl]propyl]amino|methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1)
(CA INDEX NAME)

CM 1

CRN 191013-39-5 CMF C21 H25 N3 O4 S

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

191013-41-9 CAPLUS
1H-Indole-3-butanamine, N-{(2,3-dihydro-1,4-benzodioxin-2-y1)methy1}-5-fluoro-1-methy1-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CRN 191013-13-5 CMF C22 H25 F N2 O2

ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-71-5 CAPLUS
1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy-, (2E)-2-butenedioate (2:1) (CA.INDEX NAME)

CM 1

CRN 191013-14-6 CMF C22 H26 N2 O3

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

191013-44-2P 191013-45-3P 191013-46-4P
191013-47-5P 191013-48-6P 191013-49-7P
191013-50-0P 191013-51-1P 191013-52-2P
191013-53-3P 191013-54-4P 191013-55-5P
191013-55-6P 191013-57-7P 191013-58-8P
191013-59-9P 191013-60-2P 191013-61-3P
191013-62-4P 191013-63-5P
RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)
(preparation of indolealkyl derivs. of benzodioxanmethylamine as antidepressants and antipsychotic agents)
191013-44-2 CAPLUS
1H-Indole-3-butanamide, N-[[(2S)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yllmethyl]- (CA INDEX NAME) IT

Absolute stereochemistry.

ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

191013-42-0 CAPLUS
Methanesulfonamide, N-[2,3-dihydro-3-[[3-(1-methyl-1H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1)
(CA INDEX NAME)

CRN 191013-15-7 CMF C22 H27 N3 O4 S

Double bond geometry as shown.

ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-45-3 CAPLUS
1H-Indole-3-butanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]- (CA INDEX NAME)

191013-46-4 CAPLUS
1H-Indole-3-propanamide, N-{(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)- (CA INDEX NAME)

191013-47-5 CAPLUS

1H-Indole-3-propanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)- (CA INDEX NAME)

ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-48-6 CAPLUS
1H-Indole-3-propanamine, N-{(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-y1)methy1}-5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

191013-49-7 CAPLUS | H-Indole-3-propanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yllmethyl]-5-hydroxy- (CA INDEX NAME)

191013-50-0 CAPLUS 1H-Indole-3-butanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-y1)methy1]-5-methoxy- (CA INDEX NAME)

ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-54-4 CAPLUS
1H-Indole-3-propanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl)- (CA INDEX NAME)

RN CN (CA  $\begin{array}{ll} 191013-55-5 & CAPLUS \\ 1H-Indole-3-butanamide, & N-\{\{2,3-dihydro-1,4-benzodioxin-2-y1\}methy1\}-1 \\ \end{array}$ INDEX NAME)

191013-56-6 CAPLUS 1H-Indole-3-propanamide, N-{(2,3-dihydro-1,4-benzodioxin-2-y1)methy1}-(CA INDEX NAME)

L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-51-1 CAPLUS
IH-Indole-3-butanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

191013-52-2 CAPLUS
1H-Indole-3-butanamide, N-[[2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodloxin-2-yl]methyl)- (CA INDEX NAME)

$$\begin{array}{c} \begin{array}{c} \begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array} \end{array}$$

191013-53-3 CAPLUS
1H-Indole-3-butanamide, N-[[2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]-5-methoxy- (CA INDEX NAME)

ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-57-7 CAPLUS
1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methyl]-5-fluoro- (CA INDEX NAME)

191013-58-8 CAPLUS
1H-Indole-3-butanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyll-5-fluoro (CA INDEX NAME)

RN 191013-59-9 CAPLUS CN 1H-Indole-3-propanamide, N-{[(2S]-2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-y1]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-60-2 CAPLUS
1H-Indole-3-propanamide, N-{[[2S]-2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

191013-61-3 CAPLUS
1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-1-methyl- (CA INDEX NAME)

191013-62-4 CAPLUS
1N-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methy1)-5-methoxy- (CA INDEX NAME)

ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS ON STN SSION NUMBER: 1997:429564 CAPLUS 127:50651 ACCESSION NUMBER:

DOCUMENT NUMBER:

127:50651

Preparation of indolylalkylaminomethylbenzodioxans as 5-HT1A receptor ligands for treatment of depression and related disorders.

Kang, Young Hee; Stack, Gary Paul
American Home Products Corporation, USA
PCT Int. Appl., 42 pp.
CODEN: PIXXD2
Patent TITLE:

INVENTOR (S): PATENT ASSIGNEE (S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English 1

DATE 19970515 PATENT NO. KIND APPLICATION NO. DATE A1 19970515 W0 1996-US17275 19961029
BG, BR, CA, CM, CZ, EE, GE, HU, II, IS, JP, KP, KR,
LV, MG, MK, MN, MX, ND, NZ, PL, RO, SG, SI, SK, TR,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
CM, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
TD, TG
A1 19970515 CA 1996-2236678 19961029
B1 19970529 AU 1996-75245 19961029
B1 20011212
B2 DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, WO 9717343 WO 9717343
W: AL, AU, BB,
LK, LR, LT,
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RW: KE, LS, MM,
IE, IT, LU,
AU 9675245
AU 704216
EP 861248
EP 861248
EP 861248
ER: AT, BE, CH, EP 861248 B1 20011212 R: A7, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, V, FI, RO BR 9611406 A 19990120 CN 1996-11406 19961029 CN 1205700 A 19990105 CN 1996-199286 19961029 CN 1074414 B 20011107 JP 2000500136 T 20000111 JP 1997-518222 19961029 RU 9902091 A2 20000228 HU 1999-2091 19961029 HU 9902091 A3 20000328 HU 12095-12095 A 20011031 IL 1996-124095 19961029 RIL 124095 A 20011031 IL 1996-124095 19961029 ES 2166470 T3 20020416 ES 1996-937782 19961029 ES 21666470 T3 20020416 ES 1996-937782 19961029 ES 21666470 T3 20020416 ES 1996-937782 19961029 ES 24 9960221 A 199805004 ZA 1996-0221 20000228 20000328 20011031 20011215 20020416 19980504 IL 1996-124095 AT 1996-937782 ES 1996-937782 ZA 1996-9221 TW 1996-85113500 HK 1999-100444 US 1995-7284P 19961029 19961029 19961101 19961105 ZA 9609221 TW 498075 20020811 HK 1015366 PRIORITY APPLN. INFO.: Al P 19951106 WO 1996-US17275 W 19961029

OTHER SOURCE(S): MARPAT 127:50651

(CH<sub>2</sub>) nNR<sup>3</sup>CH<sub>2</sub>

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ANSWER 19 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-63-5 CAPLUS 1H-Indole-3-propanamide, N-[[2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]-1-methyl- (CA INDEX NAME)

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE REFERENCE COUNT:

L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Title compds. (I: R1, R4 R5 = H, alkyl, alkoxy, aralkoxy, alkanoyloxy,

Title compds. [1; R1, R4 R5 = H, alkyl, alkoxy, aralkoxy, alkanoyloxy, halo, CF3, amino, alkanamido, alkanesulfonamido; R4R5 = ortho substituted methylenedioxy, ethylenedioxy, propylenedioxy; R2, R3 = H, alkyl; n = 3, 4), were prepared Thus, 2,3-dihydro-1,4-benzodioxin-2-methanamine hydrochloride was heated with 5-methoxy-3-(3-bromopropyl)indole and disopropylethylamine in DMF at 80° to give (2,3-dihydrobenzo]l,4|dioxin-2-ylmethyll-3|di-5-methoxy-1H-indol-3-yllpropyl]amine. The latter showed 5-HTlA receptor affinity with IC50 = 0.10 nM for displacement of [3H]-8-OHDPAT.
191012-94-9P 191012-95-0P 191012-95-P1PAT.
191012-97-2P 191012-98-3P 191012-99-P191013-00-3P 191013-03-11-1P 191013-02-2P 191013-03-4P 191013-03-4P 191013-03-5P 191013-03-P191013-03-4P 191013-03-4P 191013-03-P191013-03-P191013-13-8-0P 191013-11-P191013-12-4P 191013-13-8-0P 191013-11-P191013-22-P191013-23-P191013-24-8P 191013-22-P191013-23-P191013-24-8P 191013-23-P191013-23-P191013-23-P191013-33-9P 191013-33-PP 19

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

logical
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolylalkylaminomethylbenzodioxans as 5-HTIA receptor
ligands for treatment of depression and related disorders)
191012-94-9 CAPLUS
HI-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2yl)methyl)- (CA INDEX NAME)

191012-95-0 CAPLUS 1,4-Benzodioxin-6-01, 2,3-dihydro-3-[([4-(1H-indol-3-yl)butyl|amino|methyl|- (CA INDEX NAME)

191012-96-1 CAPLUS
1H-Indole-3-propanamine, N-{(2,3-dihydro-1,4-benzodioxin-2-yl)methyl}-5-

ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (phenylmethoxy) - (CA INDEX NAME)

191012-97-2 CAPLUS
1H-Indol-5-ol, 3-[3-[{(2,3-dihydro-1,4-benzodioxin-2-yl)methyl}amino]propyl]- (CA INDEX NAME)

191012-98-3 CAPLUS
1H-Indol-5-ol, 3-{3-{{2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl}amino}propyl}- (CA INDEX NAME)

HI-Indole-3-propanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-y1)methyl]-5-methoxy-N-methyl- (CA INDEX NAME)

191013-00-0 CAPLUS
IH-Indol-5-01, 3-[3-[[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl]methyl]amino]propyl]- (CA INDEX NAME)

ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN

191013-05-5 CAPLUS
1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methy1]-5-fluoro- (CA INDEX NAME)

191013-06-6 CAPLUS ·
Methaneaulfonamide, N-[2,3-dihydro-3-[[[4-{5-methoxy-lH-indol-3-yl}butyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

191013-07-7 CAPLUS
1,4-Benzodioxin-6-01, 2,3-dihydro-3-{[[3-{IH-indol-3-yl}propyl]amino]methyl}- (CA INDEX NAME)

191013-08-8 CAPLUS 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methyl]-

INDEX NAME)

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ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN

191013-01-1 CAPLUS
1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

191013-02-2 CAPLUS
1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl)butyl]amino]methyl)- (CA INDEX NAME)

191013-03-3 CAPLUS
Methanesulfonmide, N-[2,3-dihydro-3-{[[4-(1H-indol-3y])butyllamino|methyl]-1,4-benzodioxin-6-yl}- (CA INDEX NAME)

191013-04-4 CAPLUS
1H-Indole-3-propanamine, N-{(2,3-dihydro-1,4-benzodioxin-2-y1)methy1}-5-methoxy- (CA INDEX NAME)

ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 191013-09-9 CAPLUS 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-(CA INDEX NAME)

191013-10-2 CAPLUS
1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl)-5-fluoro- (CA INDEX NAME)

191013-11-3 CAPLUS 1M-Indole-3-butanamine, N-{(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl}-5-fluoro- (CA INDEX NAME)

191013-12-4 CAPLUS Methanesulfonamide, N-{2,3-dihydro-3-{[[3-{|H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

191013-13-5 CAPLUS
1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-1-methyl- (CA INDEX NAME)

ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-14-6 CAPLUS
1H-Indole-3-butanamine, N-{(2,3-dihydro-1,4-benzodioxin-2-y1)methyl]-5-methoxy- (CA INDEX NAME)

- CH2-NH- (CH2)4-

191013-15-7 CAPLUS Methanesulfonanide, N-[2,3-dihydro-3-[[[3-(1-methyl-1H-indol-3-yl)propyl]amino|methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

CH2-NH- (CH2) 3

191013-18-0 CAPLUS
1H-Indole-3-butanamine, N-[{(2S)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-y1|methy1}- (CA INDEX NAME)

191013-19-1 CAPLUS
1H-Indole-3-butanamine, N-[[(2S)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyl]-, monohydrochloride [9CI] {CA INDEX NAME}

ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN CMF C20 H22 N2 O3 (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

HO2C E CO2H

191013-23-7 CAPLUS
1H-Indol-5-ol, 3-[3-{{(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]amino}propyl}-, (2E)-2-butenedioate (2:1) {selt} (9CI) (CA INDEX NAME)

CM 1

CRN 191012-98-3 CMF C21 H24 N2 O4

CM 2

Double bond geometry as shown.

но2С СО2Н

RN 191013-24-8 CAPLUS
CN 1H-Indoie-3-propanamine, N-[{2,3-dihydro-7-methoxy-1,4-benzodioxin-2-y1)methyl]-5-methoxy-N-methyl-, {2E}-2-butenedioate {2:1} (CA INDEX NAME)

CM 1

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L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.

● HCl

191013-20-4 CAPLUS
1,4-Benzodioxin-6-ol, 2,3-dihydro-3-{[[4-{1H-indol-3-yl}butyl]amino]methyl]-, monohydrochloride {9CI} (CA INDEX NAME)

191013-21-5 CAPLUS
1H-Indole-3-propanamine, N-[{2,3-dihydro-1,4-benzodioxin-2-y1}methy1]-5{phenylmethoxy}-, monohydrochloride {9CI} (CA INDEX NAME)

191013-22-6 CAPLUS
1H-Indol-5-ol, 3-[3-{[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]propyl}-, (2E)-2-butenedioate (2:1) (selt) (9CI) (CA INDEX NAME)

CM 1

CRN 191012-97-2

ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS ON STN CRN 191012-99-4 CMF C23 H28 N2 O4 (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

HO2C E CO2H

191013-25-9 cxpLUs
H-Indol-5-ol, 3-[3-[[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl|methyl|amino|propyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA

CM 1

CRN 191013-00-0 CMF C20 H22 N2 O4

CM 2

Double bond geometry as shown.

но2С Е СО2Н

191013-26-0 CAPLUS 1H-Indole-3-butanamine, N-[{2,3-dihydro-7-methoxy-1,4-benzodioxin-2-

```
ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) y1)methy1]-5-methoxy-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)
                                                                                                                                                  CM 1
        CM
Double bond geometry as shown.
 HO2C
                                                                                                                                                  CM 2
               со2н
RN 191013-27-1 CAPLUS
CN 1,4-Benzodioxin-6-01, 2,3-dihydro-3-[[{4-(5-methoxy-1H-indol-3-yl)butyl}amino|methyl}-, (ZE)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX
        NAME)
        CM 1
        CRN 191013-02-2
CMF C22 H26 N2 O4
                         CH2-NH- (CH2) 4
        CM 2
 Double bond geometry as shown.
        ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN CM \phantom{-}2\phantom{+}
                                                                                            (Continued)
                                                                                                                                                  CM 2
 Double bond geometry as shown.
HO2C E CO2H
        191013-30-6 CAPLUS
1H-Indole-3-propanamine, N-{(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)
        CRN 191013-05-5
CMF C20 H21 F N2 O2
        CM 2
        CRN 110-17-8
CMF C4 H4 O4
 Double bond geometry as shown.
но2с Е со2н
        191013-31-7 CAPLUS Methanesulfonamide, N-[2,3-dihydro-3-[[[4-[5-methoxy-1H-indol-3-yl]butyl]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)
                                                                                                                                           HO2C
        CM 1
                                          NH- (CH2) 4
 Page 134
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ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) E CO2H 191013-28-2 CAPLUS
Methanesulfonamide, N-[2,3-dihydro-3-[{[4-(1H-indol-3y])butyl]amino]methyl}-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1)
(CA INDEX NAME) CH2-NH- (CH2) 4 CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown. но2с Е со2н 191013-29-3 CAPLUS
1H-Indole-3-propanamine, N-((2,3-dihydro-1,4-benzodioxin-2-yl)methyl)-5-methoxy-, (2E)-2-butenedioate (2:1) (CA INDEX NAME) CM 1 CRN 191013-04-4 CMF C21 H24 N2 O3 CH2-NH- (CH2) 3 L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown. HO2C E CO2H 191013-32-8 CAPLUS
1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME) CM 1 CRN 191013-07-7 CMF C20 H22 N2 O3 CM 2 Double bond geometry as shown. CO2H 191013-33-9 CAPLUS
1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methyl]-, (ZE)-2-butenedioate (2:1) (CA INDEX NAME) CRN 191013-08-8 CMF C21 H24 N2 O2

10-556,931.trn ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) Double bond geometry as shown. HO2C E CO2H 191013-34-0 CAPLUS
1H-Indole-3-propanamine, N-{(2,3-dihydro-1,4-benzodioxin-2-y1)methyl]-, (ZE)-2-butenedioate (2:1) (CA INDEX NAME) CM 1 CRN 191013-09-9 CMF C20 H22 N2 O2 CH2-NH- (CH2) 3 CM 2 CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown. HO2C E CO2H 191013-35-1 CAPLUS lH-Indole-3-butanamine, N-{{2,3-dihydro-1,4-benzodioxin-2-y1}methy1}-5-fluoro-, (2E)-2-butenedioate (2: $\frac{1}{2}$ ) (CA INDEX NAME) CM 1 CRN 191013-10-2 CMF C21 H23 F N2 O2 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) (CH2)3 191013-38-4 CAPLUS 1,4-Benzodioxin-6-01, 2,3-dihydro-3-{[[3-{lH-indol-3-yl]propyl]amino|methyl]-, {3S}-, {2E}-2-butenedioate {2:1} [salt] {9CI} (CA INDEX NAME) CRN 191013-37-3 CMF C20 H22 N2 O3 Absolute stereochemistry. CM 2 CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown. но₂с СО2Н 191013-39-5 CAPLUS
Methanesulfonamide, N-[(3S)-2,3-dihydro-3-[[(3-(1H-indol-3-y1)propyl)amino)methyl]-1,4-benzodioxin-6-y1)- (CA INDEX NAME) Absolute stereochemistry. (CH2) 3

191013-40-8 CAPLUS Methanesulfonamide, N-[(3S)-2,3-dihydro-3-[{[3-(1H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl}-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) CH2-NH- (CH2)4 CM 2 CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown. HO2C E CO2H 191013-36-2 CAPLUS
1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl)-5-fluoro-, (2E)-2-butenedioate (2:1) (CA INDEX NAME) CRN 191013-11-3 CMF C22 H25 F N2 O3 CH2-NH- (CH2) 4 CM 2 CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown. но2с Е со2н 191013-37-3 CAPLUS
1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-y1)propyl]amino]methyl]-, (3S)- (CA INDEX NAME) Absolute stereochemistry. ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) CM 1 CRN 191013-39-5 CMF C21 H25 N3 O4 S Absolute stereochemistry. (CHo) CM 2 CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown. HO2C E CO2H 191013-41-9 CAPLUS
1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methyl]-5-fluoro-1-methyl-, (2E)-2-butenedioate (2:1) (CA INDEX NAME) CM 1 CRN 191013-13-5 CMF C22 H25 F N2 O2 CM 2 CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown.

но2С Е СО2Н

ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN

191013-42-0 CAPLUS Methanesulfonamide, N-[2,3-dihydro-3-[[[3-{1-methyl-1H-indol-3-y|)propyl]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

(Continued)

CM 1

CRN 191013-15-7 CMF C22 H27 N3 O4 S

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

191013-71-5 CAPLUS
1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methy1]-5-methoxy-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CRN 191013-14-6 CMF C22 H26 N2 O3

ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)

191013-46-4 CAPLUS
1H-Indole-3-propanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5(phenylmethoxy)- (CA INDEX NAME)

191013-47-5 CAPLUS HH-Indole-3-propanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl)-5-(phenylmethoxy)- (CA INDEX NAME)

1H-Indole-3-propanamine, N-((2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

191013-49-7 CAPLUS
1H-Indole-3-propanamide, N-{(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-y1}methy1)-5-hydroxy- (CA INDEX NAME)

ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN CRN 110-17-8 CMF C4 H4 O4 (Continued)

Double bond geometry as shown.

191013-44-2P 191013-45-3P 191013-46-4P
191013-47-5P 191013-48-6P 191013-49-7P
191013-50-0P 191013-51-1P 191013-52-2P
191013-53-3P 191013-54-4P 191013-55-5P
191013-55-6P 191013-57-7P 191013-58-8P
191013-59-9P 191013-60-2P 191013-61-3P
191013-62-4P 191013-63-5P
RL: RCT (Reactant) SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
[preparation of indolylalkylaminomethylbenzodioxans as 5-HT1A receptor ligands for treatment of depression and related disorders)
1H-Indole-3-butansmide, N-[[(25)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyl)- (CA INDEX NAME)

Absolute stereochemistry.

191013-45-3 CAPLUS 1H-Indole-3-butanamide, N-[{2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl)- (CA INDEX NAME)

ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-50-0 CAPLUS IN-Indole-3-butnamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl)-5-methoxy- (CA INDEX NAME)

191013-51-1 CAPLUS 1H-Indole-3-butanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

191013-52-2 CAPLUS
IN-Indole-3-butanamide, N-[[2,3-dihydro-7-[(methylaulfonyl)amino]-1,4-benzodioxin-2-yl]methyl}- (CA INDEX NAME)

ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-53-3 CAPLUS
1H-Indole-3-butanamide, N-[[2,3-dihydro-7-{(methylaulfonyl)amino}-1,4-benzodioxin-2-yl]methyl)-5-methoxy- (CA INDEX NAME)

191013-54-4 CAPLUS
IH-Indole-3-propanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]- (CA INDEX NAME)

191013-55-5 CAPLUS 1H-Indole-3-butanamide, N-[{2,3-dihydro-1,4-benzodioxin-2-yl}methyl}-

INDEX NAME)

ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 191013-59-9 CAPLUS
CN 1H-Indole-3-propanamide,
N-[[(2S)-2,3-dihydro-7-hydroxy-1,4-benzodioxin-2y1]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

191013-60-2 CAPLUS
IN-Indole-3-propanamide, N-[[(2S)-2,3-dihydro-7-[(methylsulfonyl)smino]-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

191013-61-3 CAPLUS
1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5fluoro-1-methyl- (CA INDEX NAME)

L8 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN

191013-56-6 CAPLUS
1H-Indole-3-propanamide, N-{(2,3-dihydro-1,4-benzodioxin-2-y1)methyl]-(CA INDEX NAME)

(Continued)

191013-57-7 CAPLUS
1H-Indole-3-butanamide, N-{(2,3-dihydro-1,4-benzodioxin-2-y1)methy1}-5-fluoro- (CA INDEX NAME)

191013-58-8 CAPLUS
1H-Indole-3-butanamide, N-[{2,3-dihydro-7-methoxy-1,4-benzodioxin-2-y1}methy1|-5-fluoro- (CA INDEX NAME)

ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-62-4 CAPLUS
1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methyl]-5-methoxy- (CA INDEX NAME)

191013-63-5 CAPLUS
1H-Indole-3-propanamide, N-[{2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodloxin-2-yl]methyll-1-methyl- (CA INDEX NAME)

ANSWER 21 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1995:1003035 CAPLUS 124:175827

DOCUMENT NUMBER: TITLE:

Antidepressant 3-(aminocycloalkenyl)indole-5-nitrile derivatives

derivetives Cipolina, Joseph A.; Mattson, Ronald J.; Sloan, Charles P. Bristol-Myers Squibb Company, USA U.S., 7 pp. CODEN: USXXAM INVENTOR (S):

PATENT ASSIGNEE(S):

DOCUMENT TYPE: Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO.

US 5468767 US 5607961 PRIORITY APPLN. INFO.: 19951121 US 1994-178073 19940106 19970304 US 1994-178073 A3 19940106

OTHER SOURCE(S): CASREACT 124:175827; MARPAT 124:175827

Title compds. I [R1 = H or C1-4 alkyl; R2 = C1-4 alkyl or (CH2)pAr; Ar =  $\{un\}$ substituted Ph, pyridinyl, pyrimidinyl or 1,4-benzodioxan-2-yl; m = 0 or 1; n = 1-3; p = 0-4; dotted line = optional double bond] are claimed, and several examples were prepared and tested for use as antidepressants. For example, condensation of lH-indole-5-acetonitrile with 4-[(2-phenylethyl)amino]cyclohexanone [preparation given] in EtOH in the presence of pyrrolidine gave 35% title compound II. Of 18 selected I

ANSWER 21 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN

ANSWER 21 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) with m=0, all with n=2 and double bond in ringl, all 18 compds. had ICSO for in vitro inhibition of 5-HT uptake activity of < 100 nM, and 14 compds. had ICSO of < 10 nM. 173906-38-6P 173906-87-1P RL: BRC (Biological activity or effector, except adverse); BSU logical

ogical
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant (aminocycloalkenyl)indolenitrile

DATE

/m.)
173906-58-6 CAPLUS
1H-Indole-5-carbonitrile, 3-[4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methylamino]-1-cyclohexen-1-yl]- (CA INDEX NAME)

173906-87-1 CAPLUS
IH-Indole-5-carbonitrile, 3-[4-[(2,3-dihydro-1,4-benzodioxin-2yllmethylminoj-1-cyclohexen-1-yl]-, ethanedioate (1:1) (CA INDEX NAME)

173906-58-6 C24 H23 N3 O2

2 CM

CRN 144-62-7 CMF C2 H2 O4

L8 ANSWER 22 OF 26
ACCESSION NUMBER:
DOCUMENT NUMBER:
11993:204695 CAPLUS
118:204695
3-D QSAR for intrinsic activity of 5-HTIA receptor ligands by the method of comparative molecular field analysis
AUTHOR(S):
CORPORATE SOURCE:
AQARWAI, Atul; Taylor, Ethan Will
COMPUT. Cent. Mol. Struct. Des., Univ. Georgia, Athens, GA, 30602-2352, USA
JOURNAI of Computational Chemistry (1993), 14(2), 237-45
CODEN: JCCHDD; ISSN: 0192-8651

CODEN: JCCHDD; ISSN: 0192-8651

DOCUMENT TYPE:

LANGUAGE:

AB The affinity of a ligand for a receptor is usually expressed in terms of the dissociation constant (Ki) if the drug-receptor complex, conveniently measured by the inhibition of radioligand binding. However, a ligand can be an antagonist, a partial agonist, or a full agonist, a property

largely ry independent of its receptor affinity. This property can be quantitated

intrinsic activity (IA), which can range from 0 for a full antagonist to

intrinsic activity (IA), which can range from 0 for a full antagonist to for a full agonist. Although QSAR methods have been applied for the prediction of receptor affinity with considerable success, the prediction of IA, even qual., has rarely been attempted. Because most traditional QSAR methods are limited to congeneric series, and there are often major structural differences between agonists and antagonists, this lack of success in predicting IA is understandable. To overcome this limitation, the authors used the method of comparative mol. field anal. (COMFA), which, unlike traditional Hansch anal., permits the inclusion of structurally diserially diserially

region
that is also occupied by antagonists and partial agonists. The COMFA
steric field graph clearly shows that agonists tend to be "flatter" (mc
coplanar) than antagonists, consistent with the difference between the
5-HTM agonist and antagonist pharmacophores proposed by Hibert and
coworkers. The COMFA electrostatic field graph suggests that, in the
region surrounding the essential protonated aliphatic amino group, the

mol. electrostatic potential may be weaker in antagonists as compared to agonists. Together, the steric and electrostatic maps suggest that in

secondary binding site region increased hydrophobic binding may enhance antagonist activity. These can successfully distinguish between agonist and antagonist 5-HTIA ligands. This is the first time this or any other GSAR method has been successfully applied to the correlation of structure with IA rather than potency or affinity. The anal, has suggested various structural features associated with agonist and antagonist behaviors of 5-HTIA ligands and thus should assist in the future design of drugs that act via 5-HTIA receptors.

ANSWER 22 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: BIOL (Biological study)
[(intrinsic activity of, as serotonin S1A receptor ligand, QSAR for, mol. field anal. of)
116729-30-7 CAPLUS
HR-Indole-3-ethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

L8 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2007 ACCESSION NUMBER: 1990:151220 CAPLUS DOCUMENT NUMBER: 112:151250 TITLE: MDL 73005EF: partia 2007 ACS on STN MDL 73005EF: partial agonist at the 5-HTIA receptor negatively linked to adenylate cyclase Cornfield, Linda J.; Nelson, David L.; Taylor, E. W.; Martin, A. R. Coll. Pharm., Univ. Arizona, Tucson, AZ, 85721, USA European J AUTHOR (S): CORPORATE SOURCE: SOURCE: CODEN: EJPHAZ; ISSN: 0014-2999

DOCUMENT TYPE: LANGUAGE:

MDL 73005EF (I) has been recently described as a potent, highly selective 5-HT1A ligand. Although proposed to act predominantly as an antagonist, it was demonstrated that I also acts as a highly efficacious partial agonist at the 5-HT1A receptor, based on its ability to inhibit forskolin-stimulated adenylate cyclase in rat hippocampal membranes. Compared with two structurally related 5-HT1A partial agonists, the rank order of potency of I in the forskolin-stimulated adenylate cyclase assay was comparable to affinity calculated by radioligand binding.

116729-30-7 ΑВ IT

116729-30-7
RL: BIOL (Biological study)
(serotoninergic SIA receptor partial agonist, in brain hippocampus, adenylate cyclase in)
116729-30-7
CAPLUS
HI-Indole-3-ethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methy1]-5-methoxy- (CA INDEX NAME)

L8 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 1988:542399 CAPLUS DOCUMENT NUMBER: 109:142399 Use of forth 1988

Use of forskolin stimulated adenylate cyclase in rat hippocampus as a screen for compounds that act

through

AUTHOR (S):

S-HTRIA receptors
Cornfield, L. J.; Nelson, D. L.; Monroe, P. J.;
Taylor, E. W.; Nikam, S. S.
Coll. Pharm., Univ. Arizona, Tucson, AZ, 85721, USA
Proceedings of the Western Pharmacology Society
(1988), 31, 265-7
CODEN: PRPSAB; ISSN: 0083-8969
Journal
English CORPORATE SOURCE:

DOCUMENT TYPE: Journal
LANGUAGE: English
S-HT, buspirone and 8-hydroxy-2-(di-n-propylamino)tetralin (8-OH-DPAT)
inhibited forskolin stimulated cAMP production in rat hippocampus with

inhibited forskolin stimulated cAMP production in rat hippocampus with ing degrees of efficacy. The EC50 values for these compds. in the cyclase assay system were uniformly less than the IC50 values against [3H]B-ON-DPAT binding, although a reasonably good correlation was found between the EC50 and IC50 values for these compds.

-(5-Methoxyindole3-y1)ethyl)-2 aminomethyl-1,4-benzodioxan, 5-carboxamido-3(2-(4-phenyl-1,2,3,6-tetrahydropyrid-1-y1)ethyl)indole and 5-methoxy-3(2-(4-phenyl-1,2,3,6-tetrahydropyrid-1-y1)ethylindole, and spiroxatrine exhibited potential 5-HTIA agonistic activity, as shown by varying degrees of inhibition of forskolin-stimulated adenylate cyclase. However, there was no correlation between the potencies of the cyclase data and the [3H]-B-OH-DPAT binding data for these 4 compda. Spiroxatrine produced a complex inhibition ourve with a maximal inhibition that was greater than that observed with 5-HT itself. Nonlinear regression anal. of this curve revealed high and low potency components. The ratio of the EC50 for the high-potency component to the IC50 value at 5-HTIA binding sites was consistent with that for the other 5-HTIA agonists, 5-HT, 8-OH-DPAT and bispirone. bispirone. 116729-30-7

IT

RE: BIOL (Biological study)
(forskolln-stimulated adenylate cyclase of brain response to)
16729-30-7 CAPLUS
1H-Indole-3-ethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

L8 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1981:121503 CAPLUS DOCUMENT NUMBER: 94:121503

TITLE:

Aminopropanol derivatives and pharmaceutical compositions containing them Friebe, Walter Gunar; Michel, Helmut; Ross, Carl Heinz; Wiedemann, Fritz; Bartsch, Wolfgeng; Dietmann, INVENTOR (S):

Model Mannheim G.m.b.H., Fed. Rep. Ger. Ger. Offen., 57 pp. CODEN: GWXXBX
Patent PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

German 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
DE 2905877	A1	19800828	DE 1979-2905877		19790216
		19820824	US 1980-117190		19800131
CA 1134827	A A1	19821102	CA 1980-345099		19800205
IL 59352	A	19850131			
FI 8000408		19800817	FI 1980-408		
FI 66371		19840629			
FI 66371		19841010			
AU 8055410	Ā	19800821	AU 1980-55410		19800211
AU 531282	B2	19830818			
SU 1243622	A3	19860707	SU 1980-2878004		19800211
EP 14951	A2	19800903	EP 1980-100719		19800213
EP 14951		19810204			
EP 14951	B1	19830112			
R: AT, BE, C			LU, NL, SE		
DD 148774	A5 T A A1	19810610	DD 1980-219037		
AT 2190	T	19830115	AT 1980-100719 DK 1980-653		19800213
DK 8000653	A	19800817	DK 1980-653		19800215
ES 488657	A1	19800916	ES 1980-488657		19800215
01 33120333	~	13000311	JP 1980-16711		19800215
JP 63048864		19880930			
ZA 8000894	A	19810930			19800215
HU 28416	A2	19831228	HU 1980-350		19800215
HU 184719	В	19841029			
CS 227305	B2	19840416			19800215
CS 227312	B2	19840416			19800930
CS 227313	B2	19840416			
CS 227327	B2	19840416			19810610
PRIORITY APPLN. INFO.:			DE 1979-2905877	Α	19790216
			EP 1980-100719	A	19800213
			CS 1980-1055	АЗ	19800215

OTHER SOURCE(S): MARPAT 94:121503 L8 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

The title compds. {I; R = {aubstituted} aryl, pyridyl; Rl = H, alkyl, alkanoyl, aralkyl; R2, R3 = H, alkyl, (esterified) hydroxyalkyl, alkoxycarbonyl; R4 = H, acyl, arol; R5 = H, alkyl, aralkyl; R6 = H, alkyl; R7 = H, OH, alkyl; Z = bond, CH2, O, S; X = XH2X, X3:X4 [Xl = (substituted) NH, CH2; X2 = CH2, CO, CS; X3, X4 = N, {aubstituted} CH] were prepared for use as acronary vasodilators and antihypertensives (no data). Thus, refluxing 2,3-dinitro-4-{alycidyloxylcoluene with PhcH2NHCH2CHMeCC6H4OM-2 in EtcH, followed by hydrogenation over Pd-C and cyclizing the resulting diamine with COCl2 gave II.HCl. 76650-89-0P 76651-14-4P
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) 76650-89-0 Captus
Benzoic acid, 4-chloro-, compd. with 1-{{2,3-dihydro-1,4-benzodioxin-2-yl]methyl]amino]-3-{{6-methyl-1H-indol-4-yl]oxy}-2-propanol (1:1) {9CI} AB IT

CM 1

CRN 76650-88-9 CMF C21 H24 N2 O4

ANSWER 25 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) ANSWER 25 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

2 CM

74-11-3 C7 H5 C1 O2

76651-14-4 CAPLUS
1H-Indole-2-carboxylic acid, 4-[3-[[(2,3-dihydro-1,4-benzodioxin-2yl)methyl]amino]-2-hydroxypropoxyl-6-methyl-, ethyl ester (9CI) (C INDEX NAME )

L8 ANSWER 26 OF 26 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 1964:52778 CAPLUS CORIGINAL REFERENCE NO: 60:52778

TITLE: 1,4-Benzodioxan-2-carboxamides Bid, John H.; Judd, Claude I. Lakeside Laboratories, Inc. SOURCE: 2pp.

DOCUMENT TYPE: Pacent LANGUAGE: Unavailable

Unavailable

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. DATE APPLICATION NO. KIND DATE US 3117978 PRIORITY APPLN. INFO.: US 1961-84753 19640114 19610125 US 19610125

GI For diagram(s), see printed CA Issue.

AB The title compds., possessing antidepressant and central nervous system stimulating properties, are prepared by treating

1,4-benzodioxan-2-carbonyl chloride (I) with an aralkylamine in an inert solvent in the presence of an acid acceptor. Thus, 7.5 g. trans-2-phenylcyclopropylamine, 10.1 g.

KZCO3, and 50 ml. anhydrous CGH6 is treated dropwise with 11.2 g. I, the mixture stirred several hrs. at room temperature, 100 ml. H2O added, the C6H6

C6H6 layer separated, and the solvent evaporated to give 16.0 g. of an oil

Layer separated, and the solvent evaporated to give 16.0 g. of an oil chorystallized when covered with n-hexane and Et2O to yield 7.5 g. N-(trans-2-phenylcyclopropyl)-1,4-benzodioxan-2-carboxamide ([a], m. 96-112'. Recrystn from Et2O gave 3.1 g. pure product, m. 129-31'. Also prepared are N-(2-phenyl-1-propyl)-1,4-benzodioxan-2-carboxamide, m. 82-90' (mixture of isomers), and Nil-(3-indyl)-2-butyl)-1,4-benzodioxan-2-carboxamide (II), m. 99-102'. A single pure isomer of II was also isolated, m. 135-8'. 94862-17-6P, 1,4-Benzodioxan-2-carboxamide, N-[1-(indol-3-yimethyl)propyl)-NL: PREP (Preparation) (preparation of) 94862-17-6 CAPLUS (1,4-Benzodioxan-2-carboxamide, N-[1-(indol-3-yimethyl)propyl]- (7CI) (CA INDEX NAME) which

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TOTAL

chain nodes : 11 12 27 ring nodes :

1 2 3 4 5 6 7 8 9 10 15 16 17 18 19 20 21 22 23

chain bonds :

8-11 11-12 12-27 23-27

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 15-16 15-20 15-21 16-17 16-23 17-18 18-19 19-20 21-22 22-23

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 8-11 9-10 11-12 12-27 15-16 15-20 15-21 16-17 16-23 17-18 18-19 19-20 21-22 22-23 23-27 isolated ring systems : containing 1 : 15 :

G1:C, N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 27:CLASS

L3 STRUCTURE UPLOADED

=> d 13

L3 HAS NO ANSWERS

L3 STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> s 13 sss sam

SAMPLE SEARCH INITIATED 19:15:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 156 TO ITERATE

100.0% PROCESSED 156 ITERATIONS 6 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 2371 TO 3869

PROJECTED ANSWERS: 6 TO 266

L4 6 SEA SSS SAM L3

=> s 13 sss full

FULL SEARCH INITIATED 19:15:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3492 TO ITERATE

100.0% PROCESSED 3492 ITERATIONS 95 ANSWERS

SEARCH TIME: 00.00.01

L5 95 SEA SSS FUL L3

=> file caplus

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L6 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2004:1059361 CAPLUS DOCUMENT NUMBER: 142:38264 TITLE: PROPERATION OF THE PROPE Preparation of indole derivatives with an improved antipsychotic activity
Bartolome-Nebreda, Jose Manuel; Andres-Gil, Jose INVENTOR (S): Ignacio PATENT ASSIGNEE(S): SOURCE: Janssen Pharmaceutica N.V., Belg. PCT Int. Appl., 43 pp. CODEN: PIXXD2 Patent DOCUMENT TYPE: LANGUAGE: English 2 FAMILY ACC. NUM. COUNT: PATENT INFORMATION: WO 2004106298 A1 20041209 WO 2003-EP305789 20030530 W: US

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR

AU 2004242802 A1 20041209 AU 2004-242802 20040526 EP 1636239 A1 200610202 EP 2004-71649 20040526 EP 1636239 B1 20070718

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,

JP 2006528957 US 2007066608 PRIORITY APPLN. INFO.: JP 2006-530219 US 2005-556931 WO 2003-EP5789 20040526 20051116 A 20030530 WO 2003-EP305789 A 20030530

WO 2004-EP50922

OTHER SOURCE(S): MARPAT 142:38264

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN CM 1 (Continued)

805230-20-0 C19 H20 F N3 O2

2 CM

144-62-7 C2 H2 O4

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REFERENCE COUNT: THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
The present invention relates to a novel indole derivs. I [al:a2a3:a4 = N:CHCR:CA, CH:CNR:CH; CH:CRCH:N; CH:CRCH:N; Z122 = OCH2O, O(CH2)2O, S(CH2)2O, etc.; X = CR6, N; R1-R4, R6 = H, halo, CN, etc.; p = 0-3; R5 = H, alkyl, etc.; with the proviso] and their pharmaceutically acceptable acid or base addition salts that exhibit a binding affinity towards dopamine receptors, in particular towards dopamine D2, D3 and D4 receptors, with selective serotonin reuptake inhibition properties and acting as 5-HTLA agonists or partial agonists. E.g., a multi-step synthesis of IV, starting from 2-chloro-3-pyridinamine, which showed pic30 of 6.7 and 7.1 against D2 and D3 receptor binding, resp., was given. The invention also relates to pharmaceutical compns. comprising the compds. I, the use thereof for the prevention and/or treatment of a range of psychiatric and neurol. disorders, in particular certain psychotic disorders, most in particular schizophrenia and processes for their production 805232-67-IP 805232-71-79
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indole derivs, with an improved antipsychotic

activity)
RN 805232-67-1 CAPLUS
CN 1,4-01oxino[2,3-c]pyridine-3-methanamine, N-{3-{5-fluoro-1H-indol-3-y1}propy1}-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

805230-16-4 C19 H20 F N3 O2

2

144-62-7 C2 H2 O4

0

805232-71-7 CAPLUS
1,4-Dioxino[2,3-b]pyridine-3-methanamine, N-[3-(5-fluoro-1H-indol-3-yl)propyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS ON STN SSION NUMBER: 2004:1059319 CAPLUS 142:38263

ACCESSION NUMBER: DOCUMENT NUMBER:

TITLE:

142:39263 Preparation of indole derivatives with an improved antipsychotic activity Bartolome-Nebreda, Jose Manuel; Andres-Gil, Jose

INVENTOR(S):

Bartolome-Nebreds, José Manuel; A Ignacio Janssen Pharmaceutica N.V., Belg. PCT Int. Appl., 40 pp. CODEN: PIXXD2 Patent PATENT ASSIGNEE (S):

DOCUMENT TYPE:

English 2

LANGUAGE: FAMILY ACC, NUM. COUNT: PATENT INFORMATION:

	PAT	PENT	NO.			KIN		DATE		1	APP	LICAT	ION	NO.		Ð	ATE	
	WO	2004	1062	98				2004	1209	1	WO :	2003-	EP57	89		2	0030	530
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		2525				A1		2004	1209		CA :	2004-	2525	282		. 5	0040	526
	WO	2004	1063	46		A1		2004	1209	1	WO :	2004-1	EP50	922		2	0040	526
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			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG	MK,	MN,	MW,	MX.	MZ,	NA,	NI,
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU.	SC,	SD,	SE.	SG,	SK,	SL,	SY,
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OTHER SOURCE(S): MARPAT 142:38263

<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT

The present invention relates to a novel indole derivs. I [al:a2a3:a4 = N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:Nz 2122 = OCH2O, O(CH2)2O, S(CH2)2O, etc.: X = CR6, N: R1-R4, R6 = H, halo, CN, etc.: p = 0-3; R5 =

ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) H, alkyl; Y = NR8(CH2)n, II, III, etc.; m = 0-1; n = 0-6; R8 = H, halo, alkyl, etc.; with the proviso) and their pharmaceutically acceptable acid or base addn. salts that exhibit a binding affinity towards dopamine receptors, in particular towards dopamine D2, D3 and D4 receptors, with selective serotonin reuptake inhibition properties and acting as 5-HTIA agoniats or partial agoniats. E.g., a multi-step synthesis of IV, starting from 2-chloro-3-pyridinamine, which showed pIC50 of 6.7 and 7.1 against D2 and D3 receptor binding, resp., was given. The invention also relates to pharmaceutical compns. comprising the compds. I, the use thereof for the prevention and/or treatment of a range of psychiatric and neurol. disorders, in particular certain psychotic disorders, most in particular schizophenia and processes for their prodn. 805230-16-4P 805230-20-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of indole derivs, with an improved antipsychotic

activity)
RN 805230-16-4 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine-3-methanamine, N-[3-(5-fluoro-1H-indol-3-y1)propyl]-2,3-dihydro- (CA INDEX NAME)

805230-20-0 CAPLUS 1,4-Dioxino(2,3-b)pyridine-3-methanamine, N-[3-(5-fluoro-1H-indol-3-yl)propyl]-2,3-dihydro- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) treatment of the resulting amide with LiAlH4 in THF afforded the title compd. II which showed LC50 of 3.50 nM against D2 receptor binding and LC50 of 3.77 nM against 5-WTM receptor binding.
191012-95-0P 191012-96-1P 191012-91-0P
191012-95-0P 191012-99-4P 191013-01-1P
191013-02-2P 191013-03-3P 191013-04-4P
191013-05-5P 191013-06-6P 191013-07-7P
191013-08-8P 191013-19-9P 191013-10-2P
191013-11-3P 191013-13-3P 191013-10-2P
191013-17-7P 191013-18-0P 191013-19-1P
191013-20-4P 191013-21-5P 191013-25-9P
191013-23-7P 191013-24-8P 191013-25-9P
191013-23-8P 191013-30-6P 191013-31-7P
191013-32-8P 191013-33-9P 191013-31-7P
191013-38-4P 191013-36-2P 191013-37-3P
191013-38-4P 191013-36-2P 191013-37-3P
191013-38-4P 191013-38-5P 191013-37-3P
191013-38-4P 191013-38-5P 191013-71-5P
RL: BRC (Biological activity or effector, except adverse); BSU

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

logical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of indolealkyl derivs. of benzodioxanmethylamine as antidepressants and antipsychotic agents) 191012-95-0 CAPLUS 1,4-Benzodioxin-6-01, 2,3-dihydro-3-[[4-(1H-indol-3-yl)butyl]amino)methyl)- (CA INDEX NAME)

191012-96-1 CAPLUS
1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5(phenylmethoxy)- (CA INDEX NAME)

191012-97-2 CAPLUS
1H-Indol-5-ol, 3-{3-{[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino]propyl]- (CA INDEX NAME)

Page 145

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:300618 CAPLUS
DOCUMENT NUMBER: 129:4651
TITLE: 129:4651
Preparation of indolealkyl derivatives of benzodioxanmethylamine as antidepressants and

INVENTOR (S):

antipsychotic agents Kang, Young H.; Stack, Gary P. American Home Products Corporation, USA PATENT ASSIGNEE(S): SOURCE:

U.S., 14 pp. CODEN: USXXAM DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 5750724 PRIORITY APPLN. INFO.: 19980512 US 1996-739912 US 1996-739912 19961030

OTHER SOURCE(S): MARPAT 129:4651

The title compds. [1: R1, R4, R5 = H, alkyl, alkoxy, etc.; R1 is defined as above and R4R5 are ortho substituted methylenedioxy, ethylenedioxy, or propylenedioxy; R2, R3 = H, alkyl: n = 3-4] and their pharmaceutically acceptable salts, useful in the treatment of depression and related disorders, were prepared Thus, reaction of 3-indolepropionic acid with 2,3-dihydro-1,4-benzodioxin-2-methanamine.HCl in the presence of l-hydroxybenzotriazole and 1,3-diisopropylcarbodiimide in DMF followed by

ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191012-98-3 CAPLUS
IH-Indol-5-01, 3-(3-([(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyllamino]propyl]- (CA INDEX NAME)

191012-99-4 CAPLUS
1H-Indole-3-propanamine, N-((2,3-dihydro-7-methoxy-1,4-benzodioxin-2-y1)methyl)-5-methoxy-N-methyl- (CA INDEX NAME)

191013-01-1 CAPLUS

1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl)-5-methoxy- (CA INDEX NAME)

191013-02-2 CAPLUS 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl]butyl]amino]methyl]- (CA INDEX NAME)

191013-03-3 CAPLUS

Methanesulfonamide, N=[2,3-dihydro-3-[[[4-(1H-indol-3-yl)butyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 191013-04-4 CAPLUS
CN lH-Indole-3-propanamine, N-[{2,3-dihydro-1,4-benzodioxin-2-y1}methyl}-5methoxy- (CA INDEX NAME)

RN 191013-05-5 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methy1]-5-fluoro- (CA INDEX NAME)

RN 191013-06-6 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[4-(5-methoxy-lH-indol-3-y1)buty1]amino|methy1]-1,4-benzodioxin-6-y1]- (CA INDEX NAME)

RN 191013-07-7 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]- (CA INDEX NAME)

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 191013-13-5 CAPLUS
CN 1H-Indole-3-butanamine, N-((2,3-dihydro-1,4-benzodioxin-2-y1)methyl]-5-fluoro-1-methyl (CA INDEX NAME)

RN 191013-14-6 CAPLUS
CN 1H-Indole-3-butanamine, N-{(2,3-dihydro-1,4-benzodioxin-2-y1)methyl]-5methoxy- (CA INDEX NAME)

RN 191013-15-7 CAPLUS
CN Methanesulfonamide, N-[2,3-dihydro-3-[[[3-{1-methyl-1H-indol-3-y1}propyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

RN 191013-18-0 CAPLUS
CN IH-Indole-3-butansmine, N-[[(28)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 191013-08-8 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-

A INDEX NAME)

RN 191013-09-9 CAPLUS
CN 1H-Indole-3-propenamine, N-{(2,3-dihydro-1,4-benzodioxin-2-y1)methyl}-(CA,INDEX NAME)

RN 191013-10-2 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methy1]-5fluoro- (CA INDEX NAME)

RN 191013-11-3 CAPLUS
CN 1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl|5-fluoro (CA INDEX NAME)

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 191013-19-1 CAPLUS
CN 1H-Indole-3-butanamine, N-[[[25]-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yllmethyl]-, monchydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• HC1

RN 191013-20-4 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[{4-(1H-indol-3-y1)butyl)amino|methyl}-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

RN 191013-21-5 CAPLUS
CN 1H-Indole-3-propanamine, N-{(2,3-dihydro-1,4-benzodioxin-2-y1)methyl}-5(phenylmethoxyl)-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 191013-22-6 CAPLUS 1H-Indol-5-01, 3-13-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino|propyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME) CM 1 CRN 191012-97-2 CMF C20 H22 N2 O3 CH2-NH- (CH2) 3 CM 2 CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown. HO2C E CO2H 191013-23-7 CAPLUS
1H-Indol-5-ol, 3-[3-[[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]amino]propyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME) CM 1 CRN 191012-98-3 CMF C21 H24 N2 O4 CH2-NH- (CH2) 3 2 Double bond geometry as shown. ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) CH2-NH- (CH2) 3 CM 2 Double bond geometry as shown. но2С Е СО2Н 191013-26-0 CAPLUS
1H-Indole-3-butanamine, N-[{2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yllmethyl]-5-methoxy-, (22)-2-butenedioate (1:1) (CA INDEX NAME) CRN 191013-01-1 CMF C23 H28 N2 O4 CH2-NH- (CH2) 4 CM 2 Double bond geometry as shown. RN 191013-27-1 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl)butyl]amino|methyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX CM 1

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) HO2C E CO2H RN 191013-24-8 CAPLUS
CN 1H-Indole-3-propanamine, N-[{2,3-dihydro-7-methoxy-1,4-benzodioxin-2-y1}methyl]-5-methoxy-N-methyl-, (2E)-2-butenedioate {2:1} (CA INDEX NAME) CM 1 CRN 191012-99-4 CMF C23 H2B N2 O4 CH2- N- Me CM 2 CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown. 191013-25-9 CAPLUS
1H-Indol-5-01, 3-[3-[{(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-y]hmethyl]amino]propyl]-, (2E)-2-butenedioate (2:1) {solt} (9CI) (CA INDEX NAME) ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN CRN 191013-02-2 CMF C22 H26 N2 O4 (Continued) CM 2 CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown. HO2C E CO2H 191013-28-2 CAPLUS
Methanesulfonamide, N-[2,3-dihydro-3-[[[4-{1H-indol-3-y1}buty1]amino]methyl]-1,4-benzodioxin-6-y1]-, (2E)-2-butenedioate {2:1} (CA INDEX NAME) CM 1 CRN 191013-03-3 CMF C22 H27 N3 O4 S CM 2

191013-29-3 CAPLUS
1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl}-5-methoxy-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

Double bond geometry as shown.

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L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

191013-30-6 CAPLUS
1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methy1]-5-fluoro-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 191013-05-5 CMF C20 H21 F N2 O2

CM 2

Double bond geometry as shown.

RN 191013-31-7 CAPLUS

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN Double bond geometry as shown. (Continued)

191013-33-9 CAPLUS
1H-Indole-3-butanamine, N-{(2,3-dihydro-1,4-benzodioxin-2-yl)methyl}-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 191013-08-8 CMF C21 H24 N2 O2

CM 2

Double bond geometry as shown.

191013-34-0 CAPLUS
1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (ZE)-2-butenedioate (2:1) (CA INDEX NAME)

CRN 191013-09-9 CMF C20 H22 N2 O2

Double bond geometry as shown.

Page 148

ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Methanesulfonamide, N-[2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3yl]butyl]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1)
(CA INDEX NAME)

CM 1

CRN 191013-06-6 CMF C23 H29 N3 O5 S

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

191013-32-8 CAPLUS
1,4-Benzodioxin-6-ol, 2,3-dihydro-3-{{[3-{1H-indol-3-y|}propyl]amino]methyl]-, {2E}-2-butenedioate {2:1} {salt} (9CI) (CA INDEX NAME)

CRN 191013-07-7 CMF C20 H22 N2 O3

CM 2

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

HO2C E CO2H

191013-35-1 CAPLUS
1N-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methyl]-5fluoro-, (28)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 191013-10-2 CMF C21 H23 F N2 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

191013-36-2 CAPLUS
1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-fluoro-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 191013-11-3 CMF C22 H25 F N2 Q3

Double bond geometry as shown.

ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) € со₂н 191013-37-3 CAPLUS
1,4-Benzodioxin-6-01, 2,3-dihydro-3-[[[3-(lH-indol-3-yl)propyl)amino)methyl]-, (3S)- (CA INDEX NAME) Absolute stereochemistry. (CH2)3 191013-38-4 CAPLUS
1,4-Benzodioxin-6-el, 2,3-dihydzo-3-[[[3-{lH-indol-3-yl)propyl]amino]methyl]-, (3S)-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME) CM 1 CRN 191013-37-3 CMF C20 H22 N2 O3 Absolute stereochemistry. (CH2)3 CM 2 CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown. € со₂н HO2C 191013-39-5 CAPLUS
Methanesulfonamide, N-[(3S)-2,3-dihydro-3-[[[3-(1H-indol-3-y1)propyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME) Absolute stereochemistry. ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) CH2-NH- (CH2) 4 CM 2 Double bond geometry as shown. но2С СО2Н 191013-42-0 CAPLUS Methanesulfonamide, N-[2,3-dihydro-3-[[[3-(1-methyl-1H-indol-3-yl)propyl]amino|methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME) CM 1 CRN 191013-15-7 CMF C22 H27 N3 O4 S CH2-NH- (CH2) 3 CM 2 CRN 110-17-8 CMF C4 H4 Q4 Double bond geometry as shown. но2с СО2Н 191013-71-5 CAPLUS
1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methy1]-5-methoxy-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 191013-40-8 CAPLUS
Methanesulfonamide, N-{(3S}-2,3-dihydro-3-{[[3-{1H-indol-3-y|)propyl]amino]methyl}-1,4-benzodioxin-6-yl}-, (2E)-2-butenedioate (2:1)
(CA INDEX NAME) CM 1 CRN 191013-39-5 CMF C21 H25 N3 O4 S Absolute stereochemistry. CM 2 CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown. HO2C E CO2H 191013-41-9 CAPLUS
1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methyl]-5-fluoro-1-methyl-, (2E)-2-butenedioate (2:1) (CA INDEX NAME) CRN 191013-13-5 CMF C22 H25 F N2 O2 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) CM 1 CRN 191013-14-6 CMF C22 H26 N2 O3 CH2-NH- (CH2) 4 CM 2 CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown. HO2C E CO2H 191013-44-2P 191013-45-3P 191013-46-4P
191013-47-5P 191013-46-6P 191013-49-7P
191013-50-0P 191013-51-1P 191013-52-2P
191013-53-3P 191013-54-4P 191013-55-5P
191013-56-6P 191013-57-7P 191013-58-8P
191013-59-9P 191013-60-2P 191013-61-3P
191013-62-4P 191013-63-5P
RL: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indolealkyl derivs. of benzodioxanmethylamine as antidepressants and antipsychotic agents)
191013-44-2 CAPLUS
1H-Indole-3-butanamide, N-[((2S)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME) ÌТ Absolute stereochemistry.

191013-45-3 CAPLUS 1H-Indole-3-butanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) yl]methyl]- (CA INDEX NAME)

RN 191013-46-4 CAPLUS
CN 1H-Indole-3-propagnaide, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methy1]-5(phenylmethoxy)- (CA INDEX NAME)

RN 191013-47-5 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-y1)methyl]-5-(phenylmethoxy)- (CA INDEX NAME)

RN 191013-48-6 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl)-5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 191013-52-2 CAPLUS
CN 1H-Indole-3-butanamide, N-[{2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

RN 191013-53-3 CAPLUS
CN 1H-Indole-3-butanamide, N-{{2,3-dihydro-7-{(methylsulfonyl)amino}-1,4-benzodioxin-2-yl}methyl}-5-methoxy- (CA INDEX NAME)

RN 191013-54-4 CAPLUS
CN H-Indole-3-propanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyll- (CA INDEX NAME)

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

MeO CH2-NH-(CH2)3 O-CH2-Ph

● HC1

RN 191013-49-7 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yllmethyll-5-hydroxy- (CA INDEX NAME)

RN 191013-50-0 CAPLUS
CN IH-Indole-3-butanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

RN 191013-51-1 CAPLUS
CN 1H-Indole-3-butanamide, N-[{2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-y1}methyl}-5-methoxy- (CA INDEX NAME)

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 191013-55-5 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl](CA INDEX NAME)

RN 191013-56-6 CAPLUS
CN 1H-Indole-3-propanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl)(CA INDEX NAME)

RN 191013-57-7 CAPLUS
CN 1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methyl]-5-fluoro- (CA INDEX NAME)

ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-58-8 CAPLUS
1H-Indole-3-butanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-fluoro (CA INDEX NAME)

RN 191013-59-9 CAPLUS CN 1H-Indole-3-propanamide, N-[[(2S)-2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

191013-60-2 CAPLUS HH-Indole-3-propanamide, N-[{(2S)-2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl}- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT: THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-61-3 CAPLUS
1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methyl]-5-fluoro-1-methyl- (CA INDEX NAME)

191013-62-4 CAPLUS
1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methy1]-5-methoxy- (CA INDEX NAME)

191013-63-5 CAPLUS
1H-Indole-3-propanamide, N-[[2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl)methyl)-1-methyl- (CA INDEX NAME)

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:429564 CAPLUS
DOCUMENT NUMBER: 127:50651

ITILE: 5-HTIA receptor ligands for treatment of depression and related disorders.

Knog. Young Hee: Stack, Gary Paul
American Home Products Corporation, USA
PATENT ASSIGNEE(S): PATENT TYPE: CODEN: PIXMD2
DOCUMENT TYPE: CODEN: PIXMD2
PATENT INFORMATION: English
FAMILU ACC. NUM. COUNT: 1
English
FAMILU ACC. NUM. COUNT: 1
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DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. KIND DATE AI 19970515 W1996-233678 19961029

AI 19970515 W0 1996-U317275 19961029

BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, MC, NI, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, TD, TG

AI 19970515 A1 1996-2236678 19961029

BZ 19990415 A1 199909020 EP 1996-937782 19961029 DATE WO 9717343
W: AL, AU, BB,
LK, LR, LT,
UA, UZ, VX,
RW: KE, LS, MW,
IE, IT, LU,
MR, NE, SN,
CA 2236673245
AU 704216
EP 861248
EP 861248
EP 861248
EF, AT, BE, CH, LE, IT, LU, MC, NL, PT, SE, BF, CH, DE, DK, ES, FI, FR, GB, GR, MR, NE, SN, TD, TG

CA 2236678 A1 19970515 CA 1996-2236678 19961029
AU 9675245 A 19990415
EP 861248 B2 19990415
EP 861248 A1 199908015
EP 861248 B1 20011212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

BR 9611406 A 19990105 BR 1996-193782 19961029
CN 1205700 A 19990105 BR 1996-199286 19961029
CN 1074414 B 20011107
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HU 9902091 A3 20000228
IL 124095 A 2011031 LI 1996-19409
AT 210659 T 20011031 LI 1996-19782 19961029
ES 2166470 T3 20020416 ES 1996-937782 19961029
ES 2166470 T3 20020416 T3 1996-937782 19961029
ES 2166470 T3 2002038 HX 1999-93782 19961029

WO 1996-US17275

OTHER SOURCE(S): MARPAT 127:50651

IT

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Title compds. (I; R1, R4 R5 = H, alkyl, alkoxy, aralkoxy, alkanoyloxy,

Title compds. (1; R1, R4 R5 = H, alkyl, alkoxy, aralkoxy, alkanoyloxy, halo, CF3, amino, alkanamido, alkanesulfonamido; R4R5 = ortho substituted methylenedioxy, etylenedioxy, propylenedioxy; R, R3 = H, alkyl; n = 3, 4); were prepared Thus, 2,3-dihydro-1,4-benzodioxin-2-methanamine hydrochloride was heated with 5-methoxy-3-(3-bromopropyl)indole and dilagoropylethylamine in DMF at 80° to give (2,3-dihydrobenzol], 4|dioxin-2-ylmethyl]-3[3-(3-methoxy-1H-indol-3-dihydrobenzol], 4|dioxin-2-ylmethyl]-3[3-(5-methoxy-1H-indol-3-dihydrobenzol], 4|dioxin-2-ylmethyl]-3[3-(5-methoxy-1H-indol-3-yl)propyl]amine. The latter showed 3-H71A receptor affinity with IC50 = 0.10 NH for displacement of (3H)-8-OHDRAT.

191012-94-99 191012-95-07 191012-96-1P
191012-94-99 191012-95-07 191012-96-1P
191013-00-97 191013-01-1P 191013-05-2P
191013-00-97 191013-01-1P 191013-05-2P
191013-05-67 191013-10-77 191013-10-8P
191013-15-7P 191013-18-0P 191013-11-8P
191013-12-4P 191013-13-5P 191013-11-8P
191013-20-4P 191013-21-5P 191013-21-6P
191013-21-97 191013-21-71 191013-22-6P
191013-23-98 191013-30-6P 191013-31-PP
191013-35-1P 191013-30-6P 191013-31-PP
191013-35-1P 191013-30-5P 191013-31-PP
191013-41-9P 191013-30-5P 191013-31-PP
191013-41-9P 191013-30-2P 191013-31-PP
191013-41-9P 191013-31-2-PP 191013-31-PP
191013-41-9P 191013-31-2-PP 191013-31-PP
191013-41-9P 191013-31-2-PP 191013-31-PP
191013-41-9P 191013-31-2-PP 191013-31-PP
191013-41-9P 191013-41-0P 191013-41-0P
191013-41-0P 191013-41-0P 191013-41-0P

(Biological logical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of indolylalkylaminomethylbenzodioxans as 5-HT1A receptor ligands for treatment of depression and related disorders) 191012-94-9 CAPLUS HI-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl)- (CA INDEX NAME)

191012-95-0 CAPLUS
1,4-Benzodioxin-6-01, 2,3-dihydro-3-{[[4-(1H-indol-3-yl)butyl]amino]methyl]- (CA INDEX NAME)

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-00-0 CAPLUS 1H-Indol-5-ol, 3-{3-{{2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl}amino|propyl}- (CA INDEX NAME)

191013-01-1 CAPLUS 1H-Indole-3-butanamine, N-{(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl)-5-methoxy- (CA INDEX NAME)

191013-02-2 CAPLUS 1,4-Benzodioxin-6-o1, 2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl]butyl[maino]methyl]- (CA INDEX NAME)

191013-03-3 CAPLUS Methaneaulfonnide, N-[2,3-dihydro-3-[[[4-(lH-indol-3-yl)buty]]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191012-96-1 CAPLUS 1H-Indole-3-propanamine, N-((2,3-dihydro-1,4-benzodioxin-2-yl)methyl)-5-(phenylmethoxy)- (CA INDEX NAME)

191012-97-2 CAPLUS
1H-Indo1-5-o1, 3-[3-[{(2,3-dihydro-1,4-benzodioxin-2-y1)methyl}amino)propyl}- (CA INDEX NAME)

191012-98-3 CAPLUS HH-Indol-5-ol, 3-[3-[((2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl)amino)propyl]- (CA INDEX NAME)

191012-99-4 CAPLUS 1H-Indole-3-propanar IN-Indole-3-propanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy-N-methyl- (CA INDEX NAME)

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-04-4 CAPLUS
1H-Indole-3-propanamine, N-{(2,3-dihydro-1,4-benzodioxin-2-y1)methy1]-5-methoxy- (CA INDEX NAME)

191013-05-5 CAPLUS
1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methyl]-5-fluoro- (CA INDEX NAME)

191013-06-6 CAPLUS Methanesulfonamide, N-[2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl]buty]]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

191013-07-7 CAPLUS
1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(lH-indol-3-yl)propyl]amino]methyl]- (CA INDEX NAME)

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-08-8 CAPLUS
1H-Indole-3-butanamine, N-{(2,3-dihydro-1,4-benzodioxin-2-y1)methy1}-

191013-09-9 CAPLUS

HF-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-(CA INDEX NAME)

CH2-NH- (CH2) 3-

191013-10-2 CAPLUS
1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro- (CA INDEX NAME)

CH2-NH- (CH2)4-

191013-11-3 CAPLUS
1H-Indole-3-butanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-fluoro (CA INDEX NAME)

CH2-NH- (CH2) 4

191013-12-4 CAPLUS Methaneulfonanide, N-[2,3-dihydro-3-[[[3-(1H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN Absolute stereochemistry. (Continued)

191013-19-1 CAPLUS
1H-Indole-3-butanamine, N-[[(25)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yllmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

191013-20-4 CAPLUS
1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[4-(1H-indol-3-yl)butyl]amino]methyl]-, monohydrochloride {9CI} (CA INDEX NAME)

• HCl

191013-21-5 CAPLUS
1H-Indole-3-propanamine, N-{{2,3-dihydro-1,4-benzodioxin-2-yl}methyl}-5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

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ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

191013-13-5 CAPLUS
1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methyl]-5-fluoro-1-methyl- (CA INDEX NAME)

(Continued)

191013-14-6 CAPLUS
1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methy1]-5-methoxy- (CA INDEX NAME)

191013-15-7 CAPLUS Methanesulfonanide, N-[2,3-dihydro-3-[[[3-(1-methyl-1H-indol-3-yl)propyl]amino|methyl)-1,4-benzodioxin-6-yl]- (CA INDEX NAME)

191013-18-0 CAPLUS IN-INDEX name: N-[[(2S)-2,3-dihydro-7-methoxy-1,4-benzodioxin-2-ylmethyl]- (CA INDEX NAME)

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-22-6 CAPLUS
1H-Indol-5-01, 3-[3-[[(2,3-dihydro-1,4-benzodioxin-2yl)methyl)amino)propyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA
1NDEX NAME)

CM 1

CRN 191012-97-2 CMF C20 H22 N2 O3

CM 2

Double bond geometry as shown.

CO2H но2с

191013-23-7 CAPLUS
1H-Indol-5-01, 3-[3-[[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-y]lmethyl]amino[propyl]-, (2E)-2-butenedioste (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 191012-98-3 CMF C21 H24 N2 O4

СМ

Double bond geometry as shown.

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ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
                                                                                                                                                 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
                                                                                          (Continued)
                                                                                                                                                                                                                                      (Continued)
         € со2н
HO2C
RN 191013-24-8 CAPLUS
CN 1H-Indole-3-propanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy-N-methyl-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)
                                                                                                                                                    CM 2
        CM 1
                                                                                                                                                    CRN 110-17-8
CMF C4 H4 O4
        CRN 191012-99-4
CMF C23 H28 N2 O4
                                                                                                                                            Double bond geometry as shown.
                                                                                                                                            HO2C E CO2H
                                 (CH<sub>2</sub>)<sub>3</sub>
                                                                                                                                                   191013-26-0 CAPLUS
1H-Indole-3-butanamine, N-[{2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yi}methyl}-5-methoxy-, {2Z}-2-butenedioate {1:1} (CA INDEX NAME)
                                                                                                                                                    CRN 191013-01-1
CMF C23 H28 N2 O4
       CM 2
       CRN 110-17-8
CMF C4 H4 O4
                                                                                                                                                                       CH2-NH- (CH2) 4
Double bond geometry as shown.
но2С Е СО2Н
                                                                                                                                                    CM 2
      191013-25-9 CAPLUS
1H-Indol-5-01, 3-[3-[{[2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-y1)methyl]amino]propyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)
                                                                                                                                                    CRN 110-16-7
CMF C4 H4 O4
                                                                                                                                            Double bond geometry as shown
        CRN 191013-00-0
CMF C20 H22 N2 O4
                                                                                                                                            HO<sub>2</sub>C
                                                                                                                                                          CO2H
                                                                                                                                            RN 191013-27-1 CAPLUS
CN 1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[4-(5-methoxy-1H-indol-3-yl]butyl]amino]methyl]-, (2E)-2-butenedioate [2:1] (salt) (9CI) [CA INDEX
        ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN NAME)
                                                                                                                                                   ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
191013-29-3 CAPLUS
HH-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methyl]-5-methoxy-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)
                                                                                          (Continued)
        CM 1
                                                                                                                                                    CM 1
        CRN 191013-02-2
CMF C22 H26 N2 O4
                                                                                                                                                    CRN 191013-04-4
CMF C21 H24 N2 O3
                         CH2-NH- (CH2) 4
                                                                                                                                                               CH2-NH- (CH2) 3
        CM 2
                                                                                                                                                    CM 2
                                                                                                                                                    CRN 110-17-8
CMF C4 H4 O4
Double bond geometry as shown.
                                                                                                                                            Double bond geometry as shown.
HO2C E CO2H
                                                                                                                                            HO2C E CO2H
       191013-28-2 CAPLUS Methaneaulfonamide, N-[2,3-dihydro-3-[{[4-[1H-indol-3-yl]buty]]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)
                                                                                                                                                    191013-30-6 CAPLUS
1H-Indole-3-propanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)
        CM 1
                                                                                                                                                    CM 1
        CRN 191013-03-3
CMF C22 H27 N3 O4 S
                                                                                                                                                    CRN 191013-05-5
CMF C20 H21 F N2 O2
                                                                                                                                                               CH2-NH- (CH2) 3
                                   CH2-NH- (CH2) 4
                                                                                                                                                    CM 2
        CM 2
                                                                                                                                                    CRN 110-17-8
CMF C4 H4 O4
                                                                                                                                            Double bond geometry as shown.
 Double bond geometry as shown.
                                                     )
но2С Е СО2Н
                                                                                                                                            RN 191013-31-7 CAPLUS
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ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Methanesulfonamide, N-[2,3-dihydro-3-[[[4-{5-methoxy-lH-indol-3-
yl]buty]amino]methyl]-1,4-benzodioxin-6-yl}-, (2E)-2-butenedioate (2:1)
(CA INDEX NAME)
         CM 1
         CRN 191013-06-6
CMF C23 H29 N3 O5 S
                                     CH2-NH- (CH2) 4
         CM 2
         CRN 110-17-8
CMF C4 H4 O4
Double bond geometry as shown.
HO2C E CO2H
      191013-32-8 CAPLUS
1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-(1H-indol-3-
y1)propyl]amino]methyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA
INDEX NAME)
        CRN 191013-07-7
CMF C20 H22 N2 O3
                           CH2-NH- (CH2) 3
         CM 2
         CRN 110-17-8
CMF C4 H4 O4
        ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN CRN 110-17-8 CMF C4 H4 O4 '
                                                                                               (Continued)
Double bond geometry as shown.
но2с Е со2н
        191013-35-1 CAPLUS
IN-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)
         CM 1
         CRN 191013-10-2
CMF C21 H23 F N2 Q2
                    CH2-NH- (CH2) 4
         CM 2
         CRN 110-17-8
CMF C4 H4 O4
Double bond geometry as shown.
HO2C E CO2H
        191013-36-2 CAPLUS
1H-Indole-3-butanamine, N-((2,3-dihydro-7-methoxy-1,4-benzodioxin-2-
yl)methyl)-5-fluoro-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)
         CRN 191013-11-3
CMF C22 H25 F N2 O3
 Page 155 '
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L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN Double bond geometry as shown. (Continued) но₂с СО2Н 191013-33-9 CAPLUS
1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl)-, (ZE)-2-butenedioate (2:1) (CA INDEX NAME) CRN 191013-08-8 CMF C21 H24 N2 O2 CH2-NH- (CH2) 4 CM 2 CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown. 191013-34-0 CAPLUS
1H-Indole-3-propanamine, N-[{2,3-dihydro-1,4-benzodioxin-2-yl}methyl}-, {2E}-2-butenedioate {2:1} {CA INDEX NAME} CH2-NH- (CH2) 3 L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) CMF C4 H4 O4 Double bond geometry as shown. HO2C E CO2H 191013-37-3 CAPLUS
1,4-Benzodioxin-6-ol, 2,3-dihydro-3-{{[3-{lH-indol-3-yl)propyl}amino|methyl}-, (3S)- (CA INDEX NAME) Absolute stereochemistry. 191013-38-4 CAPLUS
1,4-Benzodioxin-6-ol, 2,3-dihydro-3-[[[3-{IH-indol-3-yl)propyl]amino]methyl]-, (38)-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME) CM 1 CRN 191013-37-3 CMF C20 H22 N2 O3 Absolute stereochemistry. CM 2 Double bond geometry as shown. HO2C E CO2H . 191013-39-5 CAPLUS Methanesulfonamide, N-[(3S)-2,3-dihydro-3-[[[3-(lH-indol-3-yl)propyl)amino]methyl)-1,4-benzodioxin-6-yl]- (CA INDEX NAME) Absolute stereochemistry.

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-40-8 CAPLUS
Methanesulfonamide, N-{(3S)-2,3-dihydro-3-[[[3-{1H-indol-3-yl)propyl]amino]methyl}-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1)
(CA INDEX NAME)

CM 1

CRN 191013-39-5 CMF C21 H25 N3 O4 S

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

191013-41-9 CAPLUS
1H-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methy1]-5-fluoro-1-methy1-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CRN 191013-13-5 CMF C22 H25 F N2 O2

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-71-5 CAPLUS
IN-Indole-3-butanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 191013-14-6 CMF C22 H26 N2 O3

CH2-NH-(CH2)4

CM 2

Double bond geometry as shown.

ΙT

Absolute stereochemistry.

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

191013-42-0 CAPLUS
Methanesulfonamide, N-[2,3-dihydro-3-[{[3-(1-methyl-1H-indol-3-yl)propyl]amino]methyl]-1,4-benzodioxin-6-yl]-, (2E)-2-butenedioate (2:1) (CA INDEX NAME)

CM 1

CRN 191013-15-7 CMF C22 H27 N3 O4 S

Double bond geometry as shown.

E CO2H HO2C

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-45-3 CAPLUS
1H-Indole-3-butanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl] (CA INDEX NAME)

191013-46-4 CAPLUS
1H-Indole-3-propanamide, N-{(2,3-dihydro-1,4-benzodioxin-2-yl}methyl}-5-(phenylmethoxy)- (CA INDEX NAME)

191013-47-5 CAPLUS

14-Indole-3-propanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl)-5-(phenylmethoxy)- (CA INDEX NAME)

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-48-6 CAPLUS
1H-Indole-3-propanamine, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

191013-49-7 CAPLUS

1H-Indole-3-propanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]-5-hydroxy- (CA INDEX NAME)

191013-50-0 CAPLUS
IH-Indole-3-butanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-54-4 CAPLUS
1H-Indole-3-propanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyll- (CA INDEX NAME)

191013-55-5 CAPLUS 1H-Indole-3-butanamide, N-[{2,3-dihydro-1,4-benzodioxin-2-y1}methyl]-

191013-56-6 CAPLUS
1H-Indole-3-propanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methyl]-(CA INDEX NAME)

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-51-1 CAPLUS
1H-Indole-3-butanamide, N-[(2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

191013-52-2 CAPLUS
1H-Indole-3-butanamide, N-{{2,3-dihydro-7-{{methylsulfonyl}amino}-1,4-benzodioxin-2-yllmethyl}- (CA INDEX NAME)

191013-53-3 CAPLUS
1H-Indole-3-butanamide, N-[[2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]-5-methoxy- (CA INDEX NAME)

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-57-7 CAPLUS
1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methy1]-5-fluoro- (CA INDEX NAME)

191013-58-8 CAPLUS
1H-Indole-3-butanamide, N-[(2,3-dihydro-7-methoxy-1,4-benzodioxin-2-yi)methy]-5-fluoro (CA INDEX NAME)

RN 191013-59-9 CAPLUS CN IH-Indole-3-propanamide, N-[{(2S)-2,3-dihydro-7-hydroxy-1,4-benzodioxin-2-yl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

191013-60-2 CAPLUS
1H-Indole-3-propanamide, N-[[[23]-2,3-dihydro-7-[[methylsulfonyl]amino]-1,4-benzodioxin-2-yl]methyll- (CA INDEX NAME)

Absolute stereochemistry.

191013-61-3 CAPLUS
1H-Indole-3-butananide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-fluoro-1-methyl- (CA INDEX NAME)

191013-62-4 CAPLUS
1H-Indole-3-butanamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-5-methoxy- (CA INDEX NAME)

L6 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 1993:204695 CAPLUS DOCUMENT NUMBER: 118:204695 TITLE: 3-D ONAP for 1-1-1

3-D QSAR for intrinsic activity of 5-HT1A receptor ligands by the method of comparative molecular field

enalysis
Agarwal, Atul; Taylor, Ethan Will
Comput. Cent. Mol. Struct. Des., Univ. Georgia,
Athens, GA, 30602-2352, USA
Journal of Computational Chemistry (1993), 14(2),
237-45
CODEN. ICCURP.

CODEN: JCCHDD; ISSN: 0192-8651 Journal

DOCUMENT TYPE: JOURNAL AND ADDRESS OF THE ADDRESS OF T

independent of its receptor affinity. This property can be quantitated

intrinsic activity (IA), which can range from 0 for a full antagonist to

for a full agonist. Although QSAR methods have been applied for the prediction of receptor affinity with considerable success, the prediction of IA, even qual., has rarely been attempted. Because most traditional QSAR methods are limited to congeneric series, and there are often major structural differences between agonists and antagonists, this lack of success in predicting IA is understandable. To overcome this limitation, the authors used the method of comparative mol. field anal. (COMFA), which, unlike traditional Hansch anal., permits the inclusion of structurally diserially diseria

region
that is also occupied by antagonists and partial agonists. The COMFA
steric field graph clearly shows that agonists tend to be "flatter" (mc
coplanar) than antagonists, consistent with the difference between the
5-HTLA agonist and antagonist pharmacophores proposed by Hibert and
coworkers. The COMFA electrostatic field graph suggests that, in the
region surrounding the essential protonated sliphatic amino group, the

mol. electrostatic potential may be weaker in antagonists as compared to agonists. Together, the steric and electrostatic maps suggest that in

secondary binding site region increased hydrophobic binding may enhance antagonist activity. These can successfully distinguish between agonist and antagonist 5-HTIA ligands. This is the first time this or any other GSAR method has been successfully applied to the correlation of structure with IA rather than potency or affinity. The anal, has suggested various structureal features associated with agonist and antagonist behaviors of 5-HTIA ligands and thus should assist in the future design of drugs that act via 5-HTIA receptors.

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ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

191013-63-5 CAPLUS 1H-Indole-3-propanamide, N-[[2,3-dihydro-7-[(methylsulfonyl)amino]-1,4-benzodioxin-2-yl]methyl]-1-methyl- (CA INDEX NAME)

ANSWER 5 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: BIOL (Biological study)
(Intrinsic activity of, as serotonin SIA receptor ligand, QSAR for,
mol. field anal. of)
116728-30-70 CAPLUS

1H-Indole-3-ethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl}-5methoxy- (CA INDEX NAME)

L6 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 1990:151250 CAPLUS DOCUMENT NUMBER: 112:151250

MDL 73005EF: partial agonist at the 5-HTIA receptor negatively linked to adenylate cyclase Cornfield, Linda J.; Nelson, David L.; Taylor, E. W.; Martin, A. R.
Coll. Pharm., Univ. Arizona, Tucson, AZ, 85721, USA European Journal of Pharmacology (1989), 173(2-3), 189-22 TITLE:

AUTHOR (S):

CORPORATE SOURCE: SOURCE:

CODEN: EJPHAZ; ISSN: 0014-2999 Journal English

DOCUMENT TYPE: LANGUAGE: GI

MDL 73005EF (I) has been recently described as a potent, highly selective 5-HTIA ligand. Although proposed to act predominantly as an antagonist, it was demonstrated that I also acts as a highly efficacious partial agonist at the 5-HTIA receptor, based on its ability to inhibit forskelin-stimulated adenylate cyclase in rat hippocampal membranes. Compared with two structurally related 5-HTIA partial agonists, the rank order of potency of I in the forskelin-stimulated adenylate cyclase assay was comparable to affinity calculated by radioligand binding. 116729-30-7
RE: BIOL (Biological study)
(serotoninergic SIA receptor partial agonist, in brain hippocampus, adenylate cyclase in)
116729-30-7 CAPLUS
IH-Indole-3-ethanamine, N-[(2,3-dihydro-1,4-benzodioxin-2-y1)methy1]-5-methoxy- (CA INDEX NAME)

ANSWER 8 OF 8 CAPLUS COPYRIGHT 2007 ACS ON STN SSION NUMBER: 1964:52778 CAPLUS MENT NUMBER: 60:52778

ACCESSION NUMBER: DOCUMENT NUMBER:

ORIGINAL REFERENCE NO.: 60:9287d-f

1,4-Benzodioxan-2-carboxamides Bid, John H.: Judd, Claude I. Lakeside Laboratories, Inc. INVENTOR (S):

PATENT ASSIGNEE (S):

2 pp. Patent DOCUMENT TYPE:

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 3117978 19640114 US 1961-84753 US 19610125 PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

AB The title compds., possessing antidepressant and central nervous system stimulating properties, are prepared by treating

1,4-benzodioxan-2-carbonyl chloride (I) with an aralkylamine in an inert solvent in the presence of an acid acceptor. Thus, 7.5 g. trans-2-phenylcyclopropylamine, 10.1 g. KZCO3, and 30 ml. anhydrous C6H6 is treated dropwise with 11.2 g. I, the mixture stirred several hrs. at room temperature, 100 ml. H2O added, the C6H6 C6H6

layer separated, and the solvent evaporated to give 16.0 g. of an oil which

rayer separated, and the solvent evaporated to give to 9. of h crystallized when covered with n-hexane and Et20 to yield 7.5 g.
N-(trans-2-phenylcyclopropyl)-1,4-benzodioxan-2-carboxamide (Ia), m.
96-112°. Recrystn. from Et20 gave 3.1 g. pure product, m.
129-31°. Also prepared are N-(2-phenyl-1-propyl)-1,4-benzodioxan-2-carboxamide, m. 82-90° (mixture of isomers), and N[1-(3-indoyl)-2-butyl)-1,4-benzodioxan-2-carboxamide (II), m. 99-102°. A single pure isomer of II was also isolated, m. 135-8°.
94862-17-6P, 1,4-Benzodioxan-2-carboxamide, N-[1-(indol-3-ylmethyl)propyl)RL: PREP (Preparation)
(preparation of)
94862-17-6 CAPLUS
1,4-Benzodioxan-2-carboxamide, N-[1-(indol-3-ylmethyl)propyl]- (7CI) (CA INDEX NAME)

L6 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 1988:542399 CAPLUS DOCUMENT NUMBER: 109:142399

Use of forskolin stimulated adenylate cyclase in rat hippocampus as a screen for compounds that act TITLE:

through

through

5-HTRIA receptors

AUTHOR(S):

CORTÉCIA, L. J.; Nelson, D. L.; Monroe, P. J.;

Taylor, E. W.; Nikam, S. S.

CORPORATE SOURCE:

COLI. Pharm., Univ. Arizona, Tucson, AZ, 85721, USA

Proceedings of the Western Pharmacology Society
(1988), 31, 257

CODEN: PWSSAB; ISSN: 0083-8969

DOCUMENT TYPE:

JOURNAL

LANGUAGE:

AB 5-HT, buspirone and 8-bydroxy-2-(di-n-propylamino)tetralin (8-OH-DPAT)
inhibited forskolin stimulated cAMP production in rat hippocampus with

5-HT, buspirone and 8-hydroxy-2-(di-n-propylamino)tetralin (8-OH-DPAT) inhibited forskolin stimulated cAMP production in rat hippocampus with inity degrees of efficacy. The EC50 values for these compds. In the cyclase assay system were uniformly less than the IC50 values against [3H]8-OH-DPAT binding, although a reasonably good correlation was found between the EC50 and IC50 values for these compds.

-(5-Methoxyindole3-y1)ethyl1-2 aminomethyl-1,4-benzodioxan, 5-carboxamido-3[2-(4-phenyl-1,2,3,6-tetrahydropyrid-1-y1)ethyl1indole and 5-methoxy-3[2-(4-phenyl-1,2,3,6-tetrahydropyrid-1-y1)ethyl1indole, and apiroxatrine exhibited potential 5-HT1A agonistic activity, as shown by varying degrees of inhibition of forskolin-stimulated adenylate cyclase. However, there was no correlation between the potencies of the cyclase data and the [3H]-8-OH-DPAT binding data for these 4 compds. Spiroxatrine produced a complex inhibition curve with a maximal inhibition that was greater than that observed with 5-HT itself. Nonlinear regression anal. of this curve revealed high and low potency components. The ratio of the EC50 for the high-potency component to the IC50 value at 5-HT1A binding sites was consistent with that for the other 5-HT1A agonists, 5-HT, 8-OH-DPAT and bispirone.

116729-30-7

RL: BIOL (Biological study)

(forskolin-stimulated adenylate cyclase of brain response to)

116729-30-7 CAPLUS

1H-Indole-3-ethanamine, N=[(2,3-dihydro-1,4-benzodioxin-2-y1)methyl]-5-methoxy- (CA INDEX NAME)

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS SINCE FILE TOTAL SESSION ENTRY FULL ESTIMATED COST 254.00 54.38 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL SESSION ENTRY CA SUBSCRIBER PRICE -6.24 -6.24

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